STN SEARCH TRANSCRIPT

10/607,716

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NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
NEWS  2          "Ask CAS" for self-help around the clock
NEWS  3  OCT 23  The Derwent World Patents Index suite of databases on STN
                 has been enhanced and reloaded
NEWS  4  OCT 30  CHEMLIST enhanced with new search and display field
NEWS  5  NOV 03  JAPIO enhanced with IPC 8 features and functionality
NEWS  6  NOV 10  CA/CAplus F-Term thesaurus enhanced
NEWS  7  NOV 10  STN Express with Discover! free maintenance release Version
                 8.01c now available
NEWS  8  NOV 20  CA/CAplus to MARPAT accession number crossover limit increased
                 to 50,000
NEWS  9  DEC 01  CAS REGISTRY updated with new ambiguity codes
NEWS 10  DEC 11  CAS REGISTRY chemical nomenclature enhanced
NEWS 11  DEC 14  WPIDS/WPINDEX/WPIX manual codes updated
NEWS 12  DEC 14  GBFULL and FRFULL enhanced with IPC 8 features and
                 functionality
NEWS 13  DEC 18  CA/CAplus pre-1967 chemical substance index entries enhanced
                 with preparation role
NEWS 14  DEC 18  CA/CAplus patent kind codes updated
NEWS 15  DEC 18  MARPAT to CA/CAplus accession number crossover limit increased
                 to 50,000
NEWS 16  DEC 18  MEDLINE updated in preparation for 2007 reload
NEWS 17  DEC 27  CA/CAplus enhanced with more pre-1907 records
NEWS 18  JAN 08  CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 19  JAN 16  CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 20  JAN 16  IPC version 2007.01 thesaurus available on STN
NEWS 21  JAN 16  WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 22  JAN 22  CA/CAplus updated with revised CAS roles
NEWS 23  JAN 22  CA/CAplus enhanced with patent applications from India
NEWS 24  JAN 29  PHAR reloaded with new search and display fields
NEWS 25  JAN 29  CAS Registry Number crossover limit increased to 300,000 in
                 multiple databases
NEWS 26  FEB 13  CASREACT coverage to be extended
NEWS 27  Feb 15  PATDPASPC enhanced with Drug Approval numbers
NEWS 28  Feb 15  RUSSIAPAT enhanced with pre-1994 records

NEWS EXPRESS  NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
              MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
              AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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NEWS X25      X.25 communication option no longer available

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FILE 'HOME' ENTERED AT 11:11:12 ON 20 FEB 2007

=> FILE REG
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     2.10       2.10

FILE 'REGISTRY' ENTERED AT 11:16:57 ON 20 FEB 2007
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DICTIONARY FILE UPDATES:  19 FEB 2007  HIGHEST RN 921921-74-6

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  conducting SmartSELECT searches.

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=>
Uploading C:\Program Files\Stnexp\Queries\HepC PROTEASE INHs SEARCH 1.str
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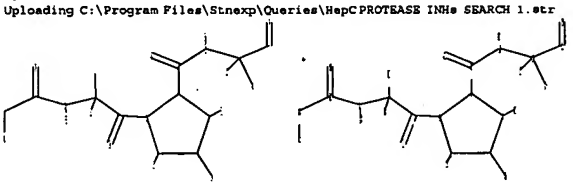

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chain nodes :
6  7  8  9  11  12  13  14  15  19  20  21  22  23  24  25  26  27  28  29  30  31
ring nodes :
1  2  3  4  5
ring/chain nodes :
10
chain bonds :
1-29  2-6  3-19  4-30  5-31  6-7  6-9  7-8  7-10  7-11  8-12  8-23  12-13  12-14
13-15  19-20  19-21  21-22  21-24  24-25  24-26  24-27  25-28
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  2-3  2-6  5-31  6-9  7-8  8-12  12-14  13-15  19-20  19-21  21-24  24-27
25-28
exact bonds :
1-5  1-29  3-4  3-19  4-5  4-30  6-7  7-10  7-11  8-23  12-13  21-22  24-25  24-26
isolated ring systems :
containing 1 :

G1:C,O,S,N

G2:C,O,S

G3:C,H,O,N

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:CLASS  7:CLASS  8:CLASS  9:CLASS
10:CLASS  11:CLASS  12:CLASS  13:CLASS  14:CLASS  15:CLASS  19:CLASS  20:CLASS
21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS  28:CLASS
29:CLASS  30:CLASS  31:CLASS



L1      STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1              STR
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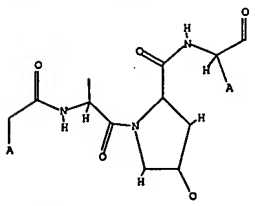

```
G1 C,O,S,N
G2 C,O,S
G3 C,H,O,N

Structure attributes must be viewed using STN Express query preparation.

=> S L1
SAMPLE SEARCH INITIATED 11:17:15 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -      425 TO ITERATE

100.0% PROCESSED      425 ITERATIONS                          50 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:         7264 TO     9736
PROJECTED ANSWERS:             672 TO     1568

L2          50 SEA SSS SAM L1

=> S L1 SSS FULL
FULL SEARCH INITIATED 11:17:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      8158 TO ITERATE

100.0% PROCESSED     8158 ITERATIONS                        1106 ANSWERS
SEARCH TIME: 00.00.01

L3          1106 SEA SSS FUL L1

=> S L3 NOT MW>1000
        908592 MW>1000
L4          600 L3 NOT MW>1000

=> S L4 NOT PEPTIDE
        147858 PEPTIDE
           514 PEPTIDES
        147858 PEPTIDE
               (PEPTIDE OR PEPTIDES)
L5          599 L4 NOT PEPTIDE

=> FILE CAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   183.80     185.90

FILE 'CAPLUS' ENTERED AT 11:19:59 ON 20 FEB 2007
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FILE LAST UPDATED: 19 Feb 2007  (20070219/ED)

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=> S L4
L6          162 L4

=> D 1-5

L6   ANSWER 1 OF 162  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2007:85180  CAPLUS
TI   Preparation of peptides for use in the treatment of obesity
IN   Sensfuss, Ulrich; Christensen, Leif; Spetzler, Jane; Prieboes, Kilian
     Waldemar Conde; Thoegersen, Henning
PA   Novo Nordisk A/S, Den.
```

SO PCT Int. Appl., 114pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2007009894	A2	20070125	WO 2006-EP64027	20060707
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI EP 2005-106554	A	20050718		

L6 ANSWER 2 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1357113 CAPLUS
DN 146:93604
TI Therapeutic compositions and methods using transforming growth factor-beta mimics
IN Bhatnagar, Rajendra S.
PA USA
SO U.S. Pat. Appl. Publ., 42pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006293228	A1	20061228	US 2005-166260	20050624
WO 2007002469	A2	20070104	WO 2006-US24583	20060623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI US 2005-166260	A	20050624		

L6 ANSWER 3 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1357110 CAPLUS
DN 146:106821
TI Cosmetic compositions and methods using transforming growth factor-beta mimics
IN Bhatnagar, Rajendra S.
PA USA
SO U.S. Pat. Appl. Publ., 32pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006293227	A1	20061228	US 2005-166259	20050624
WO 2007002594	A1	20070104	WO 2006-US24826	20060623
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI US 2005-166259	A	20050624		

L6 ANSWER 4 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:1108468 CAPLUS
DN 146:56788
TI Characterization of contryphans from Conus loroisii and Conus amadis that target calcium channels
AU Sabareesh, V.; Gowd, K. Hanumae; Ramasamy, P.; Sudarslal, S.; Krishnan, K. S.; Sikdar, S. K.; Balaram, P.
CS Molecular Biophysics Unit, Indian Institute of Science, Bangalore, 560 012, India
SO Peptides (New York, NY, United States) (2006), 27(11), 2647-2654
CODEN: PPTDD5; ISSN: 0196-9781
PB Elsevier Inc.
DT Journal
LA English
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 5 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:945679 CAPLUS
DN 145:308145
TI Infectious chimeric hepatitis C virus, mammalian culture cell lines for its production and reporter assay for antiviral drug screening
IN Rice, Charles; Lindenbach, Brett D.; Evans, Matthew J.; Jones, Christopher
PA The Rockefeller University, USA
SO PCT Int. Appl., 65pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006096459	A2	20060914	WO 2006-US7454	20060303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006210969	A1	20060921	US 2006-366839	20060303
PRAI US 2005-658187P	P	20050304		

=> D 6-10

L6 ANSWER 6 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:912187 CAPLUS
DN 145:467055
TI Stereoelectronic Tuning of the Structure and Stability of the Trp Cage Miniprotein
AU Naduthambi, Devan; Zondlo, Neal J.
CS Department of Chemistry and Biochemistry, University of Delaware, Newark, DE, 19716, USA
SO Journal of the American Chemical Society (2006), 128(38), 12430-12431
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
RE.CNT 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 7 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:703133 CAPLUS
DN 145:167558
TI Synthesis of cyclic peptide derivatives as C5a receptor antagonists for treatment of disease
IN Hummel, Gerd; Locardi, Elsa; Polskowski, Thomas; Scharn, Dirk; Schnatbaum, Karsten
PA Jerini AG, Germany
SO PCT Int. Appl., 155 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2006074964	A1	20060720	WO 2006-EP365	20060117
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRAI EP 2005-857	A	20050117		

OS MARPAT 145:167558
RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 8 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:406693 CAPLUS
DN 145:224302
TI Development of peptidomimetics targeting IAPs
AU Sharma, Sushil K.; Straub, Christopher; Zawel, Leigh
CS Department of Oncology, Novartis Institute for Biomedical Research, Cambridge, MA, USA
SO International Journal of Peptide Research and Therapeutics (2006), 12(1), 21-32
CODEN: IJPRFC; ISSN: 1573-3149
PB Springer
DT Journal
LA English
RE.CNT 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 9 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:393258 CAPLUS
DN 145:103931
TI Synthesis of peptidomimetic analogs of echinocandins
AU Ma, Chao-Mei; Takeda, Sunao; Hibino, Satoshi; Daneshtalab, Mohsen
CS School of Pharmacy, Memorial University of Newfoundland, St. John's, NL, A1B 3V6, Can.
SO Heterocycles (2006), 68(4), 721-732
CODEN: HTCYAM; ISSN: 0385-5414
PB Japan Institute of Heterocyclic Chemistry
DT Journal
LA English
OS CASREACT 145:103931
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 10 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:94611 CAPLUS
DN 144:326551
TI Electronic Control of Amide cis-trans Isomerism via the Aromatic-Prolyl Interaction
AU Thomas, Krista M.; Naduthambi, Devan; Zondlo, Neal J.
CS Department of Chemistry and Biochemistry, University of Delaware, Newark, DE, 19716, USA
SO Journal of the American Chemical Society (2006), 128(7), 2216-2217
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D 11-15

L6 ANSWER 11 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2006:79486 CAPLUS
DN 144:150651
TI Peptide library-based α4β1 integrin ligands for imaging and therapy
IN Lam, Kit S.; Liu, Ruiwu; Peng, Li
PA The Regents of the University of California, USA
SO U.S. Pat. Appl. Publ., 92 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006019900	A1	20060126	US 2005-140548	20050526
PRAI US 2004-575586P	P	20040527		

OS MARPAT 144:150651

L6 ANSWER 12 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:1012142 CAPLUS
DN 143:440735
TI Investigation of the Binding Determinants of Phosphopeptides Targeted to the Src Homology 2 Domain of the Signal Transducer and Activator of Transcription 3. Development of a High-Affinity Peptide Inhibitor
AU Coleman, David R.; Ren, Zhiyong; Mandal, Pijus K.; Cameron, Arlin G.; Dyer, Garrett A.; Muranjan, Seema; Campbell, Martin; Chen, Xiaomin; McMurray, John S.
CS Department of Neuro-Oncology, Department of Biochemistry and Molecular

```
     Biology, Department of Molecular Pathology and The Graduate School of
     Biomedical Sciences, The University of Texas M. D. Anderson Cancer Center,
     Houston, TX, 77030, USA
SO   Journal of Medicinal Chemistry (2005), 48(21), 6661-6670
     CODEN: JMCMAR; ISSN: 0022-2623
PB   American Chemical Society
DT   Journal
LA   English
OS   CASREACT 143:440735
RE.CNT  76    THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6   ANSWER 13 OF 162  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:461055  CAPLUS
DN   143:129179
TI   Use of PROTACS as molecular probes of angiogenesis
AU   Bargagna-Mohan, Paola; Baek, Sun-Hee; Lee, Hyosung; Kim, Kyungbo; Mohan,
     Royce
CS   Department of Ophthalmology and Visual Sciences, University of Kentucky,
     Lexington, KY, 40536, USA
SO   Bioorganic & Medicinal Chemistry Letters (2005), 15(11), 2724-2727
     CODEN: BMCLE8; ISSN: 0960-894X
PB   Elsevier B.V.
DT   Journal
LA   English
RE.CNT  24    THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6   ANSWER 14 OF 162  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:422154  CAPLUS
DN   143:133674
TI   Proline Editing: A Divergent Strategy for the Synthesis of
     Conformationally Diverse Peptides
AU   Thomas, Krista M.; Nadunthambi, Devan; Tririya, Gasirat; Zondlo, Neal J.
CS   Department of Chemistry and Biochemistry, University of Delaware, Newark,
     DE, 19716, USA
SO   Organic Letters (2005), 7(12), 2397-2400
     CODEN: ORLEF7; ISSN: 1523-7060
PB   American Chemical Society
DT   Journal
LA   English
OS   CASREACT 143:133674
RE.CNT  46    THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
              ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6   ANSWER 15 OF 162  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:371282  CAPLUS
DN   142:411659
TI   Preparation of peptides as inhibitors of serine proteases, particularly
     HCV NS3-NS4A protease
IN   Cottrell, Kevin M.; Perni, Robert B.; Pitlik, Janos
PA   Vertex Pharmaceuticals Incorporated, USA
SO   PCT Int. Appl., 166 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   WO 2005037860       A2     20050428    WO 2004-US33238         20041008
     WO 2005037860       A3     20051110
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     AU 2004282148       A1     20050428    AU 2004-282148          20041008
     CA 2541634          A1     20050428    CA 2004-2541634         20041008
     EP 1692157          A2     20060823    EP 2004-794554          20041008
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
     CN 1906208          A      20070131    CN 2004-80034568        20041008
     US 2005137140       A1     20050623    US 2004-964214          20041012
     NO 20060002101      A      20060705    NO 2006-2101            20060510
PRAI US 2003-510156P     P      20031010
     US 2003-513768P     P      20031023
     WO 2004-US33238     W      20041008
OS   MARPAT 142:411659


=> D 16-2-
'16-2-' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS --------- GI and AB
ALL --------- BIB, AB, IND, RE
APPS -------- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN --------- List of CA abstract numbers without answer numbers
CBIB -------- AN, plus Compressed Bibliographic Data
CLASS ------- IPC, NCL, ECLA, FTERM
DALL -------- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND --------- Indexing data
IPC --------- International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS -------- PI, SO
SAM --------- CC, SX, TI, ST, IT
SCAN -------- CC, SX, TI, ST, IT (random display, no answer numbers;
              SCAN must be entered on the same line as the DISPLAY,
              e.g., D SCAN or DISPLAY SCAN)
STD --------- BIB, CLASS

IABS -------- ABS, indented with text labels
IALL -------- ALL, indented with text labels
IBIB -------- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD -------- STD, indented with text labels

OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations

HIT --------- Fields containing hit terms
HITIND ------ IC, ICA, ICI, NCL, CC and index field (ST and IT)
              containing hit terms
HITRN ------- HIT RN and its text modification
HITSTR ------ HIT RN, its text modification, its CA index name, and
              its structure diagram

HITSEQ ------ HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
FHITSTR ----- First HIT RN, its text modification, its CA index name, and
              its structure diagram
FHITSEQ ----- First HIT RN, its text modification, its CA index name, its
              structure diagram, plus NTE and SEQ fields
KWIC -------- Hit term plus 20 words on either side
OCC --------- Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field
codes.  For a list of the display field codes, enter HELP DFIELDS at
an arrow prompt (=>).  Examples of formats include: TI; TI,AU; BIB,ST;
TI,IND; TI,SO.  You may specify the format fields in any order and the
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FHITSTR, HITSEQ, FHITSEQ, KWIC, and OCC) may be used with DISPLAY ACC
to view a specified Accession Number.
ENTER DISPLAY FORMAT (BIB):END

=> D 16-20

L6   ANSWER 16 OF 162  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:347009  CAPLUS
DN   142:411657
TI   Preparation of peptides as inhibitors of serine proteases, particularly
     HCV NS3-NS4A protease
IN   Perni, Robert B.; Court, John J.; Britt, Shawn D.; Pitlik, Janos; Van
     Drie, John H.
PA   Vertex Pharmaceuticals Incorporated, USA
SO   PCT Int. Appl., 150 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
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PI   WO 2005035525       A2     20050421    WO 2004-US29093         20040907
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
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             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     AU 2004279800       A1     20050421    AU 2004-279800          20040907
     CA 2536436          A1     20050421    CA 2004-2536436         20040907
     US 2005137139       A1     20050623    US 2004-936450          20040907
     EP 1667998          A2     20060614    EP 2004-809688          20040907
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
     CN 1845920          A      20061011    CN 2004-80025418        20040907
     BR 2004014176       A      20061031    BR 2004-14176           20040907
     NO 2006001426       A      20060329    NO 2006-1426            20060329
PRAI US 2003-500670P     P      20030905
     WO 2004-US29093     W      20040907
OS   MARPAT 142:411657

L6   ANSWER 17 OF 162  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:300470  CAPLUS
DN   142:374112
TI   Preparation of cyclic peptides as novel melanocortin receptor agonists
IN   Conde-Frieboes, Kilian Waldemar; Senafuss, Ulrich; Madsen, Kjeld;
     Johansen, Nils Langeland; Christensen, Leif; Hansen, Thomas Kruse; Wulff,
     Birgitte Schjellerup
PA   Novo Nordisk A/S, Den.
SO   PCT Int. Appl., 124 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   WO 2005030797       A2     20050407    WO 2004-DK657           20040929
     WO 2005030797       A3     20050909
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
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             TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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             EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     AU 2004275928       A1     20050407    AU 2004-275928          20040929
     CA 2539596          A1     20050407    CA 2004-2539596         20040929
     EP 1670815          A2     20060621    EP 2004-762877          20040929
          R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
             IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
     CN 1860128          A      20061108    CN 2004-80028482        20040929
     BR 2004014890       A      20061212    BR 2004-14890           20040929
     US 2007027091       A1     20070201    US 2006-278014          20060330
PRAI DK 2003-1417        A      20030930
     WO 2004-DK657       W      20040929
OS   MARPAT 142:374112

L6   ANSWER 18 OF 162  CAPLUS  COPYRIGHT 2007 ACS on STN
AN   2005:281807  CAPLUS
DN   142:349026
TI   Inhibitors of serine proteases, particularly hepatitis C virus NS3-NS4A
     protease, preparation methods, and use in treatment of HCV infection
IN   Cottrell, Kevin M.; Perni, Robert P.; Pitlik, Janos; Schairer, Wayne C.
PA   Vertex Pharmaceuticals, Incorporated, USA
SO   PCT Int. Appl., 141 pp.
     CODEN: PIXXD2
DT   Patent
LA   English
FAN.CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.         DATE
     ---------------     ----   --------    --------------------    --------
PI   WO 2005028502       A1     20050331    WO 2004-US30428         20040917
          W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
             CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
             GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
             LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
             NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
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             SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
             SN, TD, TG
     AU 2004274468       A1     20050331    AU 2004-274468          20040917
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CA 2538791 A1 20050331 CA 2004-2538791 20040917
US 2005119189 A1 20050602 US 2004-943265 20040917
EP 1664091 A1 20060607 EP 2004-784319 20040917
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
PRAI US 2003-504405P P 20030918
WO 2004-US30428 W 20040917
OS MARPAT 142:349026
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 19 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:140534 CAPLUS
DN 142:225689
TI Target-specific activatable polymeric imaging agents
IN Uzgiris, Egidijus Edward; Amaratunga, Mohan Mark
PA General Electric Company, USA
SO U.S. Pat. Appl. Publ., 25 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2005036947	A1	20050217	US 2003-638888	20030812
WO 2005018680	A1	20050303	WO 2004-US25963	20040811

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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PRAI US 2003-638888 A 20030812

L6 ANSWER 20 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2005:50809 CAPLUS
DN 142:156325
TI Synthesis and evaluation of cyclic oligopeptide analogs as C5a receptor antagonists for treatment of disease
IN Hummel, Gerd; Knolle, Jochen; Locardi, Elsa; Polakowski, Thomas; Scharn, Dirk; Schnatbaum, Karsten
PA Jerini A.-G., Germany
SO Eur. Pat. Appl., 59 pp.
CODEN: EPXXDW
DT Patent
LA German
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI EP 1498422	A1	20050119	EP 2003-16233	20030717
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004259282	A1	20050203	AU 2004-259282	20040719
CA 2532994	A1	20050203	CA 2004-2532994	20040719
WO 2005010030	A2	20050203	WO 2004-EP8057	20040719
WO 2005010030	A3	20060622		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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EP 1622635 A2 20060208 EP 2004-759826 20040413
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
US 2006252120 A1 20061109 US 2005-243295 20050930
PRAI US 2003-418032 A 20030416
US 1997-897556 A2 19970721
US 1998-119507 A2 19980720
US 2000-547693 A2 20000412
US 2003-257199 B1 20030509
WO 2004-US11174 W 20040413

L6 ANSWER 23 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:710487 CAPLUS
DN 141:325166
TI Synthesis and structure-activity relationships of the halovirs, antiviral natural products from a marine-derived fungus
AU Rowley, David C.; Kelly, Sara; Jensen, Paul; Fenical, William
CS Center for Marine Biotechnology and Biomedicine, Scripps Institution of Oceanography, University of California, La Jolla, CA, 92093-0204, USA
SO Bioorganic & Medicinal Chemistry (2004), 12(18), 4929-4936
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Ltd.
DT Journal
LA English
OS CASREACT 141:325166
RE.CNT 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 24 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:617674 CAPLUS
DN 141:288547
TI Discovery of Potent Antagonists of the Antiapoptotic Protein XIAP for the Treatment of Cancer
AU Oost, Thorsten K.; Sun, Chaohong; Armstrong, Robert C.; Al-Assaad, Ali-Samer; Betz, Stephen F.; Deckwerth, Thomas L.; Ding, Hong; Elmore, Steven W.; Meadows, Robert P.; Olejniczak, Edward T.; Oleksijew, Andrew; Oltersdorf, Tilman; Rosenberg, Saul H.; Shoemaker, Alexander R.; Tomaselli, Kevin J.; Zou, Hua; Fesik, Stephen W.
CS Global Pharmaceutical Research and Development, Cancer Research, Abbott Laboratories, Abbott Park, IL, 60064, USA
SO Journal of Medicinal Chemistry (2004), 47(18), 4417-4426
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 141:288547

L6 ANSWER 25 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:581058 CAPLUS
DN 141:277876
TI P4 cap modified tetrapeptidyl α-ketoamides as potent HCV NS3 protease inhibitors
AU Sun, David X.; Liu, Lifei; Heinz, Beverly; Kolykhalov, Alexander; Lamar, Jason; Johnson, Robert B.; Wang, Q. May; Yip, Yvonne; Chen, Shu-Hui
CS Lilly Research Laboratory, A Division of Eli Lilly and Company, Lilly Corporate Center, Indianapolis, IN, 46285, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(16), 4333-4338
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 141:277876
RE.CNT 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
EP 1646643 A2 20060419 EP 2004-763337 20040719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR
US 2006183883 A1 20060817 US 2006-564788 20060117
PRAI EP 2003-16233 A 20030717
WO 2004-EP8057 W 20040719
OS MARPAT 142:156325
RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D 21-30

L6 ANSWER 21 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:1065532 CAPLUS
DN 142:169080
TI Combination of a hepatitis C virus NS3-NS4A protease inhibitor and alpha interferon synergistically inhibits viral RNA replication and facilitates viral RNA clearance in replicon cells
AU Lin, Kai; Kwong, Ann D.; Lin, Chao
CS Vertex Pharmaceuticals Incorporated, Cambridge, MA, USA
SO Antimicrobial Agents and Chemotherapy (2004), 48(12), 4784-4792
CODEN: AMACCQ; ISSN: 0066-4804
PB American Society for Microbiology
DT Journal
LA English
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 22 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:934462 CAPLUS
DN 141:406764
TI Synthetic genes for hydroxyproline-rich glycoproteins of plant gums and their use in gum manufacture with transgenic organisms
IN Kieliszewski, Marcia J.
PA Ohio University, USA
SO PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 6

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004094590	A2	20041104	WO 2004-US11174	20040413
WO 2004094590	A3	20050519		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2005074838 A1 20050407 US 2003-418032 20030416
CA 2522904 A1 20041104 CA 2004-2522904 20040413

L6 ANSWER 26 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:498168 CAPLUS
DN 141:184589
TI Novel Azapeptide Inhibitors of Hepatitis C Virus Serine Protease
AU Bailey, Murray D.; Halmos, Ted; Goudreau, Nathalie; Lescop, Ewen; Llinas-Brunet, Montse
CS Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SO Journal of Medicinal Chemistry (2004), 47(15), 3788-3799
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 141:184589
RE.CNT 51 THERE ARE 51 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 27 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:469025 CAPLUS
DN 141:150572
TI Synthetic peptide derived from α-fetoprotein inhibits growth of human breast cancer: Investigation of the pharmacophore and synthesis optimization
AU DePreest, L. A.; Nesfin, F. B.; Joseph, L.; McLeod, D. J.; Stallmer, A.; Reddy, S.; Balulad, S. S.; Jacobson, H. I.; Andersen, T. T.; Bennett, J. A.
CS Center for Immunology and Microbial Disease, Albany Medical College, Albany, NY, USA
SO Journal of Peptide Research (2004), 63(5), 409-419
CODEN: JPERFA; ISSN: 1397-002X
PB Blackwell Publishing Ltd.
DT Journal
LA English
RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 28 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:270989 CAPLUS
DN 141:405
TI Inhibitors of hepatitis C virus NS3·4A protease. Part 3: P2 proline variants
AU Perni, Robert B.; Farmer, Luc J.; Cottrell, Kevin M.; Court, John J.; Courtney, Lawrence F.; Deininger, David D.; Gates, Cynthia A.; Harbeson, Scott L.; Kim, Joseph L.; Lin, Chao; Lin, Kai; Luong, Yu-Ping; Maxwell, John P.; Murcko, Mark A.; Pitlik, Janos; Rao, B. Govinda; Schairer, Wayne C.; Tung, Roger D.; Van Drie, John H.; Wilson, Keith; Thomson, John A.
CS Vertex Pharmaceuticals Inc., Cambridge, MA, 02139, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(8), 1939-1942
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 141:405
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 29 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:264113 CAPLUS
DN 141:7424
TI Peptide-Based Inhibitors of the Hepatitis C Virus NS3 Protease: Structure-Activity Relationship at the C-Terminal Position
AU Rancourt, Jean; Cameron, Dale R.; Gorys, Vida; Lamarre, Daniel; Poirier, Martin; Thibeault, Diane; Llinas-Brunet, Montse
CS Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, H7S 2G5, Can.

SO Journal of Medicinal Chemistry (2004), 47(10), 2511-2522
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
OS CASREACT 141:7424
RE.CNT 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 30 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:189154 CAPLUS
DN 140:350052
TI Inhibitors of hepatitis C virus NS3·4A protease 2. Warhead SAR and optimization
AU Perni, Robert B.; Pitlik, Janos; Britt, Shawn D.; Court, John J.; Courtney, Lawrence F.; Deininger, David D.; Farmer, Luc J.; Gates, Cynthia A.; Harbeson, Scott L.; Levin, Rhonda B.; Lin, Chao; Lin, Kai; Moon, Young-Choon; Luong, Yu-Ping; O'Malley, Ethan T.; Rao, B. Govinda; Thomson, John A.; Tung, Roger D.; Van Drie, John H.; Wei, Yunyi
CS Vertex Pharmaceuticals Inc., Cambridge, MA, 02139, USA
SO Bioorganic & Medicinal Chemistry Letters (2004), 14(6), 1441-1446
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 140:350052
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D 31-40

L6 ANSWER 31 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:80708 CAPLUS
DN 140:140069
TI Synthesis and therapeutic uses of ghrelin analogs
IN Dong, Zheng Xin; Shen, Yeelana
PA Scientifiques (S.C.R.A.S.) Societe De Conseils De Recherches Et D'Application, Fr.
SO PCT Int. Appl., 99 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004009616	A2	20040129	WO 2003-US22925	20030723
WO 2004009616	A3	20060209		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2491946	A1	20040129	CA 2003-2491946	20030723
AU 2003254119	A1	20040209	AU 2003-254119	20030723
EP 1578778	A2	20050928	EP 2003-765930	20030723
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006515271	T	20060525	JP 2004-523304	20030723
CN 1832753	A	20060913	CN 2003-817446	20030723
NO 2005000083	A	20050323	NO 2005-83	20050106
US 2005272648	A1	20051208	US 2005-522398	20050121
IN 2005KN00153	A	20060609	IN 2005-KN153	20050208
PRAI US 2002-397834P	P	20020723		
US 2002-427488P	P	20021119		
WO 2003-US22925	W	20030723		

L6 ANSWER 32 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:912843 CAPLUS
DN 139:381756
TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
IN Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; Mccormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua
PA Schering Corporation, USA; Dendreon Corporation
SO U.S. Pat. Appl. Publ., 629 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003216325	A1	20031120	US 2001-908955	20010719
US 2004254117	A9	20041216		
US 7012066	B2	20060314		
CN 1498224	A	20040519	CN 2001-813111	20010719
US 2007032433	A1	20070208	US 2002-52386	20020118
ZA 2002010312	A	20040329	ZA 2002-10312	20021219
US 2006205672	A1	20060914	US 2005-241656	20050930
PRAI US 2000-220108P	P	20000721		
US 2001-908955	A2	20010719		

OS MARPAT 139:381756
RE.CNT 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 33 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:841841 CAPLUS
DN 140:70302
TI Inhibitors of hepatitis C virus NS3·4A protease 1. Non-Charged tetrapeptide variants
AU Perni, Robert B.; Britt, Shawn D.; Court, John C.; Courtney, Lawrence F.; Deininger, David D.; Farmer, Luc J.; Gates, Cynthia A.; Harbeson, Scott L.; Kim, Joseph L.; Landro, James A.; Levin, Rhonda B.; Luong, Yu-Ping; O'Malley, Ethan T.; Pitlik, Janos; Rao, B. Govinda; Schairer, Wayne C.; Thomson, John A.; Tung, Roger D.; Van Drie, John H.; Wei, Yunyi
CS Vertex Pharmaceuticals Inc., Cambridge, MA, 02139, USA
SO Bioorganic & Medicinal Chemistry Letters (2003), 13(22), 4059-4063
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science B.V.
DT Journal
LA English
OS CASREACT 140:70302
RE.CNT 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 34 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:837079 CAPLUS
DN 139:338195
TI Preparation of peptides as inhibitors of serine proteases, particularly HCV NS3-NS4A protease
IN Pitlik, Janos; Cottrell, Kevin M.; Farmer, Luc J.; Perni, Robert B.; Courtney, Lawrence F.; Van Drie, John H.; Murcko, Mark A.
PA Vertex Pharmaceuticals, Inc., USA
SO PCT Int. Appl., 210 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003087092	A2	20031023	WO 2003-US11459	20030411
WO 2003087092	A3	20040910		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2481369	A1	20031023	CA 2003-2481369	20030411
AU 2003223602	A1	20031027	AU 2003-223602	20030411
EP 1497282	A2	20050119	EP 2003-719741	20030411
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1649864	A	20050803	CN 2003-809665	20030411
JP 2005535574	T	20051124	JP 2003-584048	20030411
NO 2004004889	A	20050110	NO 2004-4889	20041110
PRAI US 2002-371846P	P	20020411		
WO 2003-US11459	W	20030411		

OS MARPAT 139:338195

L6 ANSWER 35 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:822575 CAPLUS
DN 140:37273
TI Solution Conformation of αA-conotoxin EIVA, a Potent Neuromuscular Nicotinic Acetylcholine Receptor Antagonist from Conus ermineus
AU Chi, Seung-Wook; Park, Kyu-Hwan; Suk, Jae-Eun; Olivera, Baldomero M.; McIntosh, J. Michael; Han, Kyou-Hoon
CS Proteome Analysis Laboratory, Division of Genomics and Proteomics, Research Institute of Bioscience and Biotechnology, Daejon, S. Korea
SO Journal of Biological Chemistry (2003), 278(43), 42208-42213
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 36 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:717640 CAPLUS
DN 139:240334
TI Alpha-fetoprotein peptides for reducing estrogen-stimulated growth of cells and for treating or preventing cancer
IN Andersen, Thomas T.; Bennett, James A.; Jacobson, Herbert I.; Mesfin, Fassil B.
PA CLF Medical Technology Acceleration Program, Inc., USA
SO U.S. Pat. Appl. Publ., 33 pp.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2003170752	A1	20030911	US 2001-872623	20010602
US 6818741	B2	20041116		
US 2005271587	A1	20051208	US 2004-990877	20041116
US 7132400	B2	20061107		
PRAI US 2000-208614P	P	20000601		
US 2001-872623	A3	20010602		

RE.CNT 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 37 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:591206 CAPLUS
DN 139:145837
TI Substrates for monitoring Staphylococcus aureus cysteine peptidase activity and use for screening antibacterial agents
IN Ramjee, Manoj Kumar
PA Amura Therapeutics Limited, UK
SO PCT Int. Appl., 96 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003062267	A2	20030731	WO 2003-GB120	20030116
WO 2003062267	A3	20030904		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRAI GB 2002-1040	A	20020117		
GB 2002-16508	A	20020716		

OS MARPAT 139:145837

L6 ANSWER 38 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:591204 CAPLUS
DN 139:149928
TI Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus
IN Saksena, Anil K.; Girijavallabhn, Viyyoor M.; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, George F.; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Wong, Jesse K.; Nair, Latha G.
PA Schering Corporation, USA; Corvas International, Inc.; Dendreon Corp.
SO PCT Int. Appl., 633 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003062265	A2	20030731	WO 2003-US1430	20030116
WO 2003062265	A3	20040916		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU,				

ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SC, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UZ, VC, VN, YU, ZA, ZM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2007032433	A1	20070208	US 2002-52386	20020118
CA 2473032	A1	20030731	CA 2003-2473032	20030116
EP 1481000	A2	20041201	EP 2003-731956	20030116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003006931	A	20050419	BR 2003-6931	20030116
JP 2005524628	T	20050818	JP 2003-562142	20030116
NO 2004002792	A	20041015	NO 2004-2792	20040702
IN 2004CN01564	A	20060224	IN 2004-CN1564	20040715
PRAI US 2002-52386	A	20020118		
US 2000-220108P	P	20000721		
US 2001-908955	A2	20010719		
WO 2003-US1430	W	20030116		

OS MARPAT 139:149928

L6 ANSWER 39 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:551605 CAPLUS
DN 139:122741
TI Peptide activators of VEGF
IN McGrath, Kevin
PA Kimberly-Clark Worldwide, Inc., USA; Kimberly Clark Co.
SO PCT Int. Appl., 37 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003057820	A2	20030717	WO 2002-US31699	20021004
WO 2003057820	A3	20031204		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004214777	A1	20041028	US 2001-32361	20011221
US 7053046	B2	20060530		
AU 2002340099	A1	20030724	AU 2002-340099	20021004
PRAI US 2001-32361	A	20011221		
WO 2002-US31699	W	20021004		

OS MARPAT 139:122741

L6 ANSWER 40 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:417766 CAPLUS
DN 139:2934
TI Alpha-fetoprotein peptides and uses for imaging
IN Andersen, Thomas T.; Bennett, James A.; Jacobson, Herbert I.; Mesfin, Fassil B.
PA CLF Medical Technology Acceleration Program, Inc., USA
SO PCT Int. Appl., 85 pp.
CODEN: PIXXD2
DT Patent
LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003044041	A2	20030530	WO 2002-US37291	20021120
WO 2003044041	A3	20040212		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2002363944	A1	20030610	AU 2002-363944	20021120
US 2006199769	A1	20060907	US 2002-300530	20021120
US 7122522	B2	20061017		
PRAI US 2001-331841P	P	20011120		
US 2001-340926P	P	20011207		
US 2002-397012P	P	20020719		
US 2002-397373P	P	20020719		
US 2002-409109P	P	20020909		
WO 2002-US37291	W	20021120		

OS MARPAT 139:2934

=> D 41-50

L6 ANSWER 41 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:352518 CAPLUS
DN 139:133264
TI Parallel Approach to Selective Catalysts for Palladium-Catalyzed Desymmetrization of 2,4-Cyclopentenediol
AU Agarkov, Anton; Uffman, Eric W.; Gilbertson, Scott R.
CS Department of Chemistry, Washington University, Saint Louis, MO, 63130-4899, USA
SO Organic Letters (2003), 5(12), 2091-2094
CODEN: ORLEF7; ISSN: 1523-7060
PB American Chemical Society
DT Journal
LA English
OS CASREACT 139:133264
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 42 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:338309 CAPLUS
DN 139:143358
TI Macrocyclic inhibitors of the NS3 protease as potential therapeutic agents of hepatitis C virus infection
AU Tsantrizos, Youla S.; Bolger, Gordon; Bonneau, Pierre; Cameron, Dale R.; Goudreau, Nathalie; Kukolj, George; LaPlante, Steven R.; Llinas-Brunet, Montse; Nar, Herbert; Lamarre, Daniel
CS Departments of Chemistry and Biological Sciences Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SO Angewandte Chemie, International Edition (2003), 42(12), 1356-1360
CODEN: ACIEF5; ISSN: 1433-7851
PB Wiley-VCH Verlag GmbH & Co. KGaA
DT Journal
LA English
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 43 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:282345 CAPLUS
DN 138:283308
TI An assay for human HIF prolyl hydroxylase using a peptide substrate, identification of human HPH isoenzymes, and drug screening applications
IN McKnight, Steven L.; Bruick, Richard K.
PA Board of Regents, the University of Texas System, USA
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003028663	A2	20030410	WO 2002-US31832	20021003
WO 2003028663	A3	20040129		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 6566088	B1	20030520	US 2001-972784	20011004
AU 2002343482	A1	20030414	AU 2002-343482	20021003
PRAI US 2001-972784	A	20011004		
WO 2002-US31832	W	20021003		

L6 ANSWER 44 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:117854 CAPLUS
DN 138:153833
TI Preparation of peptides having antiangiogenic activity
IN Haviv, Fortuna; Bradley, Michael F.; Kalvin, Douglas M.; Henkin, Jack
PA Abbott Laboratories, USA
SO PCT Int. Appl., 50 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003011896	A1	20030213	WO 2002-US19574	20020620

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2003050246	A1	20030313	US 2001-915956	20010726
CA 2454753	A1	20030213	CA 2002-2454753	20020620
EP 1421107	A1	20040526	EP 2002-742231	20020620
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2004016291	A2	20041129	HU 2004-1629	20020620
JP 2005507864	T	20050324	JP 2003-517087	20020620
US 2003045477	A1	20030306	US 2002-205924	20020726
BG 108587	A	20050331	BG 2004-108587	20040218
PRAI US 2001-915956	A	20010726		
WO 2002-US19574	W	20020620		

OS MARPAT 138:153833

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 45 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:76637 CAPLUS
DN 138:131089
TI α-Fetoprotein peptides and use in cancer treatment
IN Andersen, Thomas T.; Bennett, James A.; Jacobson, Herbert I.; Mesfin, Fassil B.
PA Albany Medical College, USA
SO PCT Int. Appl., 69 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003007978	A1	20030130	WO 2001-US17748	20010602

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2449284	A1	20030130	CA 2001-2449284	20010602
EP 1401467	A1	20040331	EP 2001-946037	20010602
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004536128	T	20041202	JP 2003-513583	20010602
PRAI WO 2001-US17748	W	20010602		

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 46 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2003:52781 CAPLUS
DN 140:28035
TI Rational design and synthesis of peptide ligands for an anti-Carbohydrate antibody and Their immunochemical characterization
AU Johnson, Margaret A.; Eniade, Adewale A.; Pinto, B. Mario
CS Departments of Chemistry and of Molecular Biology and Biochemistry, Simon Fraser University, Burnaby, BC, V5A 1S6, Can.
SO Bioorganic & Medicinal Chemistry (2003), 11(5), 781-788
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 140:28035
RE.CNT 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 47 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:856812 CAPLUS
DN 138:165184
TI Enhanced oral availability/pheromonotropic activity of peptidase-resistant topical amphiphilic analogs of pyrokinin/PBAN insect neuropeptides
AU Nachman, Ronald J.; Teal, Peter E. A.; Strey, Allison
CS Southern Plains Agricultural Research Center, Areawide Pest Management Research Unit, USDA, ARS, College Station, TX, 77845, USA
SO Peptides (New York, NY, United States) (2002), 23(11), 2035-2043
CODEN: PPTDD5; ISSN: 0196-9781
PB Elsevier Science Inc.
DT Journal

LA English
RE.CNT 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 48 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:849377 CAPLUS
DN 137:346939
TI Methods for inhibiting tumor cell proliferation using angiotensinogen, angiotensin I and II, or their fragments and analogs
IN Rodgers, Kathleen E.; Dizerega, Gere S.
PA University of Southern California, USA
SO PCT Int. Appl., 42 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002087504	A2	20021107	WO 2002-US13502	20020426
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004176302	A1	20040909	US 2002-133517	20020426
	US 7122523	B2	20061017		
PRAI	US 2001-287760P	P	20010501		
OS	MARPAT 137:346939				

L6 ANSWER 49 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:495427 CAPLUS
DN 137:363242
TI Effect of dermorphin analogs on thermoregulation of rats under various thermal conditions
AU Emel'yanova, T. G.; Usenko, A. B.; Bonartsev, A. P.; Kamenskii, A. A.; Guzevatykh, L. S.; Andreeva, L. A.; Alfeeva, L. Yu.; Myasoedov, N. F.
CS Semenov Institute of Chemical Physics, Russian Academy of Sciences, Moscow, 117977, Russia
SO Biology Bulletin (Moscow, Russian Federation (Translation of Izvestiya Rossiiskoi Akademii Nauk, Seriya Biologicheskaya)) (2002), 29(3), 284-289
CODEN: BBULFM
PB MAIK Nauka/Interperiodica Publishing
DT Journal
LA English
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 50 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:288633 CAPLUS
DN 137:20590
TI Preparation of novel O-sulfated amino acid building blocks with improved acid stability for Fmoc-based solid-phase peptide synthesis
AU Campos, Socorro Vazquez; Miranda, Lee P.; Meldal, Morten
CS Center for Solid-Phase Organic Combinatorial Chemistry, Department of Chemistry, Carlsberg Laboratory, Copenhagen, DK-2500, Den.
SO Journal of the Chemical Society, Perkin Transactions 1 (2002), (5), 682-686
CODEN: JCSPCE; ISSN: 1472-7781
PB Royal Society of Chemistry
DT Journal
LA English
OS CASREACT 137:20590
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D 51-60

L6 ANSWER 51 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:200863 CAPLUS
DN 137:87997
TI A peptide derived from α-fetoprotein preventa the growth of eatrogen-dependent human breast cancera aenaitive and reaistant to tamoxifen
AU Bennett, Jamea A.; Meafin, Fasail B.; Anderaen, Thomas T.; Gierthy, John F.; Jacobson, Herbert I.
CS Albany Medical College, Albany, NY, 12208, USA
SO Proceedings of the National Academy of Sciences of the United States of America (2002), 99(4), 2211-2215
CODEN: PNASA6; ISSN: 0027-8424
PB National Academy of Sciencea
DT Journal
LA English
RE.CNT 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 52 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:171885 CAPLUS
DN 136:232547
TI Preparation of peptidomimetic proteaae inhibitors
IN Babine, Robert Edward; Chen, Shu Hui; Lamar, Jason Eric; Snyder, Nancy June; Sun, Xicheng David; Tebbe, Mark Joseph; Victor, Frantz; Wang, Q. May; Yip, Yvonne Yee Mai; Collado, Ivan; Garcia-Paredes, Cristina; Parker, Raymond Samuel, III; Jin, Ling; Guo, Deqi; Glass, John Irvin
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 424 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002018369	A2	20020307	WO 2001-US26008	20010831
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2419607	A1	20020307	CA 2001-2419607	20010831
	AU 2001088318	A	20020313	AU 2001-88318	20010831
	EP 1320540	A2	20030625	EP 2001-968040	20010831
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	CN 1451014	A	20031022	CN 2001-815055	20010831
	HU 200300855	A2	20031028	HU 2003-855	20010831
	JP 2004517047	T	20040610	JP 2002-523884	20010831
	BR 2001013666	A	20050927	BR 2001-13666	20010831
	CN 1869061	A	20061129	CN 2006-10080326	20010831
	IN 2003KN00242	A	20050311	IN 2003-KN242	20030225
	NO 2003000928	A	20030416	NO 2003-928	20030227
	ZA 2003001641	A	20040621	ZA 2003-1641	20030227
	US 2005197299	A1	20050908	US 2004-344112	20041217
PRAI	US 2000-229398P	P	20000831		
	US 2001-277641P	P	20010321		
	CN 2001-815055	A3	20010831		
	WO 2001-US26008	W	20010831		
OS	MARPAT 136:232547				

L6 ANSWER 53 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:90074 CAPLUS
DN 136:151440
TI Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus
IN Sakaena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Liu, Yi-Taung; Arasappan, Ashok; Parekh, Tejal; Pinto, Patrick A.; Njoroge, F. George; Ganguly, Ashit K.; Brunck, Terence K.; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita
PA Schering Corporation, USA; Corvas International, Inc.
SO PCT Int. Appl., 197 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008256	A2	20020131	WO 2001-US22826	20010719
	WO 2002008256	A3	20020829		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2418204	A1	20020131	CA 2001-2418204	20010719
	US 2003036501	A1	20030220	US 2001-909062	20010719
	US 6800434	B2	20041005		
	EP 1301528	A2	20030416	EP 2001-959046	20010719
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004515465	T	20040527	JP 2002-514160	20010719
	US 2005059606	A1	20050317	US 2004-934141	20040903
PRAI	US 2000-220109P	P	20000721		
	US 2001-909062	A3	20010719		
	WO 2001-US22826	W	20010719		
OS	MARPAT 136:151440				

L6 ANSWER 54 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:90062 CAPLUS
DN 136:167698
TI Preparation of peptidea as NS3-aerine proteaae inhibitors of hepatitia C virus
IN Sakaena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Rusaell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-Taung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal N.; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.
PA Schering Corporation, USA; Corvas International, Inc.
SO PCT Int. Appl., 536 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 4

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002008244	A2	20020131	WO 2001-US22678	20010719
	WO 2002008244	A3	20030619		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	CA 2410662	A1	20020131	CA 2001-2410662	20010719
	AU 2001076988	A	20020205	AU 2001-76988	20010719
	BR 2001012540	A	20030624	BR 2001-12540	20010719
	EP 1385870	A2	20040204	EP 2001-954764	20010719
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004504404	T	20040212	JP 2002-514149	20010719
	CN 1498224	A	20040519	CN 2001-813111	20010719
	HU 200401730	A2	20041228	HU 2004-1730	20010719
	NZ 523782	A	20051028	NZ 2001-523782	20010719
	ZA 2002010312	A	20040329	ZA 2002-10312	20021219
	IN 2003CN00089	A	20050408	IN 2003-CN89	20030116
	NO 2003000272	A	20030321	NO 2003-272	20030120
PRAI	US 2000-220108P	P	20000721		
	WO 2001-US22678	W	20010719		
OS	MARPAT 136:167698				

L6 ANSWER 55 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2002:72796 CAPLUS
DN 136:123692
TI Peptide compositions mimicking TGF-β activity
IN Bhatnagar, Rajendra S.; Qian, Jing Jing; Gough, Craig
PA The Regents of the University of California, USA
SO U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part of U. S. 5,780,436.
CODEN: USXXCO
DT Patent
LA English
FAN.CNT 5

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 2002010134	A1	20020124	US 1998-113696	19980710
	US 6638912	B2	20031028		
	US 5661127	A	19970826	US 1995-431954	19950501
	US 5780436	A	19980714	US 1996-742256	19961031
	WO 2000002916	A2	20000120	WO 1999-US15432	19990708
	WO 2000002916	A3	20000413		
	W: AU, CA, CN, JP, KR, NZ				
	RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9949762	A	20000201	AU 1999-49762	19990708
PRAI	US 1995-431954	A2	19950501		
	US 1996-742256	A2	19961031		
	US 1998-113696	A	19980710		
	WO 1999-US15432	W	19990708		
OS	MARPAT 136:123692				

L6 ANSWER 56 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:747745 CAPLUS
DN 135:289060

TI Preparation of peptides as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease
IN Perni, Robert; Court, John; O'malley, Ethan; Bhisetti, Govinda Rao
PA Vertex Pharmaceuticals Incorporated, USA
SO PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001074768	A2	20011011	WO 2001-US10367	20010329
WO 2001074768	A3	20020606		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2405043	A1	20011011	CA 2001-2405043	20010329
AU 2001051165	A5	20011015	AU 2001-51165	20010329
EP 1268519	A2	20030102	EP 2001-924516	20010329
EP 1268519	B1	20050615		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003529583	T	20031007	JP 2001-572463	20010329
AT 297946	T	20050715	AT 2001-924516	20010329
ES 2240446	T3	20051016	ES 2001-1924516	20010329
US 2003236242	A1	20031225	US 2003-391932	20030319
AU 2006202124	A1	20060608	AU 2006-202124	20060519
PRAI US 2000-194563P	P	20000403		
US 2000-198330P	P	20000418		
WO 2001-US10367	W	20010329		

OS MARPAT 135:289060

L6 ANSWER 57 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:725404 CAPLUS
DN 136:144763
TI Development of a synthetic cyclized peptide derived from α-fetoprotein that prevents the growth of human breast cancer
AU Mesfin, F. B.; Andersen, T. T.; Jacobson, H. I.; Zhu, S.; Bennett, J. A.
CS Center for Immunology and Microbial Diseases, Albany Medical College, Albany, NY, 12208, USA
SO Journal of Peptide Research (2001), 58(3), 246-256
CODEN: JPERFA; ISSN: 1397-002X
PB Munksgaard International Publishers Ltd.
DT Journal
LA English
RE.CNT 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 58 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:628948 CAPLUS
DN 136:20231
TI Solid-phase synthesis of hydroxyproline-based cyclic hexapeptides
AU Basso, A.; Ernst, B.
CS Pharmacenter, University of Basel, Institute of Molecular Pharmacy, Basel, CH-4056, Switz.
SO Tetrahedron Letters (2001), 42(38), 6687-6690
CODEN: TSLEAY; ISSN: 0040-4039
PB Elsevier Science Ltd.
DT Journal
LA English
RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D 61-70

L6 ANSWER 61 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:839438 CAPLUS
DN 134:128050
TI Transferred 13C T1 Relaxation at Natural Isotopic Abundance: A Practical Method for Determining Site-Specific Changes in Ligand Flexibility upon Binding to a Macromolecule
AU LaPlante, Steven R.; Aubry, Norman; Deziel, Robert; Ni, Feng; Xu, Ping
CS Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SO Journal of the American Chemical Society (2000), 122(50), 12530-12535
CODEN: JACSAT; ISSN: 0002-7863
PB American Chemical Society
DT Journal
LA English
RE.CNT 46 THERE ARE 46 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 62 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:719694 CAPLUS
DN 134:65833
TI NMR line-broadening and transferred NOESY as a medicinal chemistry tool for studying inhibitors of the hepatitis C virus NS3 protease domain
AU LaPlante, S. R.; Aubry, N.; Bonneau, P. R.; Kukolj, G.; Lamarre, D.; Lefebvre, S.; Li, H.; Llinas-Brunet, M.; Plouffe, C.; Cameron, D. R.
CS Departments of Chemistry and Biological Sciences, Boehringer Ingelheim (Canada) Ltd, Laval, QC, H7S 2G5, Can.
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(20), 2271-2274
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
RE.CNT 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 63 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:719693 CAPLUS
DN 134:50978
TI Highly potent and selective peptide-based inhibitors of the hepatitis C virus serine protease: towards smaller inhibitors
AU Llinas-Brunet, M.; Bailey, M.; Fazal, G.; Ghiro, E.; Gorys, V.; Goulet, S.; Halmos, T.; Maurice, R.; Poirier, M.; Poupart, M.-A.; Rancourt, J.; Thibeault, D.; Wernic, D.; Lamarre, D.
CS Research and Development, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SO Bioorganic & Medicinal Chemistry Letters (2000), 10(20), 2267-2270
CODEN: BMCLE8; ISSN: 0960-894X
PB Elsevier Science Ltd.
DT Journal
LA English
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 64 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:508204 CAPLUS
DN 133:144924
TI Tri-, tetra-, penta-, and polypeptides and their therapeutic use as an antidepressant agents
IN Abajian, Henry B.; Noble, John F.; Hlavka, Joseph J.
PA Innapharma, Inc., USA
LA English
OS CASREACT 136:20231
RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 59 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:565068 CAPLUS
DN 135:147772
TI Methods for inhibiting smooth muscle cell proliferation using angiotensinogen, angiotensin I, AI analogs, AI fragments and fragment analogs, angiotensin II analogs, AII fragments and fragment analogs or AII AT2 type 2 receptor agonists
IN Rodgers, Kathleen E.; Dizerega, Gere S.
PA University of Southern California, USA
SO PCT Int. Appl., 46 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001055176	A2	20010802	WO 2001-US2768	20010126
WO 2001055176	A3	20020725		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002049162	A1	20020425	US 2001-771192	20010126
PRAI US 2000-178423P	P	20000127		

OS MARPAT 135:147772

L6 ANSWER 60 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2001:416971 CAPLUS
DN 135:19916
TI Preparation of α-keto amide inhibitors of hepatitis C virus NS3 protease
IN Han, Wei
PA Du Pont Pharmaceuticals Company, USA
SO PCT Int. Appl., 282 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001040262	A1	20010607	WO 2000-US32677	20001201
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2390349	A1	20010607	CA 2000-2390349	20001201
US 2002123468	A1	20020905	US 2000-728653	20001201
US 6774212	B2	20040810		
EP 1252178	A1	20021030	EP 2000-983845	20001201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
JP 2003526634	T	20030909	JP 2001-541017	20001201
PRAI US 1999-168998P	P	19991203		
WO 2000-US32677	W	20001201		

OS MARPAT 135:19916

SO U.S., 82 pp., Cont.-in-part of U. S. 5,767,083.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 5

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 6093797	A	20000725	US 1997-962962	19971104
US 5589460	A	19961231	US 1994-238089	19940504
US 5767083	A	19980616	US 1995-432651	19950502
WO 9922758	A1	19990514	WO 1998-US23478	19981104
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9913058	A	19990524	AU 1999-13058	19981104
IN 191479	A1	20031206	IN 2001-CA198	20010404
US 2003176354	A1	20030918	US 2002-122246	20020411
US 6767897	B2	20040727		
PRAI US 1994-238089	A2	19940504		
US 1995-432651	A2	19950502		
IN 1996-CA786	A3	19960501		
US 1997-962962	A	19971104		
WO 1998-US23478	W	19981104		
US 2000-625103	B2	20000725		

OS MARPAT 133:144924
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 65 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:488724 CAPLUS
DN 133:267119
TI Total synthesis and antifungal evaluation of cyclic aminohexapeptides
AU Klein, Larry L.; Li, Leping; Chen, Hui-Ju; Curty, Cynthia B.; DeGoey, David A.; Grampovnik, David J.; Leone, Christina L.; Thomas, Sheela A.; Yeung, Clinto M.; Funk, Kenneth W.; Kishore, Vimal; Lundell, Edwin O.; Wodka, Dariusz; Meulbroek, Jon A.; Alder, Jeffrey D.; Nilius, Angela M.; Lartey, Paul A.; Plattner, Jacob J.
CS Infectious Disease Research, Abbott Laboratories, Abbott Park, IL, 60064-3500, USA
SO Bioorganic & Medicinal Chemistry (2000), 8(7), 1677-1696
CODEN: BMECEP; ISSN: 0968-0896
PB Elsevier Science Ltd.
DT Journal
LA English
OS CASREACT 133:267119
RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 66 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:449929 CAPLUS
DN 133:318679
TI Multiple bromotryptophan and γ-carboxyglutamate residues in a Conus peptide
AU Lirazan, Marcelina B.; Craig, A. Grey; Shetty, Reshma; Walker, Craig S.; Olivera, Baldomero M.; Cruz, Lourdes J.
CS Department of Physical Sciences and Mathematics, University of the Philippines Manila, Manila, Philippines
SO Philippine Journal of Science (1999), 128(3), 239-246
CODEN: PJSCAK; ISSN: 0031-7683
PB Science and Technology Information Institute, Dep. of Science and

Technology
DT Journal
LA English
RE.CNT 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 67 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:236643 CAPLUS
DN 132:343480
TI Effects of dermorphin and its analogs on spontaneous behavior of white rats
AU Usenko, A. B.; Uranova, M. G.; Emel'yanova, T. G.; Andreeva, L. A.; Alfeeva, L. Yu.; Kamenskii, A. A.; Myasoedov, N. F.
CS Inst. Mol. Genet., Ross. Akad. Nauk, Moscow, Russia
SO Doklady Akademii Nauk (2000), 370(5), 704-707
CODEN: DAKNEQ; ISSN: 0869-5652
PB MAIK Nauka
DT Journal
LA Russian

L6 ANSWER 68 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2000:119384 CAPLUS
DN 132:304501
TI Structure determination of two conotoxins from Conus textile by a combination of matrix-assisted laser desorption/ionization time-of-flight and electrospray ionization mass spectrometry and biochemical methods
AU Kalume, Dario E.; Stenflo, Johan; Czerwiec, Eva; Hambe, Bjorn; Furie, Barbara C.; Furie, Bruce; Roepstorff, Peter
CS Department of Molecular Biology, University of Southern Denmark, Odense University, Odense, DK-5230, Den.
SO Journal of Mass Spectrometry (2000), 35(2), 145-156
CODEN: JMSPFJ; ISSN: 1076-5174
PB John Wiley & Sons Ltd.
DT Journal
LA English
RE.CNT 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 69 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:775928 CAPLUS
DN 132:103146
TI Stimulation of nonspecific resistance by thymopentin and its analogs against Leishmania donovani infection in hamsters
AU Sharma Anuradha, P.; Rohatgi, A.; Haq, W.; Mathur, K. B.; Katiyar, J. C.
CS Divisions of Parasitology and Biopolymers, Central Drug Research Institute, Lucknow, India
SO Peptides (New York) (1999), 20(11), 1381-1383
CODEN: PPTDD5; ISSN: 0196-9781
PB Elsevier Science Inc.
DT Journal
LA English
RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 70 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:602006 CAPLUS
DN 131:319221
TI Structural analysis of 14-3-3 phosphopeptide complexes identifies a dual role for the nuclear export signal of 14-3-3 in ligand binding
AU Rittinger, Katrin; Budman, Joe; Xu, Jian; Volinia, Stefano; Cantley, Lewis C.; Smerdon, Stephen J.; Gamblin, Steven J.; Yaffe, Michael B.
CS Division of Protein Structure, National Institute for Medical Research, London, NW7 1AA, UK
SO Molecular Cell (1999), 4(2), 153-166
CODEN: MOCEFL; ISSN: 1097-2765
PB Cell Press
DT Journal
LA English
RE.CNT 82 THERE ARE 82 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D 71-80

L6 ANSWER 71 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:468467 CAPLUS
DN 131:99053
TI Isolation, structure, sequences and anticonvulsant activity of contryphan peptides
IN Jacobsen, Richard; Jimenez, Elsie; Cruz, Lourdes J.; Olivera, Baldomero M.; Gray, William R.; Grilley, Michelle; Watkins, Maren; Hillyard, David R.
PA University of Utah Research Foundation, USA
SO PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9933865	A1	19990708	WO 1998-US26789	19981216
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6077934	A	20000620	US 1998-61026	19980416
AU 9919999	A	19990719	AU 1999-19999	19981216
US 6153738	A	20001128	US 1999-466138	19991221
PRAI US 1997-68737P	P	19971224		
US 1998-61026	A	19980416		
WO 1998-US26789	W	19981216		

OS MARPAT 131:99053
RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 72 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:452977 CAPLUS
DN 131:268497
TI 60D-Configuration of Serine Is Crucial in Maintaining the Phalloidin-like Conformation of Viroisin
AU Zanotti, Giancarlo; Kobayashi, Naohiro; Munekata, Eisuke; Zobeley, Suse; Faulstich, Heinz
CS Centro di Chimica del Farmaco del CNR, Universita La Sapienza, Rome, Italy
SO Biochemistry (1999), 38(33), 10723-10729
CODEN: BICHAW; ISSN: 0006-2960
PB American Chemical Society
DT Journal
LA English
RE.CNT 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 73 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:419961 CAPLUS
DN 131:210793
TI Solution structure of substrate-based ligands when bound to hepatitis C virus NS3 protease domain
AU Laplante, Steven R.; Cameron, Dale R.; Aubry, Norman; Lefebvre, Sylvain; Kukolj, George; Maurice, Roger; Thibeault, Diane; Lamarre, Daniel; Llinas-Brune, Montse
CS Departments of Chemistry and Biological Sciences, Bio-Mega Res. Div., Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SO Journal of Biological Chemistry (1999), 274(26), 18618-18624
CODEN: JBCHA3; ISSN: 0021-9258
PB American Society for Biochemistry and Molecular Biology
DT Journal
LA English
RE.CNT 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 74 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:136764 CAPLUS
DN 130:196957
TI Preparation of bicyclic peptide derivatives as interleukin-1β converting enzyme inhibitors
IN Batchelor, Mark James; Bebbington, David; Bemis, Guy W.; Fridman, Wolf Herman; Gillespie, Roger John; Golec, Julian M. C.; Lauffer, David J.; Livingston, David J.; Matharu, Saroop Singh; Mullican, Michael D.; Murcko, Mark A.; Murdoch, Robert; Zelle, Robert E.
PA Vertex Pharmaceuticals Incorporated, USA
SO U.S., 189 pp., Cont.-in-part of U.S. Ser. No. 575,641.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 5874424	A	19990223	US 1996-598332	19960208
US 6008217	A	19991228	US 1995-575641	19951220
US 6204261	B1	20010320	US 1996-761483	19961206
IN 182290	A1	19990306	IN 1996-CA2188	19961218
IN 1996CA02189	A	20050304	IN 1996-CA2189	19961218
CA 2239904	A1	19970626	CA 1996-2239904	19961220
WO 9722619	A2	19970626	WO 1996-US20843	19961220
WO 9722619	A3	19971016		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
ZA 9610798	A	19970707	ZA 1996-10798	19961220
AU 9715222	A	19970714	AU 1997-15222	19961220
AU 735075	B2	20010628		
EP 869967	A2	19981014	EP 1996-945318	19961220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9612258	A	19990713	BR 1996-12258	19961220
CN 1229412	A	19990922	CN 1996-199828	19961220
HU 9902707	A2	19991129	HU 1999-2707	19961220
NZ 326610	A	20000825	NZ 1996-326610	19961220
JP 2002507961	T	20020312	JP 1997-523098	19961220
TR 200201218	T2	20020821	TR 2002-200201218	19961220
TR 200201216	T2	20020923	TR 2002-200201216	19961220
TR 200201217	T2	20021223	TR 2002-200201217	19961220
JP 2003137896	A	20030514	JP 2002-306094	19961220
NZ 518094	A	20040130	NZ 1996-518094	19961220
TW 235157	B	20050701	TW 2002-91132804	19961220
PL 190736	B1	20051230	PL 1996-328527	19961220
CN 1740173	A	20060301	CN 2005-10104021	19961220
NO 9802597	A	19980812	NO 1998-2597	19980605
BG 64465	B1	20050331	BG 1998-102624	19980713
BG 108927	A	20060630	BG 1998-108927	19980713
US 6258948	B1	20010710	US 1999-400639	19990921
US 6423840	B1	20020723	US 2001-773477	20010131
AU 756253	B2	20030109	AU 2001-76122	20010928
US 2003225269	A1	20031204	US 2002-58522	20020128
US 2005143436	A1	20050630	US 2004-999865	20041129
PRAI US 1995-575641	A2	19951220		
US 1995-575647	A	19951220		
US 1996-598332	A2	19960208		
US 1996-712878	A2	19960912		
US 1996-31495P	P	19961126		
US 1996-761483	A	19961206		
AU 1997-15222	A3	19961220		
CN 1996-199828	A3	19961220		
JP 1997-523098	A3	19961220		
WO 1996-US20843	W	19961220		
US 1999-400639	A3	19990921		
US 2001-773477	A3	20010131		
US 2002-58522	B3	20020128		

OS MARPAT 130:196957
RE.CNT 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 75 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:126925 CAPLUS
DN 130:168666
TI Preparation of peptide analogs as hepatitis C inhibitors
IN Llinas-Brunet, Montse; Bailey, Murray Douglas; Halmos, Teddy; Poupart, Marc-Andre; Tsantrizos, Youla
PA Boehringer Ingelheim (Canada) Ltd., Can.
SO PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 9907734	A2	19990218	WO 1998-CA764	19980810
WO 9907734	A3	19990520		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2294562	A1	19990218	CA 1998-2294562	19980810
CA 2294562	C	20050726		
AU 9888466	A	19990301	AU 1998-88466	19980810
AU 757072	B2	20030130		
EP 1012180	A2	20000628	EP 1998-939997	19980810
EP 1012180	B1	20041201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6143715	A	20001107	US 1998-131433	19980810
JP 2001512744	T	20010828	JP 2000-506236	19980810
HU 200100100	A2	20011128	HU 2001-100	19980810
NZ 503263	A	20021025	NZ 1998-503263	19980810
AT 283865	T	20041215	AT 1998-939997	19980810
PT 1012180	T	20050429	PT 1998-939997	19980810
ES 2234144	T3	20050616	ES 1998-939997	19980810
MX 200001491	A	20001110	MX 2000-1491	20000211
PRAI US 1997-55247P	P	19970811		

WO 1998-CA764 W 19980810
OS MARPAT 130:168666

L6 ANSWER 76 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:126924 CAPLUS
DN 130:168665
TI Preparation of hepatitis C inhibitory peptides
IN Llinas-Brunet, Montse; Poupart, Marc-Andre; Rancourt, Jean; Simoneau, Bruno; Tsantrizos, Youla; Wernic, Dominik
PA Boehringer Ingelheim (Canada) Ltd., Can.
SO PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9907733	A2	19990218	WO 1998-CA765	19980810
	WO 9907733	A3	19990520		
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2294049	A1	19990218	CA 1998-2294049	19980810
	AU 9887956	A	19990301	AU 1998-87956	19980810
	AU 757783	B2	20030306		
	EP 1003775	A2	20000531	EP 1998-939450	19980810
	EP 1003775	B1	20050316		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
	HU 200004853	A2	20010528	HU 2000-4853	19980810
	JP 2001512743	T	20010828	JP 2000-506235	19980810
	NZ 503262	A	20021025	NZ 1998-503262	19980810
	AT 291032	T	20050415	AT 1998-939450	19980810
	PT 1003775	T	20050729	PT 1998-939450	19980810
	ES 2241157	T3	20051016	ES 1998-939450	19980810
	US 6767991	B1	20040727	US 1999-368670	19990805
	MX 200001498	A	20001110	MX 2000-1498	20000211
PRAI	US 1997-55186P	P	19970811		
	US 1998-131758	B2	19980810		
	US 1998-95945P	P	19980810		
	WO 1998-CA765	W	19980810		
	US 1998-219939	B1	19981223		

OS MARPAT 130:168665

L6 ANSWER 77 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1999:32812 CAPLUS
DN 130:196942
TI Dermorphin and Deltorphin Glycosylated Analogs: Synthesis and Antinociceptive Activity after Systemic Administration
AU Negri, Lucia; Lattanzi, Roberta; Tabacco, Fabio; Orru, Luigi; Severini, Cinzia; Scolaro, Barbara; Rocchi, Raniero
CS Institute of Medical Pharmacology, University La Sapienza of Rome, Rome, I-00185, Italy
SO Journal of Medicinal Chemistry (1999), 42(3), 400-404
CODEN: JMCMAR; ISSN: 0022-2623
PB American Chemical Society
DT Journal
LA English
RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 78 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:788773 CAPLUS
DN 130:66805
TI Preparation of peptide inhibitors of interleukin-1β converting enzyme
IN Bemis, Guy W.; Golec, Julian M. C.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Livingston, David J.
PA Vertex Pharmaceuticals, Incorporated, USA
SO U.S., 106 pp., Cont.-in-part of U.S. 5,656,627.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5847135	A	19981208	US 1995-440898	19950525
	US 5756466	A	19980526	US 1994-261452	19940617
	US 5656627	A	19970812	US 1995-405581	19950317
	US 5716929	A	19980210	US 1995-464964	19950605
	US 6103711	A	20000815	US 1995-465216	19950605
	TW 509698	B	20021111	TW 1995-84105903	19950609
	IN 181338	A1	19980516	IN 1995-CA659	19950612
	CA 2192089	A1	19951228	CA 1995-2192089	19950616
	WO 9535308	A1	19951228	WO 1995-US7617	19950616
	W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
	RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	AU 9529446	A	19960115	AU 1995-29446	19950616
	AU 709114	B2	19990819		
	EP 784628	A1	19970723	EP 1995-925257	19950616
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	CN 1159196	A	19970910	CN 1995-194381	19950616
	BR 9508051	A	19971021	BR 1995-8051	19950616
	HU 76622	A2	19971028	HU 1996-3475	19950616
	JP 10504285	T	19980428	JP 1996-502478	19950616
	AP 797	A	20000107	AP 1997-960	19950616
	W: KE, MW, SD, SZ, UG				
	PL 185693	B1	20030731	PL 1995-318220	19950616
	EP 1394175	A1	20040303	EP 2003-22215	19950616
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	RU 2242480	C2	20041220	RU 1997-100937	19950616
	NO 9605365	A	19970217	NO 1996-5365	19961213
	NO 317947	B1	20050110		
	FI 9605036	A	19970214	FI 1996-5036	19961216
	BG 63634	B1	20020731	BG 1997-101130	19970114
	US 5973111	A	19991026	US 1997-828941	19970328
	IN 183119	A1	19990911	IN 1997-CA778	19970430
	US 6420522	B1	20020716	US 1999-430822	19991029
	US 2002099042	A1	20020725	US 2001-886773	20010621
PRAI	US 1994-261452	A2	19940617		
	US 1995-405581	A2	19950317		
	US 1995-440898	A3	19950525		
	US 1995-465216	A3	19950605		
	IN 1995-CA659	A1	19950612		
	EP 1995-925257	A3	19950616		
	WO 1995-US7617	W	19950616		
	US 1999-430822	A3	19991029		

OS MARPAT 130:66805
RE.CNT 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 79 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:457251 CAPLUS
DN 129:118264
TI Polypeptide analogs having growth hormone releasing activity
IN Bowers, Cyril Y.; Coy, David
PA Administrators of the Tulane Educational Fund, USA
SO U.S., 19 pp., Cont.-in-part of U. S. Ser. No. 748,350.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5776901	A	19980707	US 1992-932494	19920820
	US 5663146	A	19970902	US 1991-748350	19910822
	IL 102848	A	19980405	IL 1992-102848	19920818
	JP 07507039	T	19950803	JP 1993-504585	19920820
	JP 3179489	B2	20010625		
	AT 172742	T	19981115	AT 1992-919262	19920820
	ES 2124263	T3	19990201	ES 1992-919262	19920820
	CZ 293281	B6	20040317	CZ 1994-400	19920820
	ZA 9206337	A	19930422	ZA 1992-6337	19920821
	CN 1073684	A	19930630	CN 1992-110868	19920822
	CN 1035256	B	19970625		
PRAI	US 1991-748350	A2	19910822		
	US 1992-932494	A	19920820		

OS MARPAT 129:118264
RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 80 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1998:268513 CAPLUS
DN 128:321945
TI Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease
IN Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
PA Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
SO PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9817679	A1	19980430	WO 1997-US18968	19971017
	W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
	RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CA 2268391	A1	19980430	CA 1997-2268391	19971017
	ZA 9709327	A	19980511	ZA 1997-9327	19971017
	AU 9851477	A	19980515	AU 1998-51477	19971017
	AU 719984	B2	20000518		
	EP 932617	A1	19990804	EP 1997-946273	19971017
	EP 932617	B1	20020116		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	IN 183120	A1	19990911	IN 1997-CA1951	19971017
	BR 9712544	A	19991019	BR 1997-12544	19971017
	CN 1238780	A	19991215	CN 1997-180151	19971017
	CN 1133649	B	20040107		
	HU 200000152	A2	20000728	HU 2000-152	19971017
	NZ 335276	A	20000929	NZ 1997-335276	19971017
	JP 2001502694	T	20010227	JP 1998-519568	19971017
	EP 1136498	A1	20010926	EP 2001-109433	19971017
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
	AP 1019	A	20011016	AP 1999-1512	19971017
	W: GH, KE, LS, MW, SD, SZ, UG, ZW				
	AT 212037	T	20020215	AT 1997-946273	19971017
	ES 2169880	T3	20020716	ES 1997-946273	19971017
	EE 4023	B1	20030415	EE 1999-161	19971017
	PL 192280	B1	20060929	PL 1997-332872	19971017
	TW 530065	B	20030501	TW 1997-86115382	19971018
	NO 9901832	A	19990617	NO 1999-1832	19990416
	US 6265380	B1	20010724	US 1999-293247	19990416
	KR 2000049263	A	20000725	KR 1999-703372	19990417
	HK 1023779	A1	20020927	HK 2000-100690	20000203
	US 2002032175	A1	20020314	US 2001-875390	20010606
	US 6617309	B2	20030909		
	US 2004266731	A1	20041230	US 2003-607716	20030627
PRAI	US 1996-28290P	P	19961018		
	EP 1997-946273	A3	19971017		
	WO 1997-US18968	W	19971017		
	US 1999-293247	A	19990416		
	US 2001-875390	A3	20010606		

OS MARPAT 128:321945
RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> D 74-162 IBIB ABS HITSTR

L6 ANSWER 74 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:136764 CAPLUS
DOCUMENT NUMBER: 130:196957
TITLE: Preparation of bicyclic peptide derivatives as interleukin-1β converting enzyme inhibitors
INVENTOR(S): Batchelor, Mark James; Bebbington, David; Bemis, Guy W.; Fridman, Wolf Herman; Gillespie, Roger John; Golec, Julian M. C.; Lauffer, David J.; Livingston, David J.; Matharu, Saroop Singh; Mullican, Michael D.; Murcko, Mark A.; Murdoch, Robert; Zelle, Robert E.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: U.S., 189 pp., Cont.-in-part of U.S. Ser. No. 575,641.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5874424	A	19990223	US 1996-598332	19960208
US 6008217	A	19991228	US 1995-575641	19951220
US 6204261	B1	20010320	US 1996-761483	19961206
IN 182290	A1	19990306	IN 1996-CA2188	19961218
IN 1996CA02189	A	20050304	IN 1996-CA2189	19961218
CA 2239904	A1	19970626	CA 1996-2239904	19961220
WO 9722619	A2	19970626	WO 1996-US20843	19961220
WO 9722619	A3	19971016		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC,				

LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG

ZA 9610798	A	19970707	ZA 1996-10798		19961220
AU 9715222	A	19970714	AU 1997-15222		19961220
AU 735075	B2	20010628			
EP 869967	A2	19981014	EP 1996-945318		19961220
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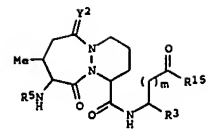
BR 9612258	A	19990713	BR 1996-12258		19961220
CN 1229412	A	19990922	CN 1996-199828		19961220
HU 9902707	A2	19991129	HU 1999-2707		19961220
NZ 326610	A	20000825	NZ 1996-326610		19961220
JP 2002507961	T	20020312	JP 1997-523098		19961220
TR 200201218	T2	20020821	TR 2002-200201218		19961220
TR 200201216	T2	20020923	TR 2002-200201216		19961220
TR 200201217	T2	20021223	TR 2002-200201217		19961220
JP 2003137896	A	20030514	JP 2002-306094		19961220
NZ 518094	A	20040130	NZ 1996-518094		19961220
TW 235157	B	20050701	TW 2002-91132804		19961220
PL 190736	B1	20051230	PL 1996-328527		19961220
CN 1740173	A	20060301	CN 2005-10104021		19961220
NO 9802597	A	19980812	NO 1998-2597		19980605
BG 64465	B1	20050331	BG 1998-102624		19980713
BG 108927	A	20060630	BG 1998-108927		19980713
US 6258948	B1	20010710	US 1999-400639		19990921
US 6423840	B1	20020723	US 2001-773477		20010131
AU 756253	B2	20030109	AU 2001-76122		20010928
US 2003225269	A1	20031204	US 2002-58522		20020128
US 2005143436	A1	20050630	US 2004-999865		20041129
PRIORITY APPLN. INFO.:			US 1995-575641	A2	19951220
			US 1995-575647	A	19951220
			US 1996-598332	A2	19960208
			US 1996-712878	A2	19960912
			US 1996-31495P	P	19961126
			US 1996-761483	A	19961206
			AU 1997-15222	A3	19961220
			CN 1996-199828	A3	19961220
			JP 1997-523098	A3	19961220
			WO 1996-US20843	W	19961220
			US 1999-400639	A3	19990921
			US 2001-773477	A3	20010131
			US 2002-58522	B3	20020128

OTHER SOURCE(S): MARPAT 130:196957
GI



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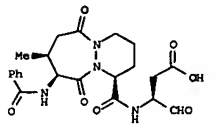


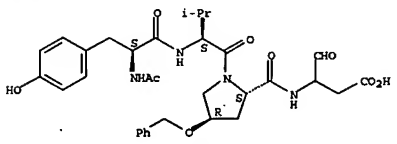
II

AB Title compds. I [m = 1-2; R3 = CN, CHO, COCH2-T1-R11, COCH2F, C:NOR9, COAr2; R5 = COR10, CO2R9, CONR102, SO2R9, SO2NHR10, COCH2OR9, COCOR10, R9, H, COCO2R10, COCONR9R10; Y = O, H2; T1 = O, S, S(O), SO2; R9 = Ar3, (un)branched C1-6 alkyl optionally unsatd. and optionally substituted with Ar3; R10 = H, Ar3, C3-6 cycloalkyl, any group R9; R11 = Ar4, (CH2)1-3Ar4, H, COAr4; R15 = OH, OAr3, NHOH, (un)branched C1-6 alkoxy optionally unsatd. and optionally substituted with Ar3, CONH2, OR5, OH, OR9, CO2H; Ar2 = (un)substituted 2-oxazolyl, 2-benzoxazolyl, 2-thiazolyl, 2-benzothiazolyl; Ar3, Ar4 = optionally substituted, nitrogen-containing heteroarom. or heterocyclic group containing 1-3 rings] were prepared as inhibitors of interleukin-1β converting enzyme. Thus, bicyclic peptide derivative II was prepared and shown to have Ki = 13 nM in a UV-visible assay and IC50 = 11000 nM in a peripheral blood mononuclear cell (PBMC) assay.

IT 192753-27-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic peptide derivs. as interleukin-1β converting enzyme inhibitors)

RN 192753-27-8 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-(2-carboxy-1-formylethyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 48 THERE ARE 48 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 75 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:126925 CAPLUS
DOCUMENT NUMBER: 130:168666
TITLE: Preparation of peptide analogs as hepatitis C inhibitors
INVENTOR(S): Llinas-Brunet, Montse; Bailey, Murray Douglas; Halmos, Teddy; Poupart, Marc-Andre; Tsantrizos, Youla
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 122 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

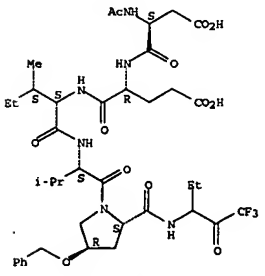
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907734	A2	19990218	WO 1998-CA764	19980810
WO 9907734	A3	19990520		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2294562	A1	19990218	CA 1998-2294562	19980810
CA 2294562	C	20050726		
AU 9888466	A	19990301	AU 1998-88466	19980810
AU 757072	B2	20030130		
EP 1012180	A2	20000628	EP 1998-939997	19980810
EP 1012180	B1	20041201		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
US 6143715	A	20001107	US 1998-131433	19980810
JP 2001512744	T	20010828	JP 2000-506236	19980810
HU 200100100	A2	20011128	HU 2001-100	19980810
NZ 503263	A	20021025	NZ 1998-503263	19980810
AT 283865	T	20041215	AT 1998-939997	19980810
PT 1012180	T	20050429	PT 1998-939997	19980810
ES 2234144	T3	20050616	ES 1998-939997	19980810
MX 200001491	A	20001110	MX 2000-1491	20000211
PRIORITY APPLN. INFO.:			US 1997-55247P	P 19970811
			WO 1998-CA764	W 19980810

OTHER SOURCE(S): MARPAT 130:168666
AB Peptides B[NHCHR6CO]a[NHCHR5CO]bNYCHR4CONHCHR3COWNHC[B = acyl group; a and b are 0 or 1; R6 = carboxyalkyl; R5 = alkyl or carboxyalkyl; Y = H, alkyl; R3, R4 = alkyl, cycloalkyl; W is an amino acid residue such as proline; Q = ZR1C(:X)R13, where Z = CH, N; X = O, S; R1 = H, alkyl or alkenyl, both optionally substituted with thio or halo; R13 = H, CF3, CF2CF3, etc.] were prepared as hepatitis C virus inhibitors. Thus, Ac-Asp-D-Glu-Ile-Val-Pro[(4R)OBn]-NHPrCOCF2CF3,prepared by step-wise couplings in solution, showed IC50 = 0.21 μM in the NS3 protease/NS4A cofactor peptide radiometric assay.

IT 220440-34-6P 220440-35-7P 220440-36-8P
220440-37-9P 220440-38-0P 220440-39-1P
220440-40-4P 220440-41-5P 220440-42-6P
220440-43-7P 220440-44-8P 220440-48-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide analogs as hepatitis C inhibitors)

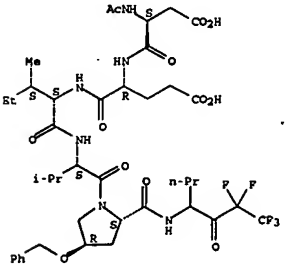
RN 220440-34-6 CAPLUS
CN L-Prolinamide, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-N-(1-ethyl-3,3,3-trifluoro-2-oxopropyl)-4-(phenylmethoxy)-(4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



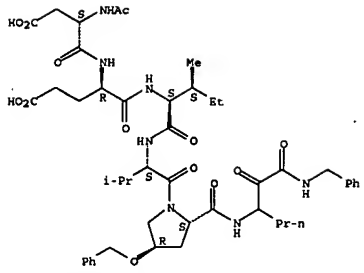
RN 220440-35-7 CAPLUS
CN L-Prolinamide, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-N-(3,3,4,4,4-pentafluoro-2-oxo-1-propylbutyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



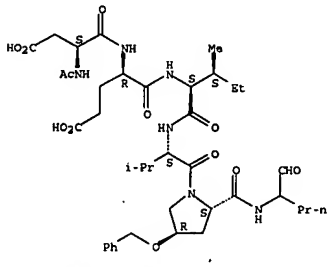
RN 220440-36-8 CAPLUS
CN L-Prolinamide, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-N-[1-[oxo[(phenylmethyl)amino]acetyl]butyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



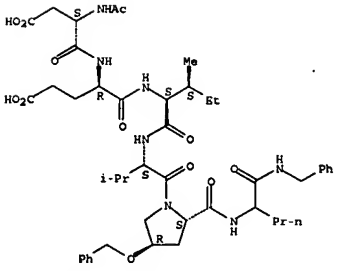
RN 220440-37-9 CAPLUS
CN L-Prolinamide, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-N-(1-formylbutyl)-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



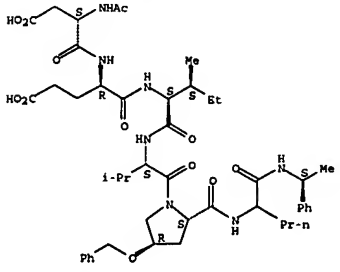
RN 220440-38-0 CAPLUS
CN Norvalinamide, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



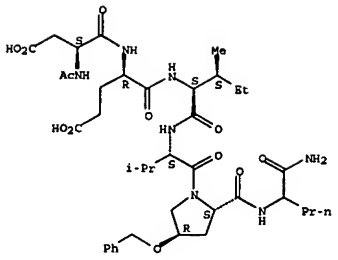
RN 220440-41-5 CAPLUS
CN Norvalinamide, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-N-[(1S)-1-phenylethyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



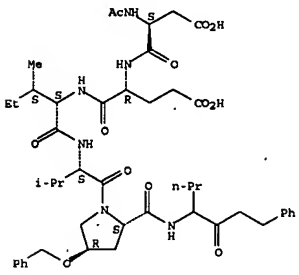
RN 220440-42-6 CAPLUS
CN L-Prolinamide, N-acetyl-2-cyclohexylglycyl-L-valyl-N-[1-[oxo[(phenylmethyl)amino]acetyl]butyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



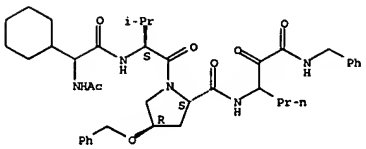
RN 220440-39-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-N-(2-oxo-4-phenyl-1-propylbutyl)-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220440-40-4 CAPLUS
CN Norvalinamide, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-N-(phenylmethyl)-(9CI) (CA INDEX NAME)

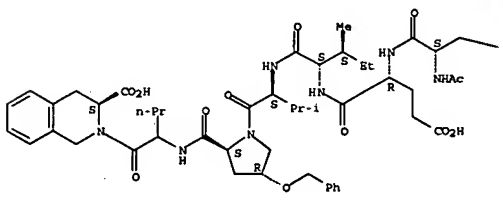
Absolute stereochemistry.



RN 220440-43-7 CAPLUS
CN 3-Isoquinolinecarboxylic acid, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolylnorvalyl-1,2,3,4-tetrahydro-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

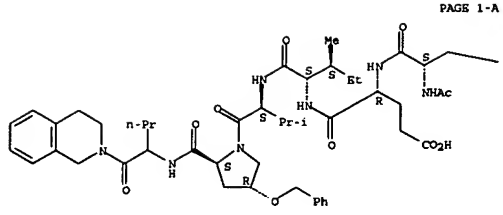


PAGE 1-B

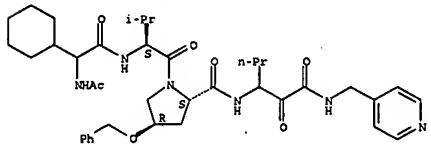
RN 220440-44-8 CAPLUS
CN L-Prolinamide, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-N-[1-[(3,4-dihydro-2(1H)-isoquinolinyl)carbonyl]butyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

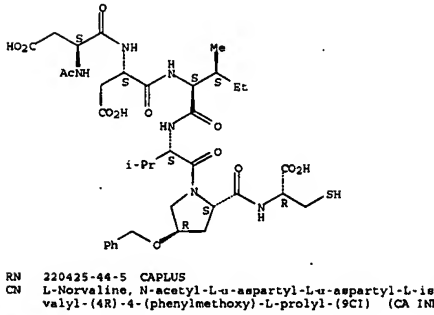


PAGE 1-B



RN 220440-48-2 CAPLUS
CN L-Prolinamide, N-acetyl-2-cyclohexylglycyl-L-valyl-N-[1-[oxo[(4-pyridinylmethyl)amino]acetyl]butyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 76 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:126924 CAPLUS
DOCUMENT NUMBER: 130:168665
TITLE: Preparation of hepatitis C inhibitory peptides
INVENTOR(S): Llinas-Brunet, Montse; Poupart, Marc-Andre; Rancourt, Jean; Simoneau, Bruno; Tsantrizos, Youla; Wernic, Dominik
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 158 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9907733	A2	19990218	WO 1998-CA765	19980810
WO 9907733	A3	19990520		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2294049	A1	19990218	CA 1998-2294049	19980810
AU 9887956	A	19990301	AU 1998-87956	19980810
AU 757783	B2	20030306		
EP 1003775	A2	20000531	EP 1998-939450	19980810
EP 1003775	B1	20050316		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
HU 200004853	A2	20010528	HU 2000-4853	19980810
JP 2001512743	T	20010828	JP 2000-506235	19980810
NZ 503262	A	20021025	NZ 1998-503262	19980810
AT 291032	T	20050415	AT 1998-939450	19980810
PT 1003775	T	20050729	PT 1998-939450	19980810
ES 2241157	T3	20051016	ES 1998-939450	19980810
US 6767991	B1	20040727	US 1999-368670	19990805
MX 200001498	A	20001110	MX 2000-1498	20000211

PRIORITY APPLN. INFO.:

US 1997-55186P	P	19970811
US 1998-131758	B2	19980810
US 1998-95945P	P	19980810
WO 1998-CA765	W	19980810
US 1998-219939	B1	19981223

OTHER SOURCE(S): MARPAT 130:168665

AB Peptides B[NHCHR6CO]a[NHCHR5CO]bQCHR4C(:Z)NHCHR3CONHCR1R1'CO(when Q is CH2 and a and b are 0, B is an amide derivative or when Q is NH or alkylimino and a and b are 0 or 1, B is an acyl derivative; R6 = carboxyalkyl; R5 = alkyl or carboxyalkyl; R4 = alkyl, cycloalkyl, alkylcycloalkyl; Z = oxo or thioxo; R3 = alkyl, carboxyalkyl, cycloalkyl, alkylcycloalkyl; W is an amino acid residue such as proline; R1' = H and R1 = alkyl, mercapto- or haloalkyl or R1' and R1 together form a 3- to 6-membered ring; A is hydroxy or a pharmaceutically acceptable salt or ester) were prepared as hepatitis C virus inhibitors. Thus, Ac-Asp-D-Glu-Chg-Val-X-Nva-OH [Chg = cyclohexlglycine, X = 4(R)-(2-naphthylmethoxy)proline, and Nva = norvaline residue], prepared by step-wise couplings in solution, showed IC50 = 0.028 μM in the NS3 protease/NS4A cofactor peptide radiometric assay.

IT 220425-29-6P 220425-44-5P 220425-45-6P
220425-46-7P 220425-47-8P 220425-48-9P
220425-49-0P 220425-50-3P 220425-51-4P
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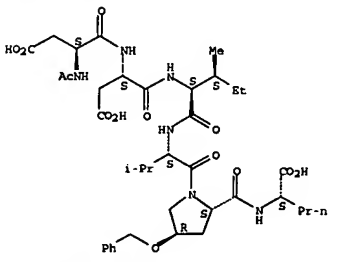
220425-63-8P 220425-64-9P 220425-65-0P
220425-66-1P 220425-68-3P 220425-69-4P
220425-96-7P 220426-09-5P 220426-13-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of hepatitis C inhibitory peptides)

RN 220425-29-6 CAPLUS
CN L-Cysteine, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

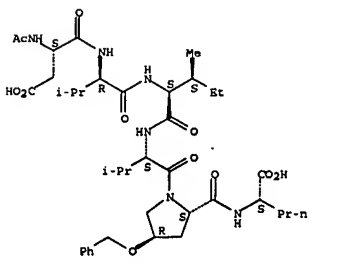
RN 220425-44-5 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



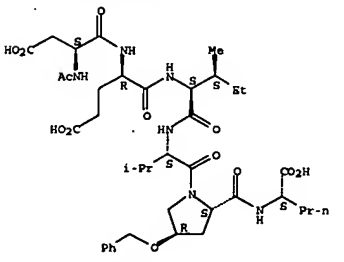
RN 220425-45-6 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-D-valyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



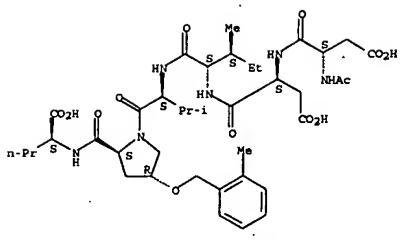
RN 220425-46-7 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



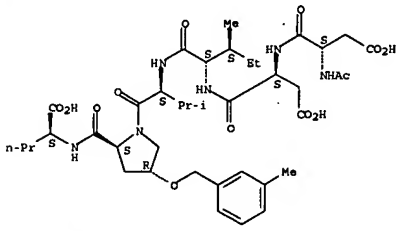
RN 220425-47-8 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-[(2-methylphenyl)methoxy]-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



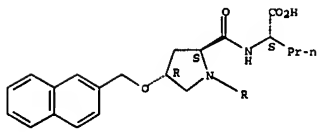
RN 220425-48-9 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-[(3-methylphenyl)methoxy]-L-prolyl-(9CI) (CA INDEX NAME)

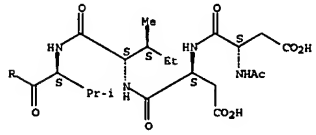
Absolute stereochemistry.



RN 220425-49-0 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-[(4-methylphenyl)methoxy]-L-prolyl-(9CI) (CA INDEX NAME)

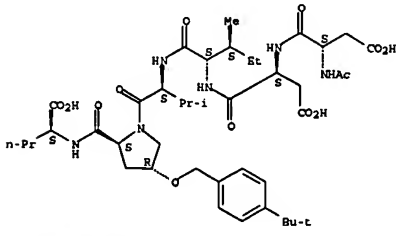
Absolute stereochemistry.





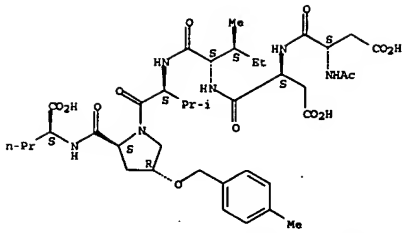
RN 220425-52-5 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-[[4-(1,1-dimethylethyl)phenyl]methoxy]-L-prolyl (9CI) (CA INDEX NAME)

Absolute stereochemistry.



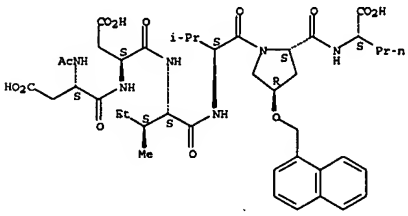
RN 220425-53-6 CAPLUS
CN L-Cysteine, N-acetyl-L-α-aspartyl-D-α-glutamyl-2-cyclohexylglycyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



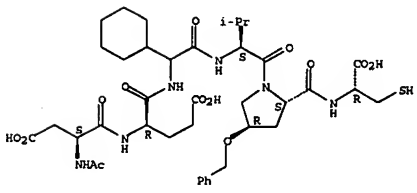
RN 220425-50-3 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



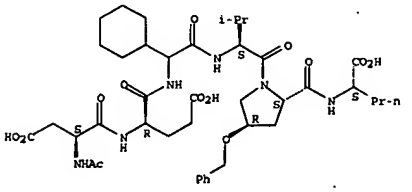
RN 220425-51-4 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-(2-naphthalenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



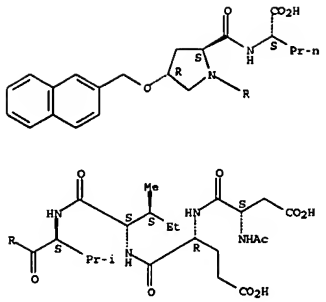
RN 220425-54-7 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-D-α-glutamyl-2-cyclohexylglycyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



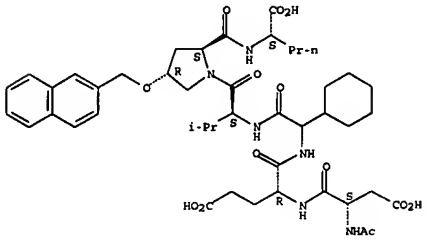
RN 220425-57-0 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-(4R)-4-(2-naphthalenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



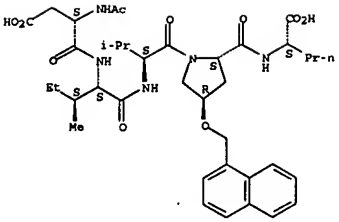
RN 220425-58-1 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-D-α-glutamyl-2-cyclohexylglycyl-L-valyl-(4R)-4-(2-naphthalenylmethoxy)-L-prolyl(9CI) (CA INDEX NAME)

Absolute stereochemistry.



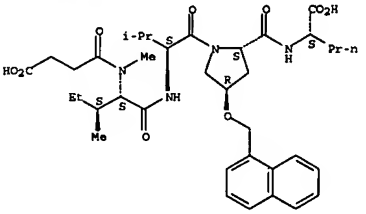
RN 220425-62-7 CAPLUS
CN L-Norvaline, N-acetyl-L-α-aspartyl-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



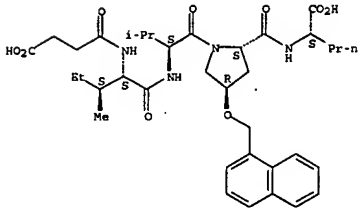
RN 220425-63-8 CAPLUS
CN L-Norvaline, N-(3-carboxy-1-oxopropyl)-N-methyl-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



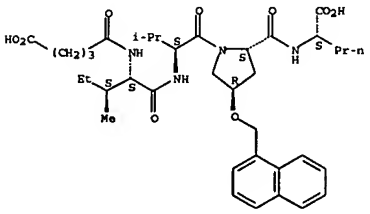
RN 220425-64-9 CAPLUS
CN L-Norvaline, N-(3-carboxy-1-oxopropyl)-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



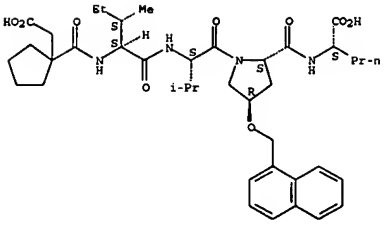
RN 220425-65-0 CAPLUS
CN L-Norvaline, N-(4-carboxy-1-oxobutyl)-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



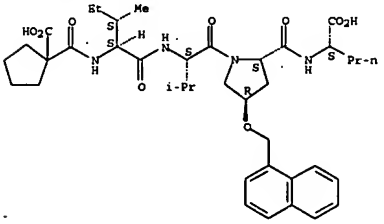
RN 220425-66-1 CAPLUS
CN L-Norvaline, N-[(1-(carboxymethyl)cyclopentyl]carbonyl]-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



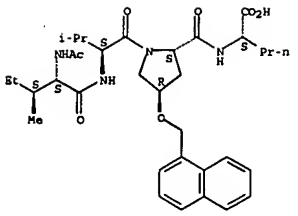
RN 220425-68-3 CAPLUS
CN L-Norvaline, N-[(1-carboxycyclopentyl)carbonyl]-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



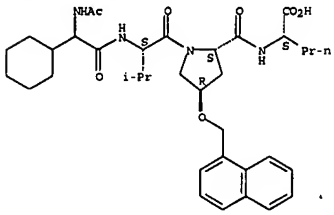
RN 220425-69-4 CAPLUS
CN L-Norvaline, N-acetyl-L-isoleucyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220425-96-7 CAPLUS
CN L-Norvaline, N-acetyl-2-cyclohexylglycyl-L-valyl-(4R)-4-(1-naphthalenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 220426-09-5 CAPLUS
CN L-Cysteine, N-acetyl-L-α-aspartyl-D-α-glutamyl-L-isoleucyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl-(9CI) (CA INDEX NAME)

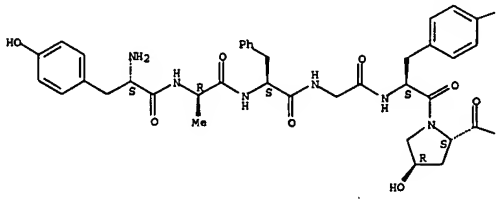
Absolute stereochemistry.

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antinociceptive activity of dermorphin and deltorphin glycosylated analogs)

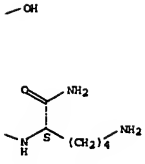
RN 220713-64-4 CAPLUS
CN L-Lysinamide, L-tyrosyl-D-alanyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

PAGE 1-A

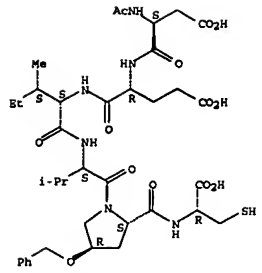


PAGE 1-B



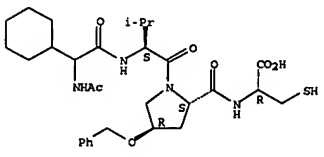
REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 78 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:788773 CAPLUS
DOCUMENT NUMBER: 130:66805
TITLE: Preparation of peptide inhibitors of interleukin-1β converting enzyme
INVENTOR(S): Bemis, Guy W.; Golec, Julian M. C.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Livingston, David J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Incorporated, USA
SOURCE: U.S., 106 pp., Cont.-in-part of U.S. 5,656,627. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:



RN 220426-13-1 CAPLUS
CN L-Cysteine, N-acetyl-2-cyclohexylglycyl-L-valyl-(4R)-4-(phenylmethoxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 77 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:32812 CAPLUS
DOCUMENT NUMBER: 130:196942
TITLE: Dermorphin and Deltorphin Glycosylated Analogs: Synthesis and Antinociceptive Activity after Systemic Administration
AUTHOR(S): Negri, Lucia; Lattanzi, Roberta; Tabacco, Fabio; Orru, Luigi; Severini, Cinzia; Scolaro, Barbara; Rocchi, Raniero
CORPORATE SOURCE: Institute of Medical Pharmacology, University La Sapienza of Rome, Rome, I-00185, Italy
SOURCE: Journal of Medicinal Chemistry (1999), 42(3), 400-404 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
AB In the present paper the authors describe the synthesis of some dermorphin and deltorphin analogs β-O- and α-C-glycosylated on the C-terminal amino acid residue and report their opioid receptor affinity and selectivity as well as their analgesic potency after s.c. injection in mice.
IT 220713-64-4P

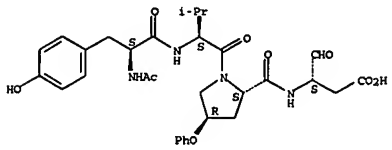
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5847135	A	19981208	US 1995-440898	19950525
US 5756466	A	19980526	US 1994-261452	19940617
US 5656627	A	19970812	US 1995-405581	19950317
US 5716929	A	19980210	US 1995-464964	19950605
US 6103711	A	20000815	US 1995-465216	19950605
TW 509698	B	20021111	TW 1995-84105903	19950609
IN 181338	A1	19980516	IN 1995-CA659	19950612
CA 2192089	A1	19951228	CA 1995-2192089	19950616
WO 9535308	A1	19951228	WO 1995-US7617	19950616
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9529446	A	19960115	AU 1995-29446	19950616
AU 709114	B2	19990819		
EP 784628	A1	19970723	EP 1995-925257	19950616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1159196	A	19970910	CN 1995-194381	19950616
BR 9508051	A	19971021	BR 1995-8051	19950616
HU 76622	A2	19971028	HU 1996-3475	19950616
JP 10504285	T	19980428	JP 1996-502478	19950616
AP 797	A	20000107	AP 1997-960	19950616
W: KE, MW, SD, SZ, UG				
PL 185693	B1	20030731	PL 1995-318220	19950616
EP 1394175	A1	20040303	EP 2003-22215	19950616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
RU 2242480	C2	20041220	RU 1997-100937	19950616
NO 9605365	A	19970217	NO 1996-5365	19961213
NO 317947	B1	20050110		
FI 9605036	A	19970214	FI 1996-5036	19961216
BG 63634	B1	20020731	BG 1997-101130	19970114
US 5973111	A	19991026	US 1997-828941	19970328
IN 183119	A1	19990911	IN 1997-CA778	19970430
US 6420522	B1	20020716	US 1999-430822	19991029
US 2002099042	A1	20020725	US 2001-886773	20010621
PRIORITY APPLN. INFO.:			US 1994-261452	A2 19940617
			US 1995-405581	A2 19950317
			US 1995-440898	A3 19950525
			US 1995-465216	A3 19950605
			IN 1995-CA659	A1 19950612
			EP 1995-925257	A3 19950616
			WO 1995-US7617	W 19950616
			US 1999-430822	A3 19991029

OTHER SOURCE(S): MARPAT 130:66805
AB Interleukin-1β converting enzyme inhibitors R1NHX1[(CH2)mT](CH2)gR3 (X1 = CH, N; g = 0, 1; m = 0-2; T = a cyclic group, OH, CF3, COCO2H, CO2H; R1 = R4ZNR5CR6R7CO or substituted derivs., where R4 represents certain ring systems; R5 = H, a cyclic group, alkyl, arylcarbonyl, arylsulfonyl, etc.; CR6R7 form a saturated carbocyclic or heterocyclic ring; R3 = CN, 1-alkenyl, alkoxyiminomethyl) were prepared Thus, N-(N-acetyltyrosinylvalinylpipecolyl)-3-amino-4-oxobutanoicacid was prepared and showed IC50 = 6-11 μM for inhibition of interleukin-1β converting enzyme.
IT 175208-91-0P 175208-92-1P 175208-93-2P
175209-40-2P 175209-50-4P 175209-52-6P
175209-60-6P 175209-68-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptide inhibitors of interleukin-1β converting enzyme)

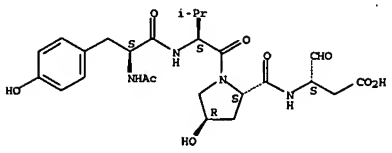
RN 175208-91-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



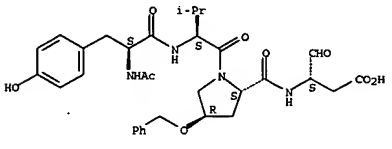
RN 175208-92-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



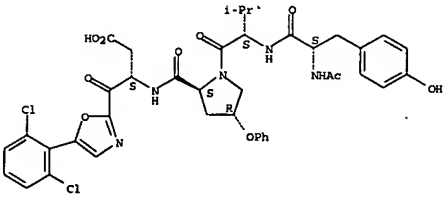
RN 175208-93-2 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



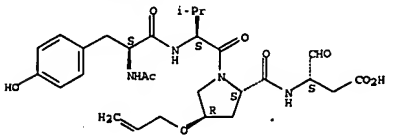
RN 175209-40-2 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-3-[[(2-chlorophenyl)methyl]thio]-2-oxopropyl]-4-phenoxy-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175209-68-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(2-propenyloxy)-, (4R)- (9CI) (CA INDEX NAME)

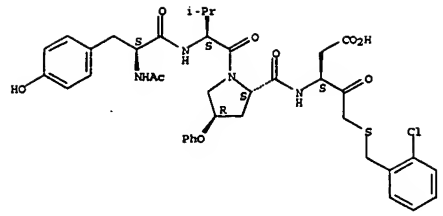
Absolute stereochemistry.



REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

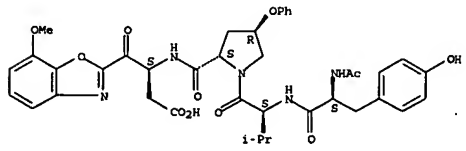
L6 ANSWER 79 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:457251 CAPLUS
DOCUMENT NUMBER: 129:118264
TITLE: Polypeptide anslogs having growth hormone releasing activity
INVENTOR(S): Bowers, Cyril Y.; Coy, David
PATENT ASSIGNEE(S): Administrators of the Tulane Educational Fund, USA
SOURCE: U.S., 19 pp., Cont.-in-part of U. S. Ser. No. 748,350.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5776901	A	19980707	US 1992-932494	19920820
US 5663146	A	19970902	US 1991-748350	19910822
IL 102848	A	19980405	IL 1992-102848	19920818
JP 07507039	T	19950803	JP 1993-504585	19920820
JP 3179489	B2	20010625		
AT 172742	T	19981115	AT 1992-919262	19920820



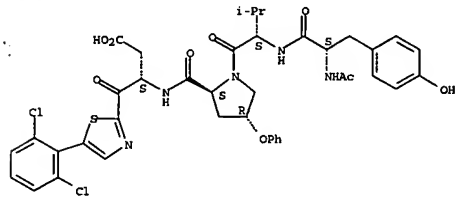
RN 175209-50-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-(7-methoxy-2-benzoxazolyl)-2-oxoethyl]-4-phenoxy-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175209-52-6 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-[5-(2,6-dichlorophenyl)-2-thiazolyl]-2-oxoethyl]-4-phenoxy-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175209-60-6 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-[5-(2,6-dichlorophenyl)-2-oxazolyl]-2-oxoethyl]-4-phenoxy-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 175209-68-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(2-propenyloxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

ES 2124263	T3	19990201	ES 1992-919262	19920820
CZ 293281	B6	20040317	CZ 1994-400	19920820
ZA 9206337	A	19930422	ZA 1992-6337	19920821
CN 1073684	A	19930630	CN 1992-110868	19920822
CN 1035256	B	19970625		
PRIORITY APPLN. INFO.:			US 1991-748350	A2 19910822
			US 1992-932494	A 19920820

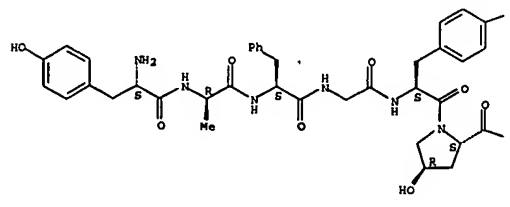
OTHER SOURCE(S): MARPAT 129:118264

AB Novel peptides of the formula A1 -A2 -C1 -C2 -C3 -A5 are disclosed which promote the release of growth hormone when administered to animals. These peptides can be used therapeutically. H-A1-A2-C1-C2-C3-A5 [A1 = Gly, D-Ala, β-Ala, His, Ser, Met, Pro, Sar, Ava, Aib, etc.; A2 = D-Trp, D-β-Nal, etc.; A5 = A3A4A5', A3A5', A4A5', A5'; A3 = Ala, Gly, D-Ala, Pro, desAla; A4 = A3, alkylaminocarboxylate residue; A5' = Lys(ε-R2,R2)-Z, Orn(δ-R1,R2)-Z, etc.; R1, R2 = alkyl, H; Z = NH2, OH, (di)alkylamino, alkoxy; C1 = Ala; C2 = Trp, Phe, ChxAla; C3 = D-Phe, D-Pal, D-ChxAla; Ava = aminovaleric acid residue; Aib = aminoisobutyric acid residue; D-β-Nal = β-naphthyl-D-alanyl; ChxAla = cyclohexylananyl], were prepared Thus, D-Ala-D-β-Nal-Ala-Trp-D-Phe-Lys-NH2 (solution phase preparation given) at 30 mg/kg intragastrally in rats increased serum growth hormone from 247 ng/mL to 2038 ng/mL. The peptides of the invention can be used therapeutically for any use for which growth hormone can be used. The peptides can be coadministered with a synergistic amount of a β-adrenergic blocking agent, an α2-adrenergic blocking agent, an acetylcholine esterase inhibitor, or other small peptides. Pharmaceutical compns. containing these peptides are also claimed.

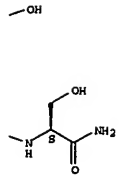
IT 77614-17-6 84168-90-1 115814-06-7 115814-07-8 115814-09-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(coadministration of peptides for the release and elevation of blood growth hormone levels)

RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



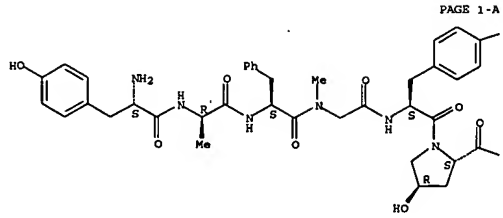
PAGE 1-B



RN 84168-90-1 CAPLUS
CN Dermorphin, 4-(N-methylglycine)-6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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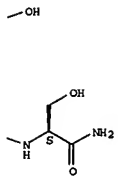
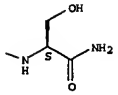


RN 115814-06-7 CAPLUS
CN L-Serinamide, L-tyrosyl-D-alanyl-L-phenylalanyl-N-methylglycyl-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

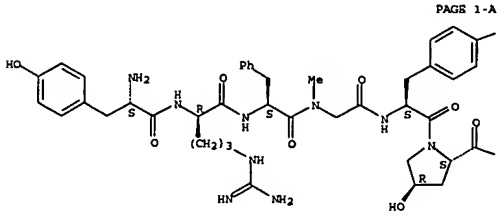
PAGE 1-B



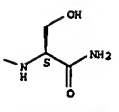
RN 115814-09-0 CAPLUS
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanyl-N-methylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



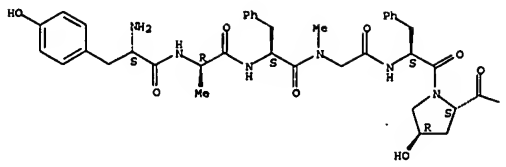
PAGE 1-B



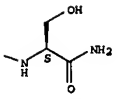
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

Absolute stereochemistry.

PAGE 1-A



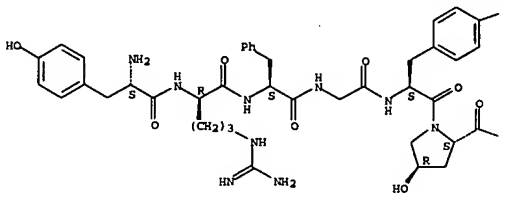
PAGE 1-B



RN 115814-07-8 CAPLUS
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L6 ANSWER 80 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:268513 CAPLUS
DOCUMENT NUMBER: 128:321945
TITLE: Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease
INVENTOR(S): Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

APPLICANTS

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817679	A1	19980430	WO 1997-US18968	19971017
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
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CA 2268391	A1	19980430	CA 1997-2268391	19971017
ZA 9709327	A	19980511	ZA 1997-9327	19971017
AU 9851477	A	19980515	AU 1998-51477	19971017
AU 719984	B2	20000518		
EP 932617	A1	19990804	EP 1997-946273	19971017
EP 932617	B1	20020116		
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BR 9712544	A	19991019	BR 1997-12544	19971017
CN 1238780	A	19991215	CN 1997-180151	19971017
CN 1133649	B	20040107		
HU 200000152	A2	20000728	HU 2000-152	19971017
NZ 335276	A	20000929	NZ 1997-335276	19971017
JP 2001502694	T	20010227	JP 1998-519568	19971017
EP 1136498	A1	20010926	EP 2001-109433	19971017
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PL 192280	B1	20060929	PL 1997-332872	19971017
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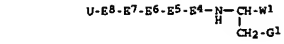
US 2004266731	A1	20041230	US 2003-607716	20030627
PRIORITY APPLN. INFO.:			US 1996-28290P	P 19961018
			ER 1997-946273	A3 19971017
			WO 1997-US18968	W 19971017
			US 1999-293247	A 19990416

NEXT 10 PAGES ARE COMPDS OF PRESENT INVENTION WHERE A' = [heterocycle] CONTG GROUP AND K=-C(O)-

OTHER SOURCE(S): MARPAT 128:321945
GI



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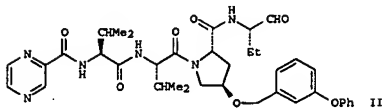

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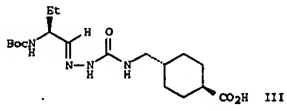

III

AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkenyl, alkynyl, CF3, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF2CH2N(G4)U, CHO, COG2, COCF2CF3, COCOG2, COCO2G2, B(Q1)2; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q1 = OH, alkoxy, aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G9CO, G9SO2, G9COCO, (G9)2NCOCO, (G9)2NSO2, (G9)2NCO, G9O2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G9-G9 form a ring; E4 = bond, α-amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced), methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki <1 μM in an in vitro assay.

IT 207000-78-0P 207000-81-5P 207000-83-7P
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207000-90-6P 207000-91-7P 207000-92-8P
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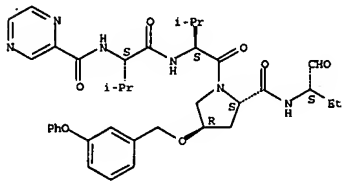
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

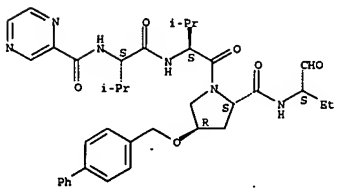
RN 207000-78-0 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(3-phenoxyphenyl)methoxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



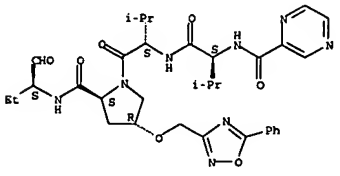
RN 207000-81-5 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-([1,1'-biphenyl]-4-ylmethoxy)-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



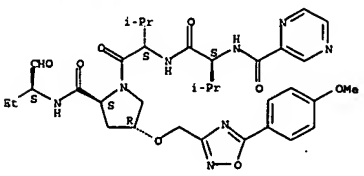
RN 207000-83-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(5-phenyl-1,2,4-oxadiazol-3-yl)methoxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



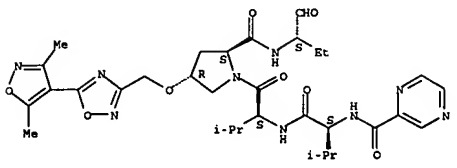
RN 207000-85-9 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[(5-(4-methoxyphenyl)-1,2,4-oxadiazol-3-yl]methoxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



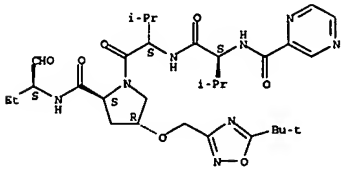
RN 207000-87-1 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[5-(3,5-dimethyl-4-isoxazolyl)-1,2,4-oxadiazol-3-yl]methoxy]-N-[(1S)-1-formylpropyl]-(4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



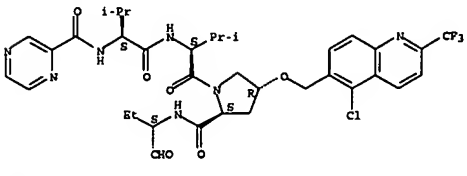
RN 207000-89-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[5-(1,1-dimethylethyl)-1,2,4-oxadiazol-3-yl]methoxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



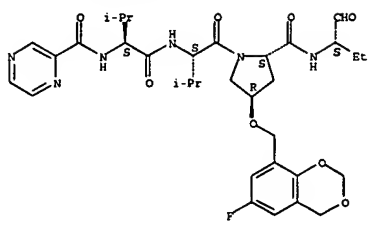
RN 207000-90-6 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[5-chloro-2-(trifluoromethyl)-6-quinolinyl]methoxy]-N-[(1S)-1-formylpropyl]-(4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207000-91-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(6-fluoro-4H-1,3-benzodioxin-8-yl)methoxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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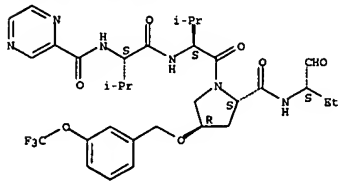
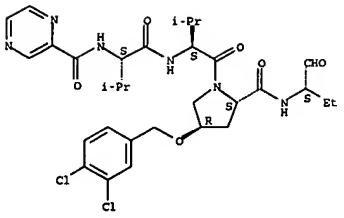
Absolute stereochemistry.



RN 207000-93-9 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(3,4-dichlorophenyl)methoxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207000-94-0 CAPLUS
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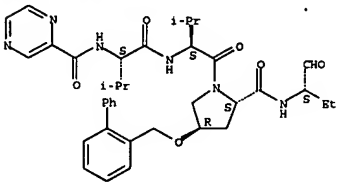
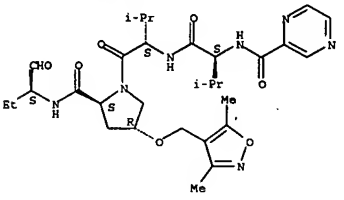
Absolute stereochemistry.



RN 207000-95-1 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(3,5-dimethyl-4-isoxazolyl)methoxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

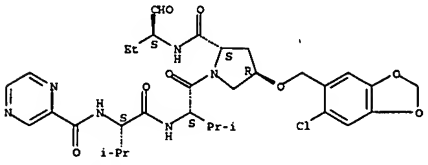
Absolute stereochemistry.



RN 207000-96-2 CAPLUS

CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(6-chloro-1,3-benzodioxol-5-yl)methoxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207000-97-3 CAPLUS
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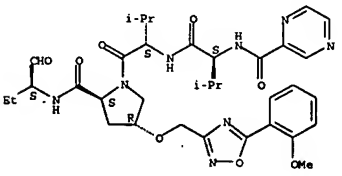
Absolute stereochemistry.



RN 207000-98-4 CAPLUS
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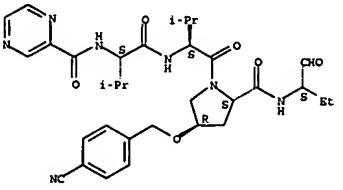
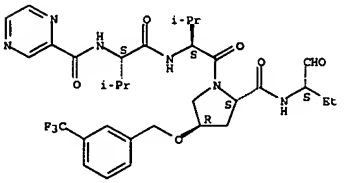
Absolute stereochemistry.



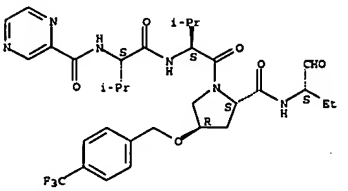
RN 207000-99-5 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[3-(trifluoromethyl)phenyl]methoxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207001-00-1 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[4-(trifluoromethyl)phenyl]methoxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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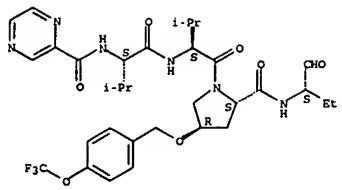
Absolute stereochemistry.



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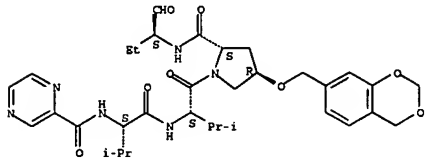
Absolute stereochemistry.



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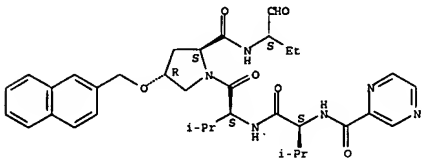
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(2-naphthalenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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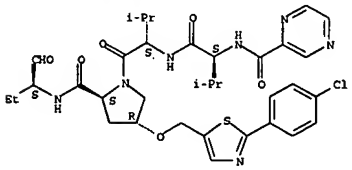
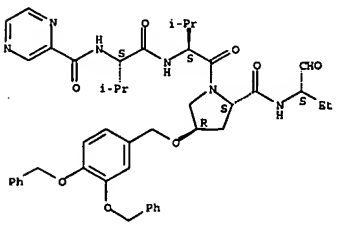
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(3-cyanophenyl)methoxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



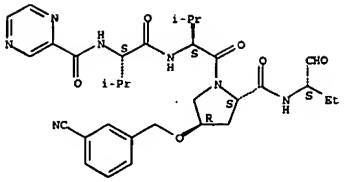
RN 207001-08-9 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[3,4-bis(phenylmethoxy)phenyl]methoxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



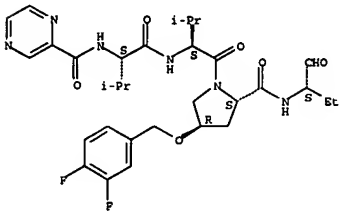
RN 207001-09-0 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(1-naphthalenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



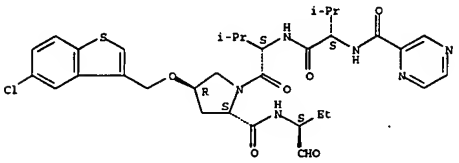
RN 207001-05-6 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(3,4-difluorophenyl)methoxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



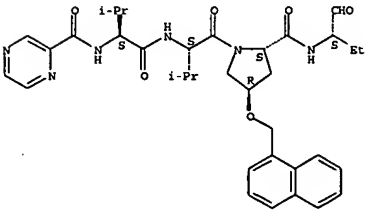
RN 207001-06-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(5-chlorobenzo[b]thien-3-yl)methoxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



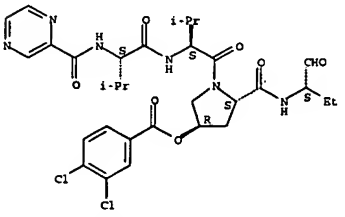
RN 207001-07-8 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[2-(4-chlorophenyl)-5-thiazolyl]methoxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



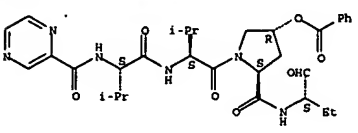
RN 207001-10-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[(3,4-dichlorobenzoyl)oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



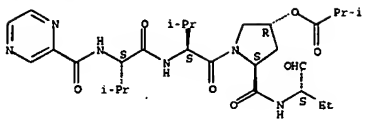
RN 207001-11-4 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-(benzoyloxy)-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



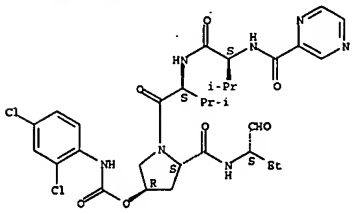
RN 207001-12-5 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(2-methyl-1-oxopropoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



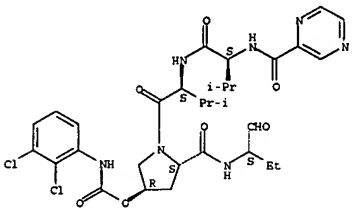
RN 207001-13-6 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(2,4-dichlorophenyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



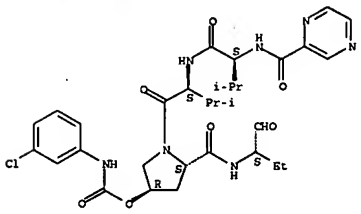
RN 207001-14-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(2,3-dichlorophenyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



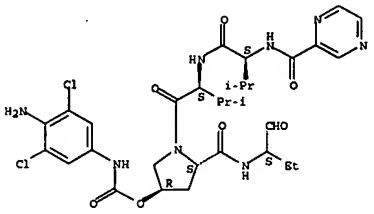
RN 207001-15-8 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(3-chlorophenyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



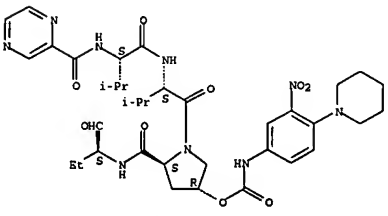
RN 207001-16-9 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(4-amino-3,5-dichlorophenyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



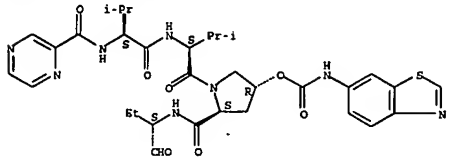
RN 207001-17-0 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[[3-nitro-4-(1-piperidinyl)phenyl]amino]carbonyl]oxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



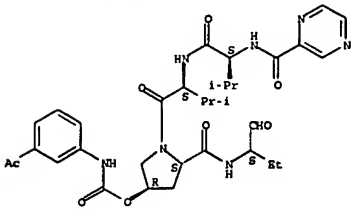
RN 207001-18-1 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(6-benzothiazolylamino)carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



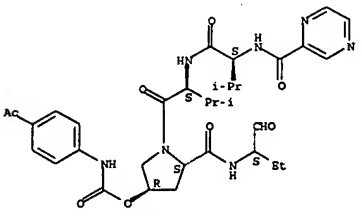
RN 207001-19-2 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(3-acetylphenyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



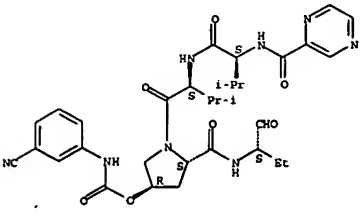
RN 207001-20-5 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(4-acetylphenyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



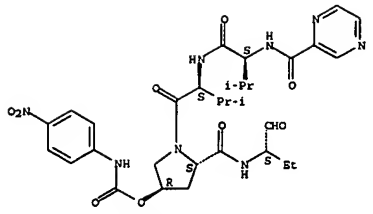
RN 207001-21-6 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(3-cyanophenyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



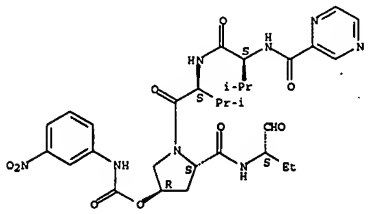
RN 207001-22-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(4-nitrophenyl)amino]carbonyl]oxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



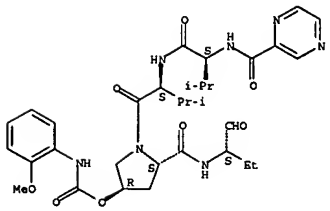
RN 207001-23-8 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(3-nitrophenyl)amino]carbonyl]oxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



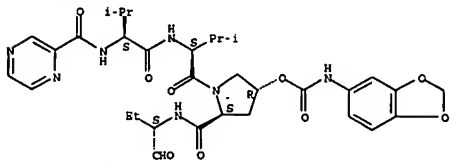
RN 207001-24-9 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(2,5-dimethoxyphenyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



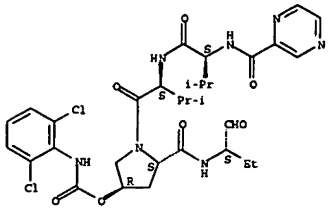
RN 207001-27-2 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(1,3-benzodioxol-5-ylamino)carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

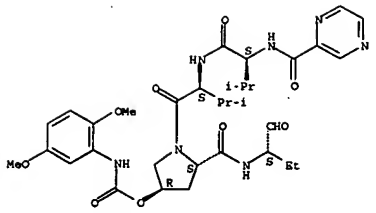
Absolute stereochemistry.



RN 207001-28-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(2,6-dichlorophenyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

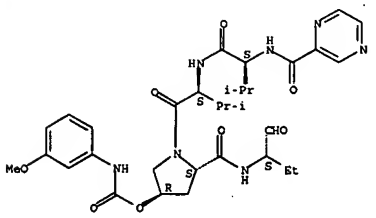
Absolute stereochemistry.





RN 207001-25-0 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(3-methoxyphenyl)amino]carbonyl]oxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

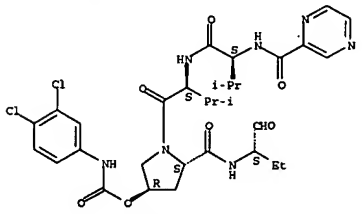


RN 207001-26-1 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(2-methoxyphenyl)amino]carbonyl]oxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

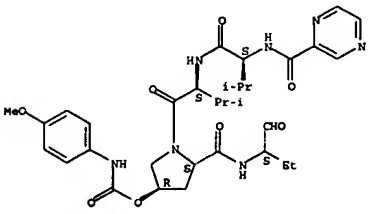
RN 207001-29-4 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(3,4-dichlorophenyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



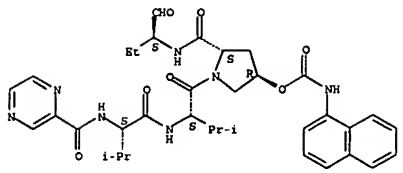
RN 207001-30-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(4-methoxyphenyl)amino]carbonyl]oxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



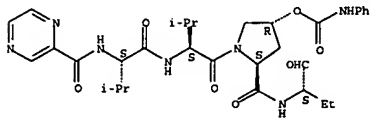
RN 207001-31-8 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[(1-naphthalenylamino)carbonyl]oxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



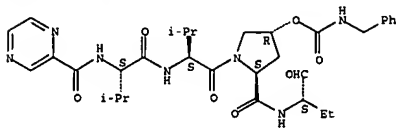
RN 207001-32-9 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[(phenylamino)carbonyl]oxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



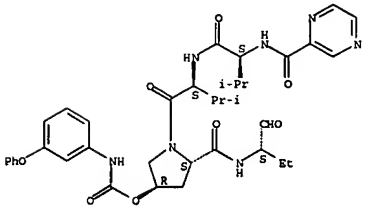
RN 207001-33-0 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(phenylmethyl)amino]carbonyl]oxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



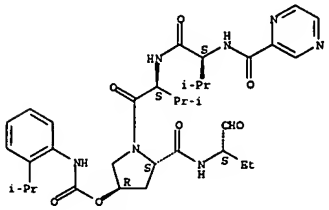
RN 207001-34-1 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[(2,6-dichloro-4-pyridinyl)amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



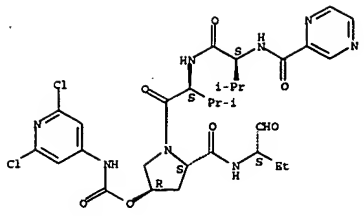
RN 207001-37-4 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[[2-(1-methylethyl)phenyl]amino]carbonyl]oxy]-(4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



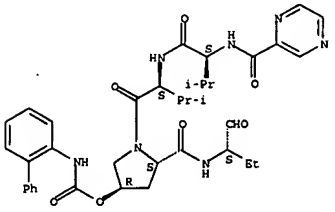
RN 207001-38-5 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[[2-(methoxycarbonyl)phenyl]amino]carbonyl]oxy]-(4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



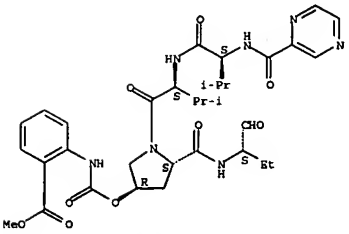
RN 207001-35-2 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[[1,1'-biphenyl]-2-ylamino)carbonyl]oxy]-N-[(1S)-1-formylpropyl]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



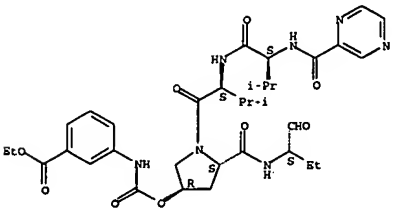
RN 207001-36-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-[[[(3-phenoxyphenyl)amino]carbonyl]oxy]-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



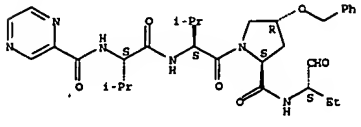
RN 207001-39-6 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-4-[[[[3-(ethoxycarbonyl)phenyl]amino]carbonyl]oxy]-N-[(1S)-1-formylpropyl]-(4R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



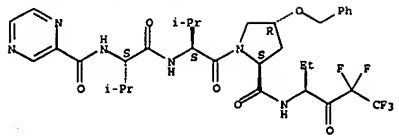
RN 207001-52-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



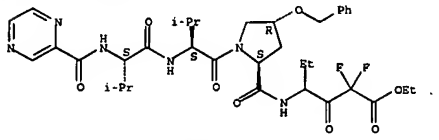
RN 207001-56-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-(1-ethyl-3,3,4,4,4-pentafluoro-2-oxobutyl)-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



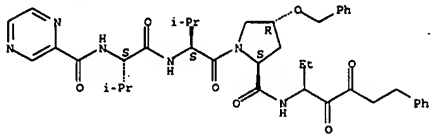
RN 207001-57-8 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-(4-ethoxy-1-ethyl-3,3-difluoro-2,4-dioxobutyl)-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



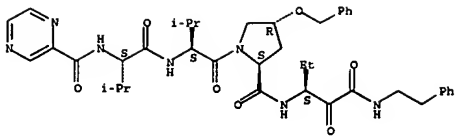
RN 207001-59-0 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-(1-ethyl-2,3-dioxo-5-phenylpentyl)-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



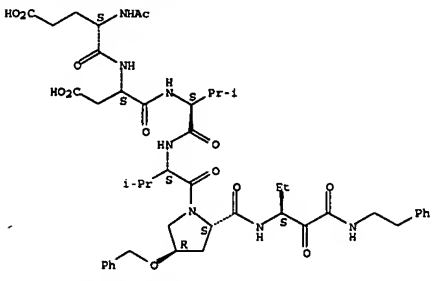
RN 207001-60-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-ethyl-2,3-dioxo-3-[(2-phenylethyl)amino]propyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



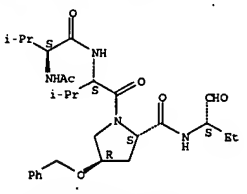
RN 207001-61-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-α-glutamyl-L-α-aspartyl-L-valyl-L-valyl-N-[(1S)-1-ethyl-2,3-dioxo-3-[(2-phenylethyl)amino]propyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAMES)

Absolute stereochemistry.



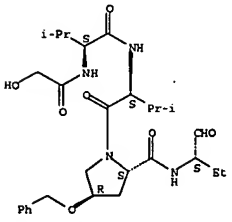
RN 207001-62-5 CAPLUS
CN L-Prolinamide, N-acetyl-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



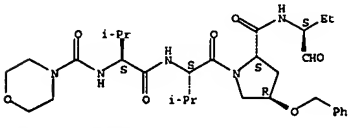
RN 207001-63-6 CAPLUS
CN L-Prolinamide, hydroxyacetyl-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



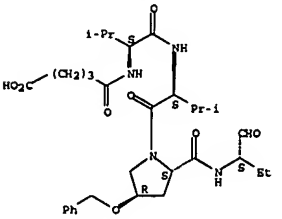
RN 207001-64-7 CAPLUS
CN L-Prolinamide, N-(4-morpholinylcarbonyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



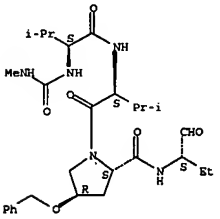
RN 207001-65-8 CAPLUS
CN L-Prolinamide, N-(4-carboxy-1-oxobutyl)-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



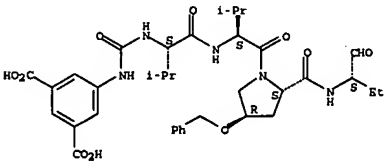
RN 207001-66-9 CAPLUS
CN L-Prolinamide, N-[(methylamino)carbonyl]-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



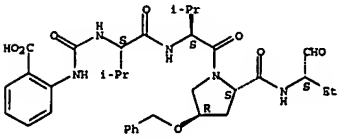
RN 207001-67-0 CAPLUS
CN L-Prolinamide, N-[[(3,5-dicarboxyphenyl)amino]carbonyl]-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



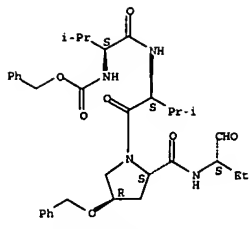
RN 207001-68-1 CAPLUS
CN L-Prolinamide, N-[[(2-carboxyphenyl)amino]carbonyl]-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



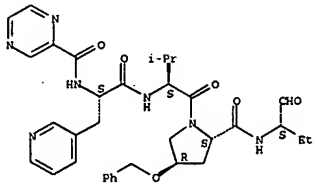
RN 207001-69-2 CAPLUS
CN L-Prolinamide, N-[(phenylmethoxy)carbonyl]-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



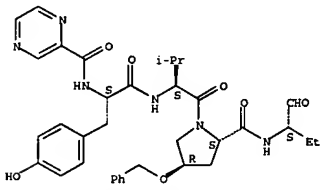
RN 207002-08-2 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-3-(3-pyridinyl)-L-alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



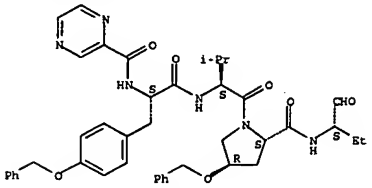
RN 207002-09-3 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-tyrosyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



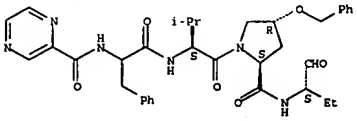
RN 207002-10-6 CAPLUS
CN L-Prolinamide, O-(phenylmethyl)-N-(pyrazinylcarbonyl)-L-tyrosyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



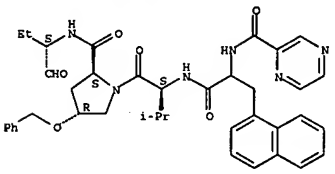
RN 207002-11-7 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)phenylalanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



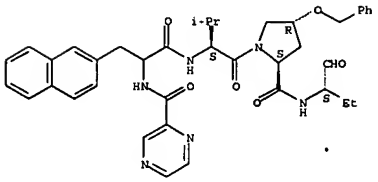
RN 207002-12-8 CAPLUS
CN L-Prolinamide, 3-(1-naphthalenyl)-N-(pyrazinylcarbonyl)alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



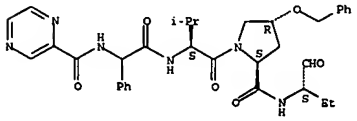
RN 207002-13-9 CAPLUS
CN L-Prolinamide, 3-(2-naphthalenyl)-N-(pyrazinylcarbonyl)alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



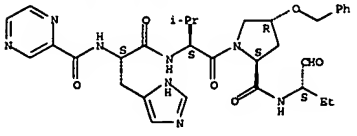
RN 207002-14-0 CAPLUS
CN L-Prolinamide, 2-phenyl-N-(pyrazinylcarbonyl)glycyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



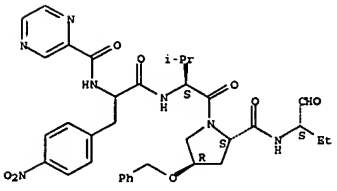
RN 207002-15-1 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-histidyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



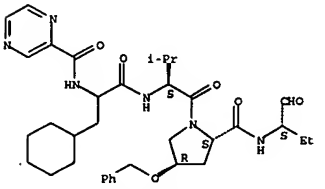
RN 207002-16-2 CAPLUS
CN L-Prolinamide, 4-nitro-N-(pyrazinylcarbonyl)phenylalanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



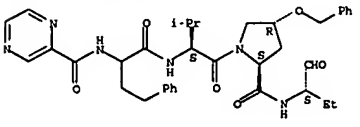
RN 207002-17-3 CAPLUS
CN L-Prolinamide, 3-cyclohexyl-N-(pyrazinylcarbonyl)alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



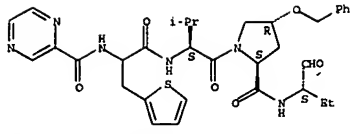
RN 207002-18-4 CAPLUS
CN L-Prolinamide, α-[(pyrazinylcarbonyl)amino]benzenebutanoyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



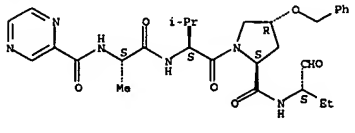
RN 207002-19-5 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-3-(2-thienyl)alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



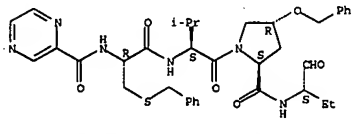
RN 207002-20-8 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-alanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



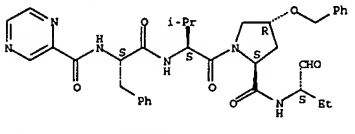
RN 207002-21-9 CAPLUS
CN L-Prolinamide, S-(phenylmethyl)-N-(pyrazinylcarbonyl)-L-cysteinyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



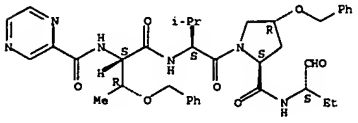
RN 207002-22-0 CAPLUS
CN L-Prolinamide, N-(pyrazinylcarbonyl)-L-phenylalanyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



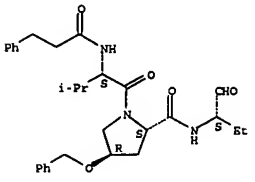
RN 207002-23-1 CAPLUS
CN L-Prolinamide, O-(phenylmethyl)-N-(pyrazinylcarbonyl)-L-threonyl-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



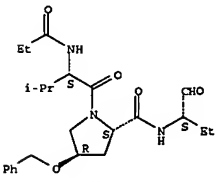
RN 207002-28-6 CAPLUS
CN L-Prolinamide, N-(1-oxo-3-phenylpropyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



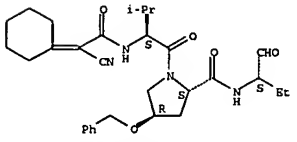
RN 207002-29-7 CAPLUS
CN L-Prolinamide, N-(1-oxopropyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



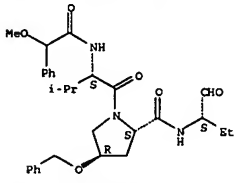
RN 207002-41-3 CAPLUS
CN L-Prolinamide, N-(cyanocyclohexylideneacetyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



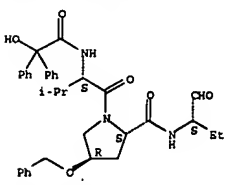
RN 207002-45-7 CAPLUS
CN L-Prolinamide, N-(methoxyphenylacetyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



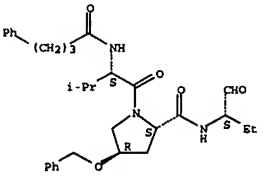
RN 207002-46-8 CAPLUS
CN L-Prolinamide, N-(hydroxydiphenylacetyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



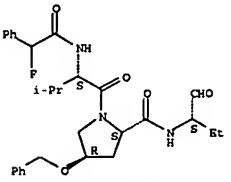
RN 207002-47-9 CAPLUS
CN L-Prolinamide, N-(1-oxo-4-phenylbutyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



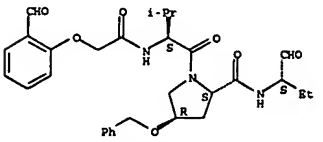
RN 207002-48-0 CAPLUS
CN L-Prolinamide, N-(fluorophenylacetyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



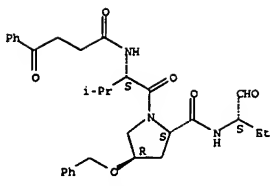
RN 207002-50-4 CAPLUS
CN L-Prolinamide, N-[(2-formylphenoxy)acetyl]-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



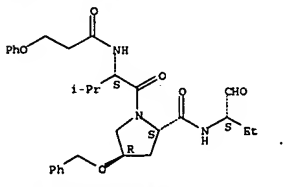
RN 207002-51-5 CAPLUS
CN L-Prolinamide, N-(1,4-dioxo-4-phenylbutyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



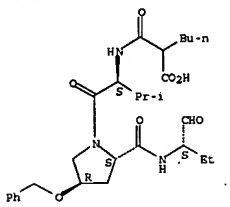
RN 207002-52-6 CAPLUS
CN L-Prolinamide, N-(1-oxo-3-phenoxypropyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207002-53-7 CAPLUS
CN L-Prolinamide, N-(2-carboxy-1-oxohexyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207002-54-8 CAPLUS
CN L-Prolinamide, N-(1-oxo-2-phenoxybutyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

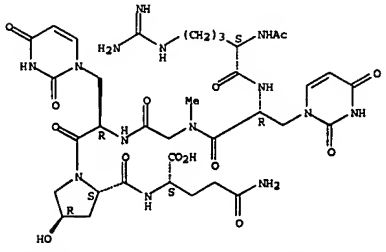
Absolute stereochemistry.

RNA, using a monolabeled oligoribonucleotide. The screening process revealed a high structure-affinity relationship in the successful products. Only six out of the twelve unnatural amino acids were selected, with the repeated appearance of β-(uracil-1-yl)-D-alanine (AlaU), Sar and the secondary amino acids Hyp and isonipecotic acid (Inp). The affinity and selectivity for the target was determined using a DNase I protection assay.

IT 206005-86-9P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation and identification of DNA-binding ligands from peptide libraries containing unnatural amino acids)

RN 206005-86-9 CAPLUS
CN L-Glutamine, N2-acetyl-L-arginyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-D-alanyl-N-methylglycyl-3-(3,4-dihydro-2,4-dioxo-1(2H)-pyrimidinyl)-D-alanyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 82 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:746070 CAPLUS
DOCUMENT NUMBER: 128:30375
TITLE: Auto-deconvoluting combinatorial libraries of compounds interacting with enzymes, receptors, or other active moieties
INVENTOR(S): Quibell, Martin; Johnson, Tony; Hart, Terance
PATENT ASSIGNEE(S): Peptide Therapeutics Limited, UK; Quibell, Martin; Johnson, Tony; Hart, Terance
SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9742216	A1	19971113	WO 1997-GB1158	19970424
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2252408	A1	19971113	CA 1997-2252408	19970424
AU 9726450	A	19971126	AU 1997-26450	19970424
AU 728263	B2	20010104		
EP 906334	A1	19990407	EP 1997-918253	19970424
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000512979	T	20001003	JP 1997-539622	19970424
ES 2162277	T3	20011216	ES 1997-918252	19970424
US 2003092067	A1	20030515	US 2002-259420	20020930

PRIORITY APPLN. INFO.:

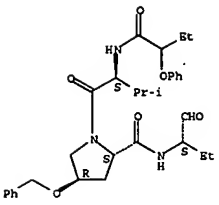
US 1996-8457	A	19960424
GB 1996-16115	A	19960731
GB 1996-24584	A	19961127
WO 1997-GB1158	W	19970424
US 1999-171680	A3	19991103

AB The present invention relates to the field of apparatus (set of compds.) and methods which provide the rapid generation of structure/activity relationships using auto-deconvoluting combinatorial libraries, which facilitate the invention of novel active compds. The invention provides apparatus and methods which can be used for the rapid generation of structure/activity relationship (SAR) data, and, therefore, the characterization of the active motif of any group of compds. The invention provides libraries of compds. which interact with an active moiety, and apparatus and methods to identify such compds. The active moieties may be (but are not limited to) enzymes (e.g. kinases), receptors, antibodies, etc. The interaction of the active moiety with the compds. of the library may be (but is not limited to) the interaction of a substrate or inhibitor with an enzyme, the interaction of a ligand with a receptor, the interaction of an antigen or antigenic epitope with an antibody, etc. The invention describes e.g. the synthesis of a number of compds. for use as a library for screening for potential substrates for dust mite Der P1 cysteine protease, as well as subsequent identification and synthesis of active inhibitors of the enzyme.

IT 198838-77-6P 198839-11-1P
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(auto-deconvoluting combinatorial libraries of compds. interacting with enzymes, receptors, or other active moieties)

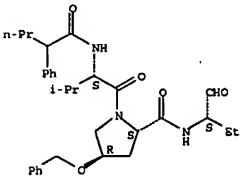
RN 198838-77-6 CAPLUS
CN L-α-Asparagine, N-(2-aminobenzoyl)-L-valyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-seryl-3-nitro-L-tyrosyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 207002-55-9 CAPLUS
CN L-Prolinamide, N-(1-oxo-2-phenylpentyl)-L-valyl-N-[(1S)-1-formylpropyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

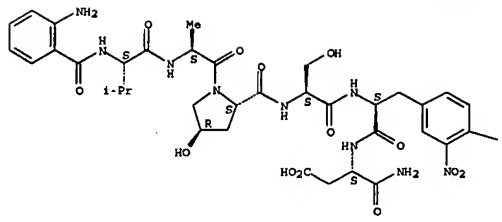


REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 81 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:223268 CAPLUS
DOCUMENT NUMBER: 128:295041
TITLE: DNA-binding ligands from peptide libraries containing unnatural amino acids
AUTHOR(S): Lescrinier, Theo; Hendrix, Chris; Kerremans, Luc; Rozenski, Jef; Link, Andreas; Samyn, Bart; Van Aerschot, Arthur; Lescrinier, Eveline; Sritja, Ramon; Van Beeumen, Jozef; Herdewijn, Piet
CORPORATE SOURCE: Laboratory of Medicinal Chemistry, Rega Institute for Medical Research, Katholieke Universiteit Leuven, Louvain, B-3000, Belg.
SOURCE: Chemistry--A European Journal (1998), 4(3), 425-433
CODEN: CEUJED; ISSN: 0947-6539
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An unnatural peptide-based library, bound on a solid support, was screened for double-stranded-DNA (dsDNA)-binding ligands. For this purpose, fluorescein and rhodamine were used to label the single-stranded oligodeoxynucleotides. Beads containing products with affinity to dsDNA turned red in visible light and fluoresced yellow in UV light. A similar technique can be used for the selection of ligands which bind to a hairpin

PAGE 1-A



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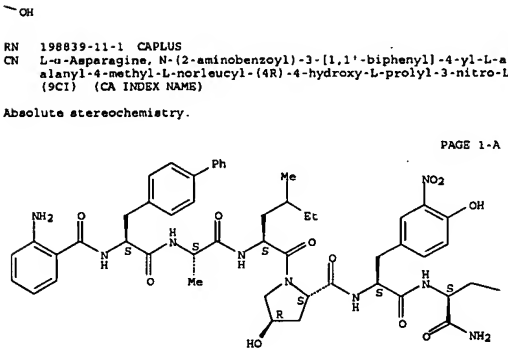

RN 198839-11-1 CAPLUS
CN L-α-Asparagine, N-(2-aminobenzoyl)-3-[1,1'-biphenyl]-4-yl-L-alanyl-L-alanyl-4-methyl-L-norleucyl-(4R)-4-hydroxy-L-prolyl-3-nitro-L-tyrosyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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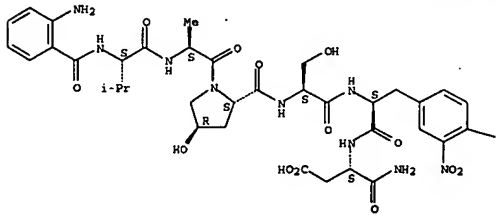
PAGE 1-B



RN 198839-11-1 CAPLUS
CN L-α-Asparagine, N-(2-aminobenzoyl)-3-[1,1'-biphenyl]-4-yl-L-alanyl-L-alanyl-4-methyl-L-norleucyl-(4R)-4-hydroxy-L-prolyl-3-nitro-L-tyrosyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

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L6 ANSWER 83 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:717935 CAPLUS
DOCUMENT NUMBER: 128:1461
TITLE: Substrates and inhibitors of proteolytic enzymes
INVENTOR(S): Quibell, Martin; Johnson, Tony; Hart, Terance
PATENT ASSIGNEE(S): Peptide Therapeutics Ltd., UK; Quibell, Martin; Johnson, Tony; Hart, Terance
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740065	A2	19971030	WO 1997-GB1157	19970424
WO 9740065	A3	19971204		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2252508	A1	19971030	CA 1997-2252508	19970424
AU 9726449	A	19971112	AU 1997-26449	19970424
AU 706855	B2	19990624		
CA 2252408	A1	19971113	CA 1997-2252408	19970424
EP 906333	A2	19990407	EP 1997-918252	19970424
EP 906333	B1	20010725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001501170	T	20010130	JP 1997-537864	19970424
AT 203545	T	20010815	AT 1997-918252	19970424
ES 2162277	T3	20011216	ES 1997-918252	19970424
US 6528275	B1	20030304	US 1999-171680	19991103
US 2003092067	A1	20030515	US 2002-259420	20020930

PRIORITY APPLN. INFO.:		
GB 1996-8457	A	19960424
GB 1996-16115	A	19960731
GB 1996-24584	A	19961127
WO 1997-GB1157	W	19970424
US 1999-171680	A3	19991103

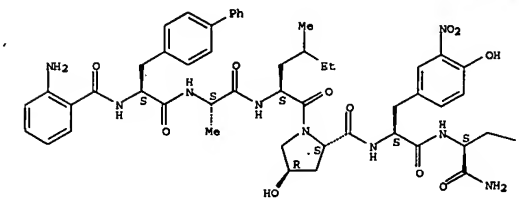
AB The present invention relates to the field of compds. which are substrates or inhibitors of proteolytic enzymes and to apparatus and methods for identifying substrates or inhibitors for proteolytic enzymes. We have devised a combinatorial method for the rapid identification of binding motifs which will greatly expedite the synthesis of inhibitors of a variety of proteolytic enzymes such as aspartyl proteases, serine proteases, metallo proteases and cysteinyl proteases. Some inhibitors have the formula A-B-C-D-nE-F, in which A represents a fluorescor internally quenched by F; while B, C, D, and E represent groups such that the scissile bond between any two of these groups is a suitable bond; n is an integer 1, 2, 3, or 4; and F a quencher capable of internally quenching the fluorescor A.

IT 198838-77-6 198839-11-1
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(substrates and inhibitors of proteolytic enzymes)

RN 198838-77-6 CAPLUS
CN L-α-Asparagine, N-(2-aminobenzoyl)-L-valyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-seryl-3-nitro-L-tyrosyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

L6 ANSWER 84 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:556520 CAPLUS
DOCUMENT NUMBER: 127:218102
TITLE: Proctolin, a natural insect neuropeptide
AUTHOR(S): Konopinska, Danuta
CORPORATE SOURCE: Wydzial Chemii Univ. Wroclawskiego, Wroclaw, 50-383, Pol.
SOURCE: Wiadomosci Chemiczne (1997), 51(3-4), 145-162
CODEN: WICHAP; ISSN: 0043-5104
PUBLISHER: Polskie Towarzystwo Chemiczne
DOCUMENT TYPE: Journal
LANGUAGE: Polish

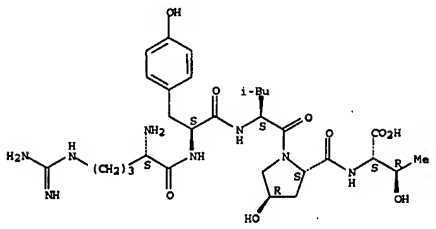
AB In the present paper the literature data on the synthetic, biol., and conformational studies on insect neuropeptide proctolin (Arg-Tyr-Leu-Pro-Thr) and its analogs are summarized. The paper covers proctolin and its 80 analogs modified in positions 1-5, cycloanalogue as well as analogs with the truncated or elongated peptide chain. The presented peptides were bioassayed by different methods, e.g. by studies of myotropic activities in several insect species in vitro and by behavior in rats in vivo. Basing on these data structure-activity relation is discussed.

IT 158396-69-1 158396-70-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(proctolin insect neuropeptide analog biol. and conformational studies and myotropic activity)

RN 158396-69-1 CAPLUS

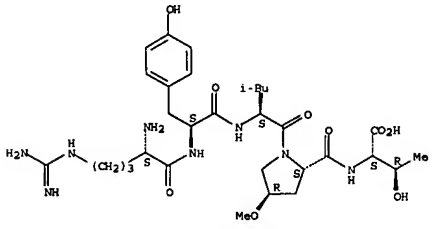
CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158396-70-4 CAPLUS
CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-methoxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 85 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:543532 CAPLUS
DOCUMENT NUMBER: 127:134690
TITLE: Inhibitors of MAdCAM-1-mediated interactions and methods of use therefor
INVENTOR(S): Schwender, Charles F.; Shroff, Hitesh N.
PATENT ASSIGNEE(S): Leukosite, Inc., USA
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9725351	A2	19970717	WO 1997-US291	19970103
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6037324	A	20000314	US 1996-582740	19960104
CA 2241169	A1	19970717	CA 1997-2241169	19970103
AU 9712415	A	19970801	AU 1997-22415	19970103
AU 721615	B2	20000713		
EP 871670	A2	19981021	EP 1997-905564	19970103
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2000503203	T	20000321	JP 1997-525381	19970103
US 6274556	B1	20010814	US 1998-109879	19980702
US 2002103111	A1	20020801	US 2001-859214	20010516

PRIORITY APPLN. INFO.:
US 1996-582740 A2 19960104
WO 1997-US291 W 19970103
US 1998-109879 A1 19980702

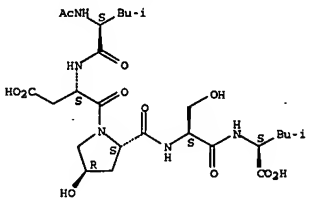
OTHER SOURCE(S): MARPAT 127:134690

AB The present invention provides novel compds. comprising peptide sequences which mimic the conserved amino acid motif LDTSL of MAdCAM-1 and which have groups bonded to the N- and C-termini. Also provided are methods of inhibiting the interaction of a cell bearing a ligand of MAdCAM-1, such as human α4β7, with MAdCAM-1 or a portion thereof (e.g., the extracellular domain), comprising contacting the cell with a compound of the present invention. The MAdCAM-1 inhibitors are useful for treating disease associated with leukocyte infiltration of tissue, such as inflammatory bowel disease, with fewer side effects in other tissues where adhesion is mediated by α4β1 integrin, for example. The inhibitors can also be used for induction of antibodies selectively bind epitopes of MAdCAM-1 and useful for quantitating MAdCAM-1 on cell surface.

IT 193218-56-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide inhibitors of MAdCAM-1-mediated interactions for treating disease associated with leukocyte infiltration)

RN 193218-56-3 CAPLUS
CN L-Leucine, N-acetyl-L-leucyl-Lα-aspartyl-(4R)-4-hydroxy-L-prolyl-L-seryl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 86 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:541852 CAPLUS
DOCUMENT NUMBER: 127:234612
TITLE: Preparation of heterocyclyl aspartaldehyde peptide derivatives as interleukin-1β converting enzyme inhibitors
INVENTOR(S): Bemis, Guy W.; Golec, Julian M. C.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Livingston, David J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals, Inc., USA
SOURCE: U.S., 67 pp., Cont.-in-part of U.S. Ser. No. 261,452.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5656627	A	19970812	US 1995-405581	19950317
US 5756466	A	19980526	US 1994-261452	19940617
US 5847135	A	19981208	US 1995-440898	19950525
US 5716929	A	19980210	US 1995-464964	19950605
US 6025147	A	20000215	US 1995-460973	19950605
TW 509698	B	20021111	TW 1995-84105903	19950609
IN 181338	A1	19980516	IN 1995-CA659	19950612
ZA 9504988	A	19961217	ZA 1995-4988	19950615
CA 2192089	A1	19951228	CA 1995-2192089	19950616
WO 9535308	A1	19951228	WO 1995-US7617	19950616
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9529446	A	19960115	AU 1995-29446	19950616
AU 709114	B2	19990819		
EP 784628	A1	19970723	EP 1995-925257	19950616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1159196	A	19970910	CN 1995-194381	19950616
BR 9508051	A	19971021	BR 1995-8051	19950616
HU 76622	A2	19971028	HU 1996-3475	19950616
JP 10504285	T	19980428	JP 1996-502478	19950616
AP 797	A	20000107	AP 1997-960	19950616
W: KE, MW, SD, SZ, UG				
PL 185693	B1	20030731	PL 1995-318220	19950616
EP 1394175	A1	20040303	EP 2003-22215	19950616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
RU 2242480	C2	20041220	RU 1997-100937	19950616
NO 9605365	A	19970217	NO 1996-5365	19961213
NO 317947	B1	20050110		
FI 9605036	A	19970214	FI 1996-5036	19961216
BG 63634	B1	20020731	BG 1997-101130	19970114
US 5973111	A	19991026	US 1997-828941	19970328
IN 183119	A1	19990911	IN 1997-CA778	19970430
US 6420522	B1	20020716	US 1999-430822	19991029
US 2002099042	A1	20020725	US 2001-886773	20010621

PRIORITY APPLN. INFO.:
US 1994-261452 A2 19940617
US 1995-405581 A2 19950317
US 1995-440898 A3 19950525
US 1995-465216 A3 19950605
IN 1995-CA659 A1 19950612
EP 1995-925257 A3 19950616
WO 1995-US7617 W 19950616
US 1999-430822 A3 19991029

OTHER SOURCE(S): MARPAT 127:234612

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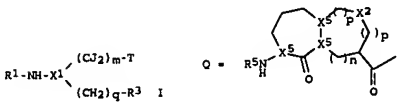
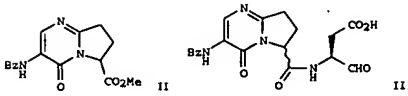




AB The present invention relates to novel classes of compds. I (X1 = CH, N; q = 0, 1; J = independently H, OH, F; m = 0-2; T = Ar3, OH, CF3, COCO2H, CO2H, COCH2OH, CONHOH, SO2NHR, SO3H, P(O)(OH)NH2, CONHCN, OSO3H, CONHSO2R16, PO3H2, P(O)(OH)OR16, P(O)(OH)R16, OPO3H2, OP(O)(OH)OR16, OP(O)(OH)R16, NHPO3H2, NHP(O)(OH)OR16, NHP(O)(OH)R16, COCH:C(OH)CO2H, 5- or 6-membered heterocyclic ring; R16 = C1-6 alkyl; R1 = optionally substituted fragment Q; X2 = O, CH2, NH, S, S(O), SO2; X5 = CH, N; n = 0-1, d = 0-2, such that n + d + d = 2; R3 = CN, CH:CHR9, CH:NOR9, (CH2)1-3T1R9, CJ2R9, COR13, COCONR5R10; each R4 = H, Ar1, R9, T1R9, (CH2)1-3T1R9; each T1 = CH:CH, O, S, S(O), SO2, NR10, NR10CO, CO, O2C, CO2, CONR10, O2CNR10, NR10CONR10, SO2NR10, NR10SO2, NR10SO2NR10; R5 = H, Ar1, COAr1, SO2Ar1, R9, CONR9, CO2R9, SO2R9, CONAr1R10, SO2NAr1R10, CONR9R10, SO2NR9R10; R5 = Ar1, SO2Ar1, COR9, CONAr1R10, SO2NAr1R10, CONR9R10, SO2NR9R10; R9 = optionally substituted, straight or branched C1-6 alkyl; R10 = H, C1-6 straight or branched alkyl; R13 = H, Ar1, Ar2, R9, T1R9, (CH2)1-3T1R9; Ar1 = aryl, cycloalkyl, or heterocyclyl group containing 1-3 rings and 3-15 ring atoms; Ar2 = optionally benzo-fused 5-membered heterocyclyl; Ar3 = optionally substituted Ph or 5-membered heterocyclic ring] which are inhibitors of interleukin-1β converting enzyme. The ICE inhibitors of this invention are characterized by specific structural and physicochem. features. This invention also relates to pharmaceutical compns. comprising these compds. The compds. and pharmaceutical compns. of this invention are particularly well suited for inhibiting ICE activity and consequently, may be advantageously used as agents against interleukin-1 mediated diseases, including inflammatory diseases, autoimmune diseases and neurodegenerative diseases. This invention also relates to methods for inhibiting ICE activity and methods for treating interleukin-1 mediated diseases using the compds. and compns. of this invention. Thus, cyclocondensation of Et 2-aminopyrrolidine-5-carboxylate with 4-ethoxymethylene-2-phenyl-2-oxazolidin-5-one gave 32% pyrrolopyrimidine II. Saponification of II, followed by coupling with tert-Bu (3S)-amino-4-oxobutanoate semicarbazone, diastereomer separation, and deprotection, gave ICE inhibitors III. III and related compds. inhibited ICE with Ki = 0.011 to 35 μM in a UV-visible assay and IC50 = 0.50 to

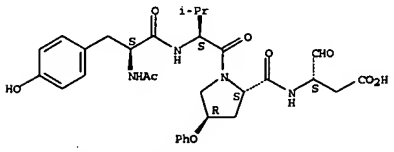
>35 μM in a cell assay.

IT 175208-91-0P 175208-92-1P 175208-93-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl aspartaldehyde peptide derivs. as interleukin-1β converting enzyme inhibitors)

RN 175208-91-0 CAPLUS

CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

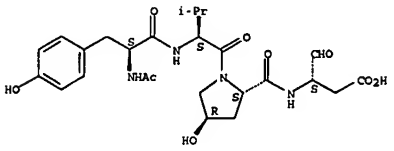
Absolute stereochemistry.



RN 175208-92-1 CAPLUS

CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

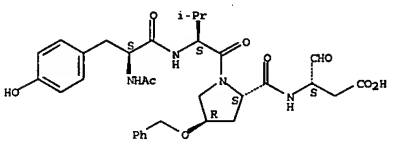
Absolute stereochemistry.



RN 175208-93-2 CAPLUS

CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 87 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:502830 CAPLUS
DOCUMENT NUMBER: 127:122000
TITLE: Inhibitors of interleukin-1β converting enzyme
INVENTOR(S): Batchelor, Mark J.; Bebbington, David; Bemis, Guy W.; Fridman, Wolf Herman; Gillespie, Roger J.; Golec, Julian M. C.; Gu, Yong; Lauffer, David J.; Livingston, David J.; Matharu, Saroop S.; Mullican, Michael D.; Murcko, Mark A.; Murdoch, Robert; Nyce, Philip L.; Robidoux, Andrea L. C.; et al.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 946 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9722619	A2	19970626	WO 1996-US20843	19961220
WO 9722619	A3	19971016		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 6008217	A	19991228	US 1995-575641	19951220
US 5874424	A	19990223	US 1996-598332	19960208
US 5985863	A	19991116	US 1996-712878	19960912
US 6204261	B1	20010320	US 1996-761483	19961206
CA 2239904	A1	19970626	CA 1996-2239904	19961220
AU 9715222	A	19970714	AU 1997-15222	19961220
AU 735075	B2	20010628		
EP 869967	A2	19981014	EP 1996-945318	19961220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9612258	A	19990713	BR 1996-12258	19961220
NZ 326610	A	20000825	NZ 1996-326610	19961220
JP 2002507961	T	20020312	JP 1997-523098	19961220
TW 541309	B	20030711	TW 1996-85115799	19961220
RU 2249598	C2	20050410	RU 1998-113931	19961220
PL 190736	B1	20051230	PL 1996-328527	19961220
NO 9802597	A	19980812	NO 1998-2597	19980605
AU 756253	B2	20030109	AU 2001-76122	20010928

PRIORITY APPLN. INFO.:

US 1995-575641	A	19951220
US 1996-598332	A	19960208
US 1996-712878	A	19960912
US 1996-31495P	P	19961126
US 1996-761483	A	19961206
AU 1997-15222	A3	19961220
WO 1996-US20843	W	19961220

OTHER SOURCE(S): MARPAT 127:122000

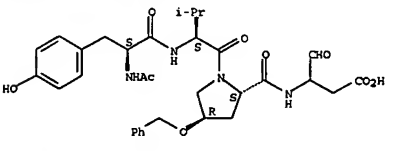
AB Compds. R(CH2)nCH(NHR1)(CR22)mR3 [R = NC, R4CH:CH, R4ON:CH, R4CR22, etc. where R2 is independently selected from H, OH, F and R4 is (un)substituted alkyl; R1 = R5NHCHR6CONR7CHR8CO, where CHR6CONR7 is a 2-oxoazepine ring substituted by benzo, pyrido, thieno, or related rings at the 6,7-position and optionally may have O, NH, S, SO, or SO2 at the 5-position, R5 and R8 are H, cyclic group, etc.; R3 = OH, COCOCO2H, CO2H, or any bioisosteric replacement for CO2H; m = 0, 1, 2; n = 0, 1] were prepared as inhibitors of interleukin-1β converting enzyme. Thus, [1S,9S(2RS,3S)]-9-benzoylamino-6,10-dioxo-1,2,3,4,7,8,9,10-octahydro-N-(2-benzyloxy-5-oxotetrahydrofuran-3-yl)-6H-pyridazino[1,2-a][1,2]diazepine-1-carboxamide was prepared and shown to have IC50 values of 900 and 600 nM, resp., in the peripheral blood mononuclear cell (PBMC) and whole human blood assays.

IT 192753-27-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(inhibitors of interleukin-1β converting enzyme)

RN 192753-27-8 CAPLUS

CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-(2-carboxy-1-formylethyl)-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 88 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:316457 CAPLUS
DOCUMENT NUMBER: 127:13554
TITLE: Pharmacological activities of some synthetic peptides related to dermorphin
AUTHOR(S): Sivanandaiah, K.M.; Babu, V.V. Suresh; Shankaramma, S.C.; Lakshmana, M.
CORPORATE SOURCE: Department of Studies in Chemistry, Central College, Bangalore University, Bangalore, 560 001, India
SOURCE: Indian Journal of Pharmacology (1997), 29(2), 92-98
CODEN: INJPD2; ISSN: 0253-7613
PUBLISHER: Indian Pharmacological Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB To investigate the relation between the structure of demorphins (DM) and their pharmacol. properties, six analogs of [Hyp6]DM and [Pro6]DM were synthesized and their biol. activities were studied. The peptides were synthesized by the solid phase method using 9-fluorenylmethoxycarbonyl amino acid trichlorophenyl esters as coupling agents and Merrifield resin as solid support. The opioid agonist activity was studied using co-axially, elec. stimulated contraction of isolated guinea pig ileum (GPI, in vitro). Their analgesic activity was assessed in mice using Eddy's hot plate method and tail-flick method. The antidiarrheal activity was determined by the charcoal meal test in mice. In the GPI assay, the synthetic analogs possess agonistic activities that are less pronounced than morphine. Peptides I and II (substitution of ser at position 7 and Gly at position 4 in [Hyp6]DM series, resp.) possessed considerable analgesic activity but are almost inactive in the GPI assay. Peptide III ([Pro6, Sar7]DM) possesses only analgesic activity. In the GPI assay, peptide IV ([Phg3,Pro6]DM)was inactive. Peptide V ([D-Phg3,Pro6]DM) and VI ([MePhe3,Sar4,Pro6]DM)had equipotent analgesic and antidiarrheal activity. Peptides with various structures can possess specificities that may prove useful in biol. applications. Among them [Sar4,Hyp6,Tyr7]DM, [Hyp6,Pro7]DM, [Pro6,Sar7]DM and [Phg3,Pro6]DM exhibited a high degree of selectivity in their activities.

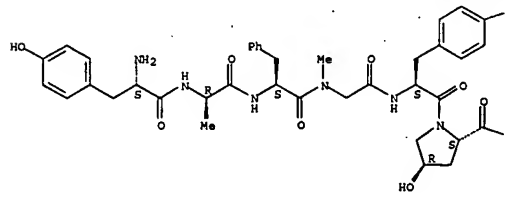
IT 190335-86-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmacol. activities of synthetic peptides related to dermorphin)

RN 190335-86-5 CAPLUS

CN L-Tyrosinamide, L-tyrosyl-D-alanyl-L-phenylalanyl-N-methylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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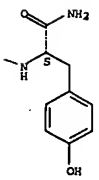


REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 89 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:294632 CAPLUS
DOCUMENT NUMBER: 127:31686
TITLE: Tachykinins and other biologically active peptides from the skin of the Costa Rican phyllomedusid frog Agalychnis callidryas
AUTHOR(S): Mignogna, Giuseppina; Severini, Cinzia; Falconieri Erspamer, Giuliana; Siciliano, Rosa; Kreil, Gunther; Barra, Donatella
CORPORATE SOURCE: Istituto Pasteur-Fondazione Cenci Bolognetti, Italy
SOURCE: Peptides (Tarrytown, New York) (1997), 18(3), 367-372
CODEN: PPTDD5; ISSN: 0196-9781
PUBLISHER: Elsevier

DOCUMENT TYPE: Journal
LANGUAGE: English

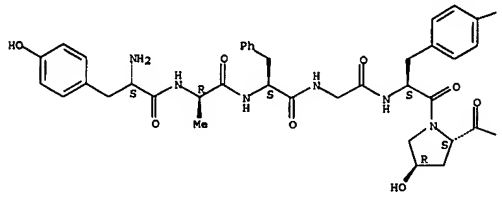
AB Peptides present in a methanol extract prepared from skin of the Costa Rican frog Agalychnis callidryas of the Phyllomedusinae subfamily were studied by sequence anal. and pharmacol. tests. Members of five different peptides families - tachykinins, bradykinins, caerulein, opioid peptides and sauvagine - were found. In particular, the extract contained a number of tachykinins with the following sequences:Gly-Pro-Pro-Asp-Pro-Asn-Lys-Phe-Ile-Gly-Leu-Met-NH2, Gly-Pro-Pro-Asp-Pro-Asp-Arg(Lys)-Phe-Tyr-Pro-Gly-Met-NH2, pGlu-Pro-Asp-Pro-Asp-Arg-Phe-Tyr-Pro-Gly-Met-NH2, Gly-Pro-Pro-Asp-Pro-Asn-Lys-Phe-Tyr-Pro-Val-Met. The latter three peptides have the unusual C-terminal sequence Pro-Gly(or Val)-Met-NH2 rather than Gly-Leu-Met-NH2 found in many other members of the tachykinin family. The observed amino acid substitutions may be the reason for the marked decrease in the biol. activity observed in all in vitro and in vivo tests, even though the spectrum of tachykinin activities was retained. A kassinin-like peptide, with the sequence Gly-Pro-Pro-Asp-Pro-Asn-Lys-Phe-Ile-Gly-Leu-Met-NH2, was also found in the A. callidryas skin. While kassinin has a much higher affinity for NK-3 than for NK-1 receptors, the opposite is true for this A. callidryas peptide. The extract from A. callidryas skin also contained a new caerulein (pGlu-Asp-Tyr(HSO3)-Lys-Gly-Trp-Met-Asp-Phe-NH2) and a phyllokinin (Arg-Pro-Hyp-Gly-Phe-Ser-Pro-Phe-Arg-Ile-Tyr), as well as the opioid peptides demorphin and [Hyp6]demorphin, both previously isolated from different Phyllomedusa species.

IT 77614-17-6P
RL: BOC (Biological occurrence); BSU (Biological study, unclassified); PRP (Properties); PUR (Purification or recovery); BIOL (Biological study); OCCU (Occurrence); PREP (Preparation)
(tachykinins and other biol. active peptides from the skin of the Costa Rican phyllomedusid frog Agalychnis callidryas)

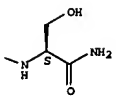
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

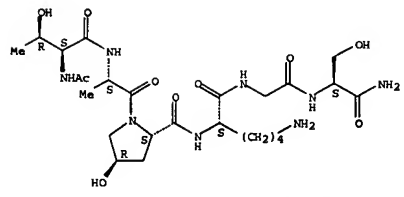
L6 ANSWER 90 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:49157 CAPLUS
DOCUMENT NUMBER: 126:171868
TITLE: Glycopeptide mimics of mammalian Man9GlcNAc2. Ligand binding to mannan-binding proteins (MBPs)
AUTHOR(S): Franzyk, Henrik; Meldal, Morten; Paulsen, Hans; Thiel, Steffen; Jensenius, Jens Chr.; Bock, Klaus
CORPORATE SOURCE: Dep. of Chemistry, Carlsberg Laboratory, Copenhagen, D-20146, Den.
SOURCE: Bioorganic & Medicinal Chemistry (1996), 4(11), 1881-1899
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A novel and simple approach for rational design of oligosaccharide mimics has been developed. Mammalian high-mannose triantennary structure Man9GlcNAc2 has been subjected to mol. modeling using the NMR data available on structural fragments of the oligosaccharide. The indicated four different low energy conformations, and the spatial arrangement of terminal disaccharides of the oligosaccharide antennae were simulated with glycopeptides carrying disaccharides by applying weak constraints between the saccharide parts in mol. dynamics simulations on a large array of tri- to octaglycopeptides. The five glycopeptides exhibiting the best fit with the four min. energy conformations of the oligosaccharide were synthesized by solid phase glycopeptide assembly using glycosylated 9-fluorenylmethoxycarbonyl(Fmoc) amino acid pentafluorophenyl esters as building blocks. The glycan was acyl-protected α-D-Man-(1→2)-α-D-Man, and Ser, Thr, and Hyp were the glycosylated amino acids. The deprotected and purified glycopeptides were subjected to NMR anal. for characterization, and in order to investigate the cis-trans isomerism of the Hyp carbimide bonds. The glycopeptides were tested for their ability to inhibit binding of mannan-binding protein to mannan from Saccharomyces cerevisiae. They were found to be weak inhibitors showing no indication of multivalent interaction with the mannan-binding protein.

IT 187097-72-9P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(preparation, mol. dynamics calcns., and binding of high-mannose triantennary glycopeptides to mannan-binding proteins)

RN 187097-72-9 CAPLUS
CN L-Serinamide, N-acetyl-L-threonyl-L-alanyl-(4R)-4-hydroxy-L-prolyl-L-lysylglycyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

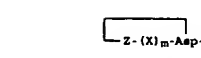


REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 91 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:729048 CAPLUS
DOCUMENT NUMBER: 126:8719
TITLE: Preparation of cyclopeptides as calcitonin analogs
INVENTOR(S): Shibata, Kenji; Yamasaki, Motoo; Hamada, Masako; Tamaoki, Tatsuya; Kosaka, Nobuo; Sato, Soichiro
PATENT ASSIGNEE(S): Kyowa Hakko Kogyo Co., Ltd., Japan
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9629343	A1	19960926	WO 1996-JP666	19960315
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2190633	A1	19960926	CA 1996-2190633	19960315
EP 770623	A1	19970502	EP 1996-906038	19960315
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 3821485	B2	20060913	JP 1996-528280	19960315
US 5977298	A	19991102	US 1997-934741	19970922
PRIORITY APPLN. INFO.:			JP 1995-61026	A 19950320
			WO 1996-JP666	W 19960315

OTHER SOURCE(S): MARPAT 126:8719
GI



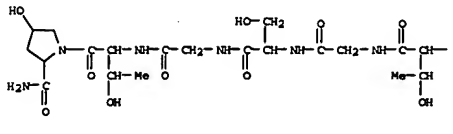
Z-(X)m-Asp-(Trp)n-Y I

AB Novel calcitonin deriva. represented by general formula [I; Z = Gly or Cys; Xs are the same or different and each represents an α-amino acid residue; Y = natural calcitonin, a natural calcitonin partial peptide or a natural calcitonin-analogous peptide residue; m = an integer of 5-8; n = an integer of 0-3, provided that when m = 5, then the sequence of four residues on the C-terminal side of ·(X)m· differs from the sequence of the residues at the 3- to 6-positions of natural calcitonin] or pharmacol. acceptable salts thereof are prepared These peptides possess biol. activity and/or stability against enzyme hydrolysis superior to that of calcitonin, calcitonin partial peptide, or analogs thereof. Thus, Fmoc-Pro-OH was condensed with a MBHA resin using PyBOP, HOBt, and N-methylmorpholine in DMF to give Fmoc-Pro-MBHA resin, to which were sequentially condensed N-Fmoc-amino acids, e.g. Fmoc-Thr(tBu)-OH, Fmoc-Gly-OH, and Fmoc-Ser(tBu)-OH to give the resin-bound protected peptide Fmoc-Leu-Gly-Lys(Boc)-Leu-Ser(tBu)-Gln(Trt)-Glu(OtBu)-Leu-His(Trt)-Lys(Boc)-Leu-Gln(Trt)-Thr(tBu)-Tyr(tBu)-Pro-Arg(Pmc)-Thr(tBu)-Asn(Trt)-Thr(tBu)-Gly-Ser(tBu)-Gly-Thr(tBu)-Pro-MBHAresin. The latter resin-bound peptide was condensed with a cyclic peptide (II; R = OH) (preparation given) followed by deprotection and resin cleavage to give the title peptide resin II (R = Leu-Gly-Lys-Leu-Ser-Gln-Glu-Leu-His-Lys-Leu-Gln-Thr-Tyr-Pro-Arg-Thr-Asn-Thr-Gly-Ser-Gly-Thr-Pro-NH2),which at 10-7 M in vitro inhibited 61% bone absorption in culture of osteoclast-like multinucleated cell on an piece of ivory.

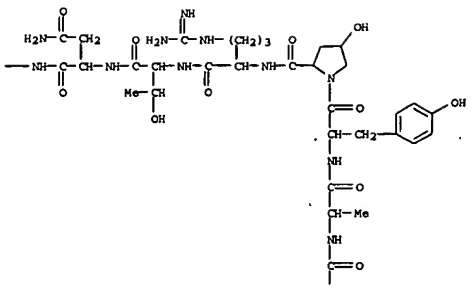
IT 183723-02-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of cyclopeptides as calcitonin analogs for bone absorption inhibitors)

RN 183723-02-6 CAPLUS
CN L-Prolinamide, glycyl-L-asparaginyl-L-tryptophyl-L-histidylglycyl-L-threonyl-L-alanyl-L-prolyl-L-α-aspartylglycyl-L-leucylglycyl-L-seryl-L-leucyl-L-threonyl-L-α-glutamyl-L-valyl-L-leucyl-L-alanyl-L-lysyl-L-leucyl-L-alanyl-L-alanyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-L-arginyl-L-threonyl-L-asparaginyl-L-threonylglycyl-L-serylglycyl-L-threonyl-4-hydroxy-, (9→1)-lactam, (4R)- (9CI) (CA INDEX NAME)

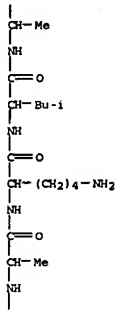
PAGE 1-A



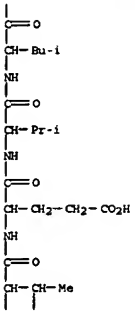
PAGE 1-B



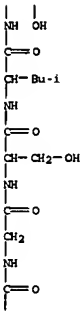
PAGE 2-B



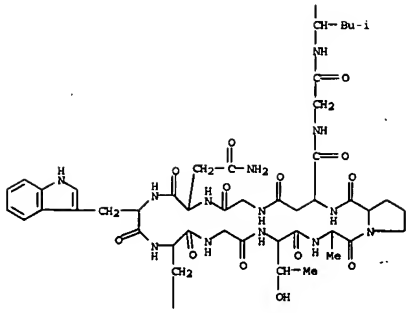
PAGE 3-B



PAGE 4-B



PAGE 5-B



PAGE 6-B

L6 ANSWER 92 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:214750 CAPLUS
DOCUMENT NUMBER: 124:290273
TITLE: Preparation of peptide analogs as inhibitors of interleukin-1 beta converting enzyme (ICE)
INVENTOR(S): Semiw, Guy W.; Golec, Julian M. C.; Lauffer, David J.; Mullican, Michael D.; Murcko, Mark A.; Livingston, David J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorp., USA
SOURCE: PCT Int. Appl., 374 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

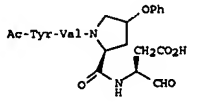
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9535308	A1	19951228	WO 1995-US7617	19950616
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LT, LU, LV, MD, MG, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TT				
RW: KE, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5756466	A	19980526	US 1994-261452	19940617
US 5656627	A	19970812	US 1995-405581	19950317
US 5847135	A	19981208	US 1995-440898	19950525
AU 9529446	A	19960115	AU 1995-29446	19950616
AU 709114	B2	19990819		
EP 784628	A1	19970723	EP 1995-925257	19950616
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
BR 9508051	A	19971021	BR 1995-8051	19950616
JP 10504285	T	19980428	JP 1996-502478	19950616
AP 797	A	20000107	AP 1997-960	19950616
W: KE, MW, SD, SZ, UG				
PL 185693	B1	20030731	PL 1995-318220	19950616
RU 2242480	C2	20041220	RU 1997-100937	19950616
NO 9605365	A	19970217	NO 1996-5365	19961213
NO 317947	B1	20050110		
FI 9605036	A	19970214	FI 1996-5036	19961216
BG 63634	B1	20020731	BG 1997-101130	19970114
US 6420522	B1	20020716	US 1999-430822	19991029

PRIORITY APPLN. INFO.:

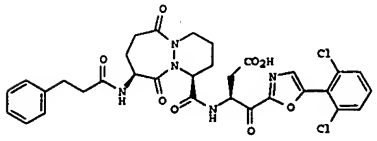
US 1994-261452	A	19940617
US 1995-405581	A	19950317
US 1995-440898	A	19950525
US 1995-465216	A3	19950605
WO 1995-US7617	W	19950616

OTHER SOURCE(S): MARPAT 124:290273

GI



I



II

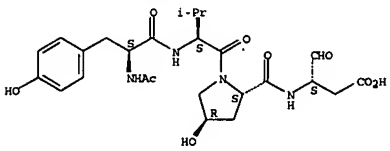
AB Novel classes of compds. are prepared, which are characterized by specific structural and physicochem. features comprising (a) a first and a second hydrogen bonding moiety, each of said moieties being capable of forming a hydrogen bond with a different backbone atom of ICE selected from the carbonyl O and the amide NH group of Arg-341 Ser-339, (b) a first and a second moderately hydrophobic moiety, said moieties each being capable of associating with a sep. binding pocket of ICE when the inhibitor is bound thereto, said binding pocket being selected form the P2, P3, P4, and P' binding pockets, and (c) an electroneg. moiety comprising ≥1 electroneg. atoms, said atoms being attached to the same atom or to adjacent atoms in the moiety and said moiety being capable of forming ≥1 hydrogen bonds or salts bridges with residues in the P1 binding pocket of ICE. These compds. and pharmaceutical compns. of this invention are particularly well suited for inhibiting ICE activity and consequently may be advantageously used as agents against interleukin-1 mediated diseases, including inflammatory diseases, autoimmune diseases and neurodegenerative diseases. Thus, etherification of Me

N-tert-butoxycarbonyl-cis-4-hydroxyprolinatewith phenol using Ph3P and di-Et azodicarboxylate in THF to Me N-tert-butoxycarbonyl-cis-4-phenoxyprolinate followed by deprotection with HCl in EtOAc to Me 4-phenoxyprolinate hydrochloride and condensation with Ac-Tyr-Val-OH using 1-ethyl-3-(3-dimethylaminopropyl)carbodiimidhydrochloride, HOBT, and diisopropylethylamine in DMF gave Me N-acetyl-L-tyrosinyl-L-valyl-(4-phenoxy)prolinate. Saponification of the latter peptide ester with LiOH in aqueous
THF to N-acetyl-L-tyrosinyl-L-valyl-(phenoxy)prolinefollowed by condensation with N-allyloxycarbonyl-4-amino-5-benzyloxy-2-oxotetrahydrofuran gave N-[N-acetyl-L-tyrosinyl-L-valyl-(4-phenoxy)prolinyl]-4-amino-5-benzyloxy-2-oxotetrahydrofuran(1:1 diastereomer mixture), which underwent hydrogenolysis over Pd(OH)2 in MeOH under H atmospheric to give the title compound (I). In a IL-1β assay with a mixed population of human peripheral blood mononuclear cells or enriched adherent mononuclear cells, I in vitro showed IC50 of 2.6 and 0.25 μM for inhibiting the processing of pre-IL-1β by ICE.

IT 175208-92-1P 175208-93-2P 175209-40-2P
175209-50-4P 175209-52-6P 175209-60-6P
175209-68-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide analogs as inhibitors of interleukin-1 beta converting enzyme for treating inflammatory, autoimmune and neurodegenerative diseases)

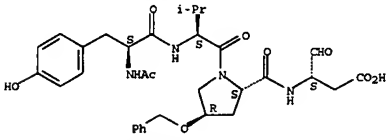
RN 175208-92-1 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-hydroxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



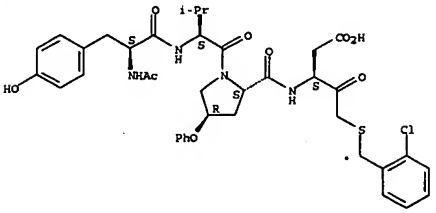
RN 175208-93-2 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(phenylmethoxy)-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



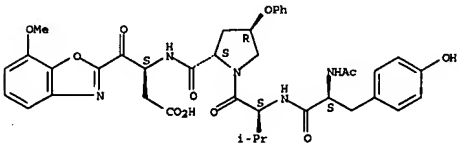
RN 175209-40-2 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-3-[[(2-chlorophenyl)methyl]thio]-2-oxopropyl]-4-phenoxy-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



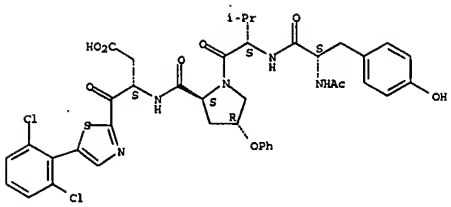
RN 175209-50-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-(7-methoxy-2-benzoxazolyl)-2-oxoethyl]-4-phenoxy-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



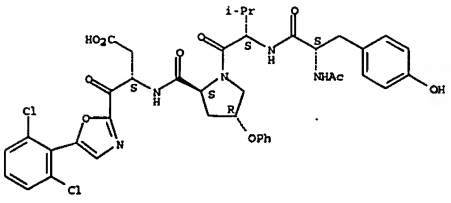
RN 175209-52-6 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-[5-(2,6-dichlorophenyl)-2-thiazolyl]-2-oxoethyl]-4-phenoxy-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



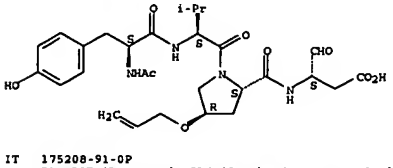
RN 175209-60-6 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-1-(carboxymethyl)-2-[5-(2,6-dichlorophenyl)-2-oxazolyl]-2-oxoethyl]-4-phenoxy-,(4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 175209-68-4 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-(2-propenyloxy)-, (4R)- (9CI) (CA INDEX NAME)

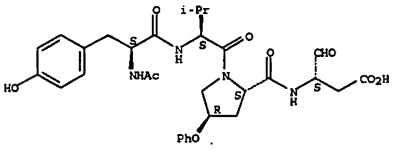
Absolute stereochemistry.



IT 175208-91-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of peptide analogs as inhibitors of interleukin-1 beta converting enzyme for treating inflammatory, autoimmune and neurodegenerative diseases)

RN 175208-91-0 CAPLUS
CN L-Prolinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-4-phenoxy-, (4R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 93 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:784992 CAPLUS
DOCUMENT NUMBER: 123:226593
TITLE: Plant arabinogalactan protein (AGP) genes and their uses in food industries
INVENTOR(S): Chen, Chao-Guang; Mau, Shaio-Lim; Du, He; Gane, Alison M.; Bacic, Antony; Clarke, Adrienne E.
PATENT ASSIGNEE(S): Albright and Wilson (Australia) Ltd., Australia
SOURCE: PCT Int. Appl., 138 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9515377	A1	19950608	WO 1994-AU744	19941201
W: AU, CA, FI, JP, NZ				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5646029	A	19970708	US 1994-276452	19940718
AU 9511038	A	19950619	AU 1995-11038	19941201
AU 690604	B2	19980430		
EP 733106	A1	19960925	EP 1995-902007	19941201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 10502521	T	19980310	JP 1994-515298	19941201
FI 9602240	A	19960704	FI 1996-2240	19960529
PRIORITY APPLN. INFO.:			US 1993-161944 A	19931203
			US 1994-276452 A	19940718
			WO 1994-AU744 W	19941201

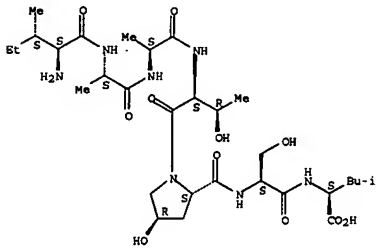
AB This invention provides plant arabinogalactan proteins (AGPs) and their genes. AGPs were isolated from Nicotiana alata, Nicotiana plumbaginifolia, and Pyrus communis. Amino acid sequences of isolated AGP peptide mols. are presented. Isolated AGP mols. were used to synthesize oligonucleotide probes to prepare oligonucleotide primers for PCR or prepare RNA probes to screen cDNA libraries of N. alata, N. plumbaginifolia, and P. communis. CDNA clones encoding amino acid sequences of isolated AGP mols. were isolated. The invention presents for the first time an intact AGP amino acid sequence derived from a corresponding AGP gene. The instant invention further provides methods useful in obtaining AGP genes encoding an AGP peptide comprising a specific isolated hydroxyproline-rich (OAST-rich) sequence or a specific isolated hydroxyproline-poor sequence.

IT 167552-23-0 167552-29-6 167552-33-2
167552-35-4

RL: FFD (Food or feed use); BIOL (Biological study); USES (Uses)
(plant arabinogalactan protein (AGP) genes and their uses in food industries)

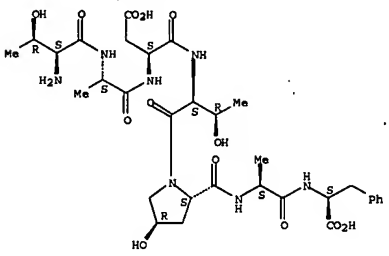
RN 167552-23-0 CAPLUS
CN L-Leucine, N-[N-[trans-4-hydroxy-1-[N-[N-(N-L-isoleucyl-L-alanyl)-L-alanyl]-L-threonyl]-L-prolyl]-L-seryl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



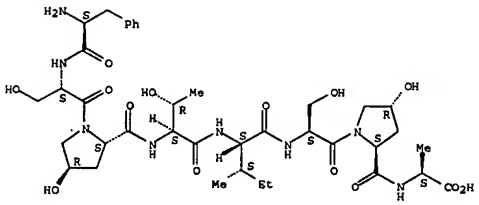
RN 167552-29-6 CAPLUS
CN L-Phenylalanine, N-[N-[trans-4-hydroxy-1-[N-[N-(N-L-threonyl-L-alanyl)-L-α-aspartyl]-L-threonyl]-L-prolyl]-L-alanyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 167552-33-2 CAPLUS
CN L-Threonine, N-[trans-4-hydroxy-1-[trans-4-hydroxy-1-[N-[N-[N-[trans-4-hydroxy-1-(N-L-isoleucyl-L-seryl)-L-prolyl]-L-alanyl]-L-seryl]-L-threonyl]-L-prolyl]-L-prolyl]- (9CI) (CA INDEX NAME)

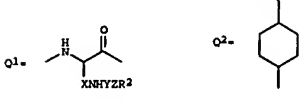
Absolute stereochemistry.



L6 ANSWER 94 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:573685 CAPLUS
DOCUMENT NUMBER: 123:33649
TITLE: Preparation of 6-position modified decapeptide LHRH antagonists
INVENTOR(S): Greer, Jonathan; Haviv, Fortuna; Fitzpatrick, Timothy D.; Swenson, Rolf E.; Nichols, Charles J.; Mort, Nicholas A.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9413313	A1	19940623	WO 1993-US11628	19931130
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CA 2136078	A1	19940623	CA 1993-2136078	19931130
EP 673254	A1	19950927	EP 1994-903367	19931130
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
JP 08504209	T	19960507	JP 1993-514229	19931130
US 5698522	A	19971216	US 1995-446809	19950601
PRIORITY APPLN. INFO.:			US 1992-987921 A	19921204
			WO 1993-US11628 W	19931130

OTHER SOURCE(S): MARPAT 123:33649
GI



AB A-D-E-G-J-L-M-Q-R-T [A = N-acetyl-D-3-(naphth-2-yl)alanyl, N-acetyl-D-phenylalanyl, N-acetylsarcosyl, etc.; D = D-Phe, D-3-(4-chlorophenyl)alanyl, D-3-(4-fluorophenyl)alanyl, etc.; E = D-3-(pyrid-3-yl)alanyl, D-3-(thiazol-2-yl)alanyl, etc.; G = Ser, Ser(OBzl), etc.; J = N(R1)-L-[3-(4-(3-amino-1,2,4-triazol-5-yl)aminophenyl)]alanyl, N(R1)-L-tyrosyl, N(R1)-L-homoarginyl, etc.; R1 = H, alkyl; L = Q1; X = (CH2)n, Q2; n = 1-6; Y = D- or L-Ala, 4-aminobutyryl, 5-aminopentanoyl, azaglycyl, D-leucyl, D-valyl, etc.; Z = null, D-alanyl, azaglycyl, Gly, D-cyclohexylalanyl, D-His, D-Phe, etc.; R2 = 3-amino-1,2,4-triazol-5-yl, Ac, biotinyl, 2-furoyl, isonicotinoyl, (substituted) PhCO, etc.; M = Leu, Val, L-cyclohexylalanyl, etc. Q = L-citrullyl, L-homocitrullyl, Arg, etc.; R = Pro, N(R1)-Ala; T = NHEt, D-alanylamide, D-serylamide, sarcosamide, etc.], were prepared Thus, Ac-D-2-Nal-D-4-Cl-Phe-D-3-Pal-Ser-NMeTyr-D-Lys(ε)-glycylnicotinoyl)-Leu-Lys(ε)-isopropyl)-Pro-D-Ala-NH2 [2-Nal = 3-(naphth-2-yl)alanyl, 4-Cl-Phe = 3-(4-chlorophenyl)alanyl, 3-Pal = 3-(pyrid-3-yl)alanyl], prepared on methylbenzhydrylamine resin, antagonized LHRH with pA2 = 11.45.

IT 163437-69-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 6-position modified decapeptide LHRH antagonists)

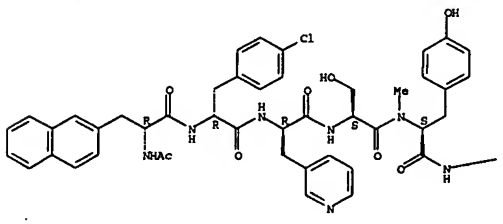
RN 163437-69-2 CAPLUS
CN D-Alaninamide, N-acetyl-3-(2-naphthalenyl)-D-alanyl-4-chloro-D-phenylalanyl-3-(3-pyridinyl)-D-alanyl-L-seryl-N-methyl-L-tyrosyl-N6-(N-acetyl-D-seryl)-D-lysyl-L-leucyl-L-arginyl-trans-4-hydroxy-L-prolyl-, trifluoroacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 163333-71-9
CMF C76 H102 Cl N17 O17

Absolute stereochemistry.

PAGE 1-A



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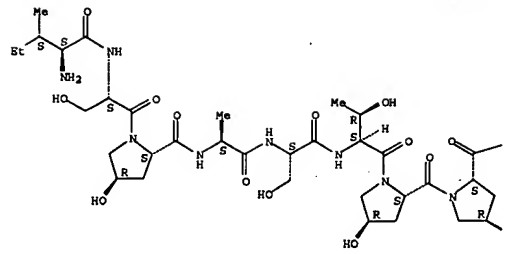

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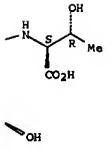

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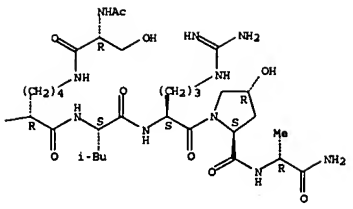


CM 2

CRN 76-05-1
CMF C2 H F3 O2

L6 ANSWER 95 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:200721 CAPLUS
DOCUMENT NUMBER: 122:1230
TITLE: Peptide inhibitors of src SH3-SH2-phosphoprotein interactions
AUTHOR(S): Gilmer, Tona; Rodriguez, Marc; Jordan, Steve; Crosby, Renae; Alligood, Krystal; Green, Michael; Kimery, Millard; Wagner, Craig; Kinder, Dan; et al.
CORPORATE SOURCE: Glaxo Res. Inst., Research Triangle Park, NC, 27709, USA
SOURCE: Journal of Biological Chemistry (1994), 269(50), 31711-19
CODEN: JBCHA3; ISSN: 0021-9258
PUBLISHER: American Society for Biochemistry and Molecular Biology
DOCUMENT TYPE: Journal
LANGUAGE: English

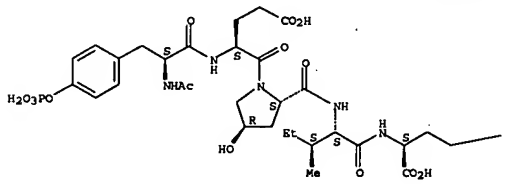
AB Activated pp60c-src has been implicated in a number of human malignancies including colon carcinoma and breast adenocarcinoma. Association of the src SH2 domain with tyrosine-phosphorylated proteins plays a role in src-mediated signal transduction. Inhibitors of src SH2 domain-phosphoprotein interactions are, thus, of great interest in defining the role(s) of src in signal transduction pathways. To facilitate such studies, an ELISA was developed to detect inhibitors of src SH2-phosphoprotein interactions. This assay measures inhibition of binding of a fusion construct (glutathione S-transferase src SH3-SH2) with autophosphorylated epidermal growth factor receptor tyrosine kinase domain. Activities of phosphopeptide segments derived from potential src SH2 cognate phosphoprotein partners were determined, with the focal adhesion kinase-derived segment VSETDDY*AEIIDS yielding the highest inhibitory activity. Structure activity studies starting from acetyl (Ac)-Y*EEIE have identified Ac-Y*Y*Y*IE as the most active compound screened in the ELISA. This compound is at least 20-fold more active than the parent peptide Ac-Y*EEIE. A high resolution (2 Å) crystal structure of human src SH2 complexed with Ac-Y*EEIE was obtained and provided a useful framework for understanding the structure-activity relationships. Addnl., Ac-Y*EEIE was able to block interactions between src and its cellular phosphoprotein partners in vanadate-treated cell lysates from MDA-MB-468 breast carcinoma cells. However, it is unable to abrogate proliferation of MDA-MB-468 cells in culture, presumably because of poor cell penetration and/or lability of the phosphate group on tyrosine.

IT 159439-59-5 159439-60-8
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(ELISA of peptide inhibitors of src SH3-SH2-phosphoprotein interactions)

RN 159439-59-5 CAPLUS
CN L-Glutamic acid, N-[N-[1-[N-(N-acetyl-O-phosphono-L-tyrosyl)-L-glutamyl]-trans-4-hydroxy-L-prolyl]-L-isoleucyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



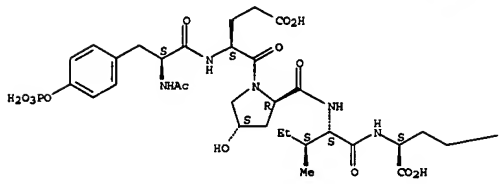
PAGE 1-B

—CO2H

RN 159439-60-8 CAPLUS
CN L-Glutamic acid, N-[N-[1-[N-(N-acetyl-O-phosphono-L-tyrosyl)-L-glutamyl]-trans-4-hydroxy-D-prolyl]-L-isoleucyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—CO2H

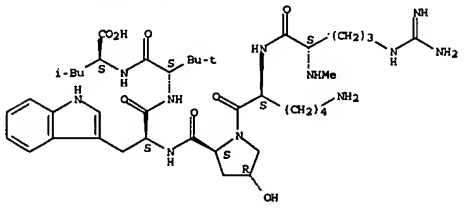
L6 ANSWER 96 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:105013 CAPLUS
DOCUMENT NUMBER: 122:24073
TITLE: Structure-activity and conformational studies of a series of modified C-terminal hexapeptide neurotensin analogs
AUTHOR(S): Heyl, Deborah L.; Sefler, Andrea M.; He, John X.; Sawyer, Tomi K.; Wustrow, David J.; Akunne, Hyacinth C.; Davis, M. Duff; Pugsley, Thomas A.; Heffner, Thomas G.; et al.
CORPORATE SOURCE: Parke-Davis Pharmaceutical Res., Warner-Lambert Co., Ann Arvor, MI, USA
SOURCE: International Journal of Peptide & Protein Research (1994), 44(3), 233-8
CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Neurotensin (NT), is a linear tetradecapeptide (pGlu1-Leu2-Tyr3-Glu4-Asn5-Lys6-Pro7-Arg8-Arg9-Pro10-Tyr11-Ile12-Leu13)that has been found in the central nervous system and peripheral tissues and appears to have a variety of physiol. properties. A C-terminal hexapeptide analog [NαMe-Arg-Lys-Pro-Trp-Tle-Leu, (1) Tle = tert-leucine] has recently been reported to have high affinity for the NT receptor and appears to possess central activity after systemic administration. In an effort to probe the structure-activity and conformational properties of the dipeptide, Pro-Trp for binding and functional activity, these residues have been substituted with several natural and unnatural amino acids. Some of these analogs have binding affinities similar to compound 1, while in other cases, such as D-amino acid substitutions, the peptides had negligible binding affinity. In general, the Pro10 position seems more tolerant of substitution by amino acids that favor a reverse turn, rather than those that favor an extended conformation. The Trp11 position accepted extra steric bulk more readily than conformational constraints.

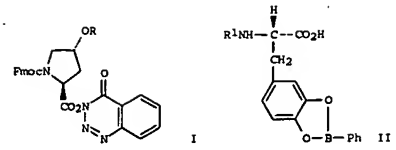
IT 159723-06-5
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(structure-activity and conformational studies of neurotensin analogs)

RN 159723-06-5 CAPLUS
CN L-Leucine, N-[N-[N-[trans-4-hydroxy-1-[N2-(N2-methyl-L-arginyl]-L-lysyl]-L-prolyl]-L-tryptophyl]-3-methyl-L-valyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

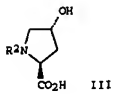


L6 ANSWER 97 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:66584 CAPLUS
DOCUMENT NUMBER: 122:81928
TITLE: Hyp and DOPA derivatives for synthesis of peptides with Fmoc chemistry
AUTHOR(S): Yamamoto, Yasuo; Nagai, Akira; Harushima, Yoshiaki; Senda, Takayuki
CORPORATE SOURCE: Tsukuba Research Laboratory, Hitachi Chemical Co., Tsukuba, 300-42, Japan
SOURCE: Pept. 1992, Proc. Eur. Pept. Symp., 22nd (1993), Meeting Date 1992, 165-6. Editor(s): Schneider, Conrad H.; Eberle, Alex N. ESCOM: Leiden, Neth.
CODEN: 60LUAN
DOCUMENT TYPE: Conference
LANGUAGE: English
GI

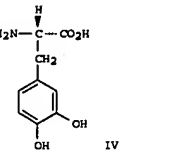


I

II



III



IV

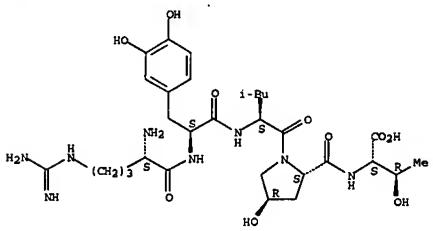
AB A symposium report on the synthesis of hydroxyproline derivative I (Fmoc = 9-fluorenylmethoxycarbonyl, R = CMe3) and DOPA derivative II (R1 = Fmoc) for the synthesis of peptides. I was prepared from hydroxyproline III (R2 = H) in 3 steps via intermediates III (R2 = Fmoc) and I (R = H), whereas II (R1 = Fmoc) was prepared from DOPA IV via intermediate II (R1 = H). The above Fmoc derivs. I (R = CMe3) and II (R1 = Fmoc) were used in the synthesis of peptides Ala-Lys-Pro-Ser-Tyr-Hyp-Hyp-Thr-DOPA-Lys,Ala-Gly-DOPA-Gly-Gly-Val-Lys, Arg-Pro-Hyp-Gly-Phe-Ser-Pro-Phe-Arg,and Arg-DOPA-Leu-Hyp-Thr.

IT 160241-79-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of hydroxyproline and DOPA derivs. for synthesis of peptides with Fmoc chemical)

RN 160241-79-2 CAPLUS

CN L-Threonine, N-[1-[N-(N-L-arginyl-3-hydroxy-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

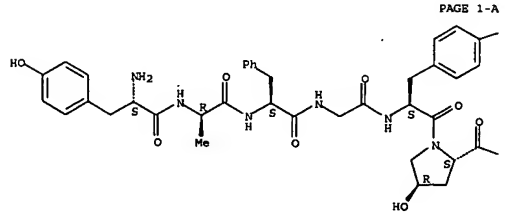


RL: PROC (Process)
(coadministration of, with hexapeptide, in release and elevation of blood growth hormone levels)

RN 77614-17-6 CAPLUS

CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

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RN 84168-90-1 CAPLUS

CN Dermorphin, 4-(N-methylglycine)-6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

L6 ANSWER 98 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1994:681240 CAPLUS

DOCUMENT NUMBER: 121:281240

TITLE: Preparation of peptides having growth hormone releasing activity

INVENTOR(S): Bowers, Cyril Y.; Coy, David

PATENT ASSIGNEE(S): Administrators of the Tulane Educational Fund, USA

SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9304081	A1	19930304	WO 1992-US7026	19920820
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, SE				
US 5663146	A	19970902	US 1991-748350	19910822
IL 102848	A	19980405	IL 1992-102848	19920818
AU 9225416	A	19930316	AU 1992-25416	19920820
AU 666673	B2	19960222		
EP 605484	A1	19940713	EP 1992-919262	19920820
EP 605484	B1	19981028		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, SE				
BR 9206398	A	19941227	BR 1992-6398	19920820
JP 07507039	T	19950803	JP 1993-504585	19920820
JP 3179489	B2	20010625		
HU 69178	A2	19950828	HU 1994-495	19920820
HU 223664	B1	20041129		
PL 169562	B1	19960830	PL 1992-302434	19920820
RO 112507	B1	19971030	RO 1994-256	19920820
AT 172742	T	19981115	AT 1992-919262	19920820
ES 2124263	T3	19990201	ES 1992-919262	19920820
RU 2126014	C1	19990210	RU 1994-16393	19920820
CA 2116120	C	20021203	CA 1992-2116120	19920820
SK 282895	B6	20030109	SK 1994-204	19920820
CZ 293281	B6	20040317	CZ 1994-400	19920820
ZA 9206337	A	19930422	ZA 1992-6337	19920821
NO 9400592	A	19940414	NO 1994-592	19940221
NO 314695	B1	20030505		
FI 2005000467	A	20050502	FI 2005-467	20050502

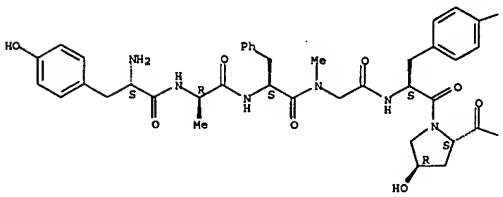
PRIORITY APPLN. INFO.: US 1991-748350 A 19910822
WO 1992-US7026 A 19920820

OTHER SOURCE(S): MARPAT 121:281240

AB H-A1-A2-C1-C2-C3-A5 [A1 = Gly, D-Ala, β-Ala, His, Ser, Met, Pro, Sar, Ava, Aib, etc.; A2 = D-Trp, D-β-Nal, etc.; A5 = A3A4A5', A3A5', A4A5', A5'; A3 = Ala, Gly, D-Ala, Pro, desAla; A4 = A3, alkylaminocarboxylate residue; A5' = Lys(ω-R2,R2)-Z, Orn(δ-R1,R2)-Z, etc.; R1, R2 = alkyl, H; Z = NH2, OH, (di)alkylamino, alkoxy; C1 = Ala; C2 = Trp, Phe, ChxAla; C3 = D-Phe, D-Pal, D-ChxAla; Ava = aminovaleric acid residue; Aib = aminoisobutyric acid residue; D-β-Nal = β-naphthyl-D-alanyl; ChxAla = cyclohexylananyl], were prepared. Thus, D-Ala-D-β-Nal-Ala-Trp-D-Phe-Lys-NH2 (solution phase preparation given) at 30 mg/kg intragastrally in rats increased serum growth hormone from 247 ng/mL to 2038 ng/mL. Title compds. may be administered as synergistic mixts. with growth hormone releasing hormone, acetylcholine esterase inhibitors, adrenergic blocking agents, etc.

IT 77614-17-6 84168-90-1 115814-06-7
115814-07-8 115814-09-0

PAGE 1-A



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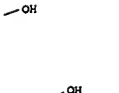
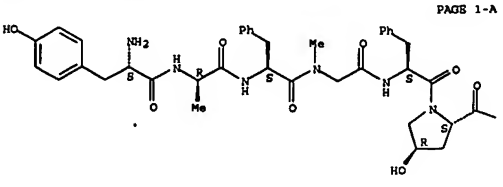


RN 115814-06-7 CAPLUS

CN L-Serinamide, L-tyrosyl-D-alanyl-L-phenylalanyl-N-methylglycyl-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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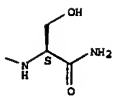
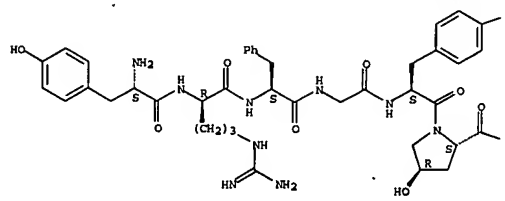


RN 115814-07-8 CAPLUS
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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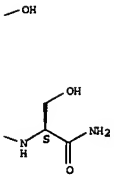

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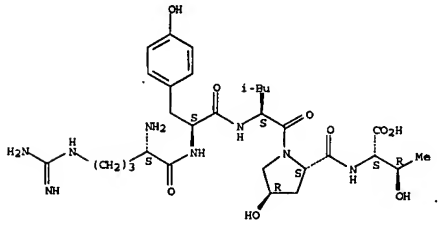
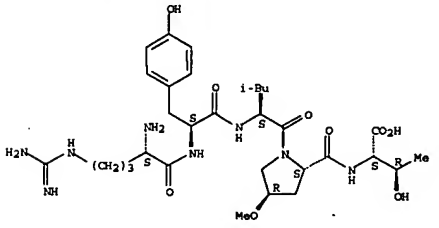
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanyl-N-methylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



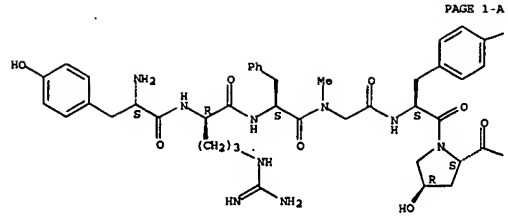
RN 158396-70-4 CAPLUS
CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-methoxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

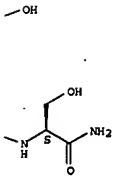


L6 ANSWER 100 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:631344 CAPLUS
DOCUMENT NUMBER: 121:231344
TITLE: New proctolin analogs modified in position 4 of the peptide chain and their influence on the heart-beat frequency of insects
AUTHOR(S): Konopinska, Danuta; Bartosz-Bechowski, Hubert; Rosinski, Grzegorz; Sobotka, Wieslaw
CORPORATE SOURCE: Institute of Chemistry, University of Wroclaw, Wroclaw, 50-383, Pol.
SOURCE: Bulletin of the Polish Academy of Sciences, Chemistry (1994), Volume Date 1993, 41(1), 27-39
CODEN: BPACEQ; ISSN: 0239-7285
PUBLISHER: Polish Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Six insect neuropeptide proctolin analogs modified in position 4 of the pentapeptide skeleton, such as H-Arg-Tyr-Leu-X-Thr-OH [X = Hyp, Hyp(Me), L-2-thiazolidinecarboxylic acid (Thz), homoproline, 1-aminocyclohexane-1-

PAGE 1-A



PAGE 1-B

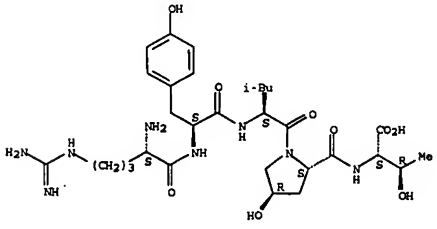


L6 ANSWER 99 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:651774 CAPLUS
DOCUMENT NUMBER: 121:251774
TITLE: Proctolin and its analogs. Structure/biological function relationship studies
AUTHOR(S): Konopinska, D.; Rosinski, G.; Sobotka, W.; Plech, A.
CORPORATE SOURCE: Inst. Chem., Univ. Wroclaw, Wroclaw, 50383, Pol.
SOURCE: Polish Journal of Chemistry (1994), 68(7), 1437-9
CODEN: PJCHDQ; ISSN: 0137-5083
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The object of the authors studies was the synthesis of the insect neuropeptide proctolin (Arg-Tyr-Leu-Pro-Thr) and its 42 analogs modified in positions 1-4. The activities of proctolin and its analogs were examined in various biol. prepns., such as: myotropic effects in selected insect species in vitro and behavior of rats in vivo. The structure/activity relation in these varied prepns. will be discussed.
IT 158396-69-1 158396-70-4
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(proctolin analog structure-biol. activity relationship)
RN 158396-69-1 CAPLUS
CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

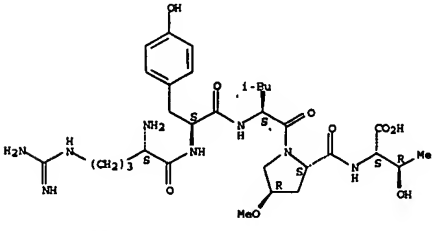
carboxylic acid (Ach), Sar] were synthesized by the liquid-phase method. Their cardiotropic effects were examined on two insect species (Tenebrio molitor L. and Periplaneta americana L.). The importance of the pyrrolidine ring in Pro residue for the entire biol. activity of proctolin was inferred.
IT 158396-69-1P 158396-70-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(new proctolin analogs modified in position 4 of the peptide chain and their influence on the heartbeat frequency of insects)
RN 158396-69-1 CAPLUS
CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158396-70-4 CAPLUS
CN L-Threonine, N-[1-[N-(N-L-arginyl-L-tyrosyl)-L-leucyl]-trans-4-methoxy-L-prolyl]- (9CI) (CA INDEX NAME)

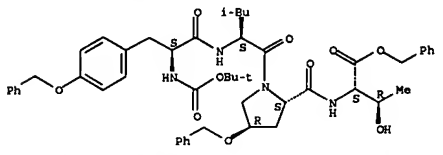
Absolute stereochemistry.



IT 158396-86-2P 158396-87-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(new proctolin analogs modified in position 4 of the peptide chain and

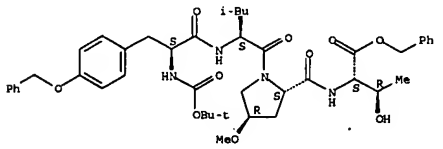
their influence on the heartbeat frequency of insects)
RN 158396-86-2 CAPLUS
CN L-Threonine, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl]-L-leucyl]-trans-4-(phenylmethoxy)-L-prolyl]-,phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 158396-87-3 CAPLUS
CN L-Threonine, N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-O-(phenylmethyl)-L-tyrosyl]-L-leucyl]-trans-4-methoxy-L-prolyl]-,phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



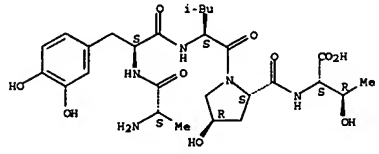
L6 ANSWER 101 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:107757 CAPLUS
DOCUMENT NUMBER: 120:107757
TITLE: Preparation of peptides containing Dopa and/or hydroxyproline as adhesives
INVENTOR(S): Nagai, Akira; Yamamoto, Yasuo; Harushima, Yoshiaki
PATENT ASSIGNEE(S): Hitachi Chemical Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 05255385	A	19931005	JP 1992-51040	19920310

PRIORITY APPLN. INFO.: JP 1992-51040 19920310

AB The title peptides H-Ala-Gly-Dopa-Gly-Gly-OH (I; Dopa = Dopa residue), H-Ile-Thr-Dopa-Hyp-Hyp-Thr-Dopa-Hyp-Lys-OH(Hyp = 4-hydroxyproline residue), and H-Ala-Thr-Leu-Hyp-Thr-OH, useful as adhesives, drugs, and reagents (no data), are prepared Thus, I was prepared by the solid phase method using an automated peptide synthesizer 9050 (MilliGen/Biosearch), Fmoc-Gly-Pepsyn-KA resin, Fmoc-Gly-OPfp (Pfp = pentafluorophenyl), Fmoc-Dopa(BPh)-OH, and Fmoc-Ala-OPfp.
IT 142095-69-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as adhesive)
RN 142095-69-0 CAPLUS
CN L-Threonine, N-[1-[N-(N-L-alanyl-3-hydroxy-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 102 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:603860 CAPLUS
DOCUMENT NUMBER: 119:203860
TITLE: Preparation of cyclic peptides as endothelin and neurokinin antagonists
INVENTOR(S): Wakimasu, Mitsuhiro; Kikuchi, Takashi; Kawada, Akira; Shirahuji, Hideo
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: Eur. Pat. Appl., 88 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 528312	A2	19930224	EP 1992-113568	19920808
EP 528312	A3	19930414		
EP 528312	B1	19970716		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE				
AT 155486	T	19970815	AT 1992-113568	19920808
ES 2103857	T3	19971001	ES 1992-113568	19920808
CA 2075878	A1	19930214	CA 1992-2075878	19920812
CA 2075878	C	20021224		
NO 9203142	A	19930215	NO 1992-3142	19920812
NO 310295	B1	20010618		
FI 106031	B1	20001115	FI 1992-3619	19920812
US 5616684	A	19970401	US 1994-231449	19940420
JP 08225595	A	19960903	JP 1995-342625	19951228
JP 2726647	B2	19980311		
US 5883075	A	19990316	US 1996-680534	19960709

PRIORITY APPLN. INFO.: JP 1991-203032 A 19910813
JP 1991-303635 A 19911119
JP 1992-35436 A 19920221
JP 1992-111792 A 19920430
JP 1992-35435 A 19920221
US 1992-927205 B1 19920807
US 1994-231449 A3 19940420

OTHER SOURCE(S): CASREACT 119:203860; MARPAT 119:203860

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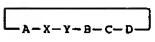
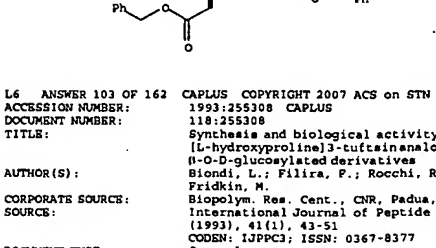


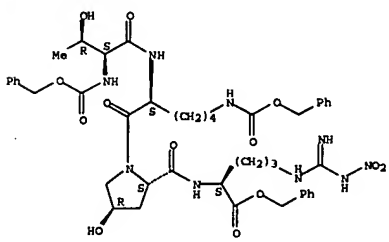
AB Title compds. (I; X, Y = α-amino acid residues; A = acidic O-α-amino acid residue; B = neutral α-amino acid residue; C = L-α-amino acid residue; D = D-α-amino acid residue having an aromatic group; hydroxy, thiol, amino, imino, and carboxyl groups can be substituted), were prepared Thus, cyclo(D-Asp-Ala-Asp-D-Leu-Leu-Ddd-Trp), prepared by solution phase coupling and intramol. cyclization, showed specific binding activity at ETA receptors of 9.7 [relative to a cyclo(D-Glu-Ala-D-Alle-Leu-D-Trp) standard at 1.0]. I also showed binding at ETB*1, ETB*2, and NK2 receptors.
IT 150212-27-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for endothelin and neurokinin antagonist)
RN 150212-27-4 CAPLUS
CN L-Aspartic acid, N-[trans-4-(phenylmethoxy)-1-(N-D-tryptophyl-L-asparty1)-L-prolyl]-, 1-(2-oxo-2-phenylethyl) 4,4'-bis(phenylmethyl) ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



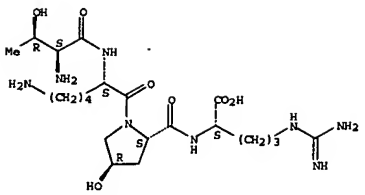
L6 ANSWER 103 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:255308 CAPLUS
DOCUMENT NUMBER: 118:255308
TITLE: Synthesis and biological activity of [L-hydroxyproline]3-tuftsin analog and its α- or β-O-D-glucosylated derivatives
AUTHOR(S): Biondi, L.; Filira, F.; Rocchi, R.; Tzehoval, E.; Fridkin, M.
CORPORATE SOURCE: Biopolym. Res. Cent., CNR, Padua, Italy
SOURCE: International Journal of Peptide & Protein Research (1993), 41(1), 43-51
CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Syntheses are described of the Hyp3-tuftsin analog and of its derive. α- or β-O-glycosylated at the side chain function of the hydroxyproline residue. The carbohydrate-free tetrapeptide was prepared by reacting Z-Thr-Lys(Z)-OH (Z = PhCH2O2C) with H-Hyp-Arg(NO2)-OBzl (Bzl = benzyl) by the mixed anhydride procedure. In the synthesis of the α-glycosylated analog, the O-glycosyl amino acid was incorporated by reacting Boc-[Glcα = β]Hyp-OH (Glc = D-glucopyranosyl) with H-Arg(NO2)-OBzl through the same procedure. The α-glucosylated dipeptide was isolated from the diastereomeric mixture, selectively deblocked, and acylated with Z-Thr-Lys(Z)-OH by the mixed anhydride procedure. In the preparation of the β-glucosylated analog, the BOP procedure was used for reacting Boc-[Glc(Ac)4β]Hyp-OH with H-Arg(NO)2-OBzl was well as for the final coupling to tetrapeptide. Removal of protecting groups from crude tetrapeptides was achieved by catalytic hydrogenation. Deacetylation of the sugar moiety of the β-glucosylated tetrapeptide was achieved by treatment with sodium methoxide in methanol. The synthetic compds. were isolated by ion exchange chromatog., and characterized by elemental anal., amino acid anal., optical rotation and proton NMR. Their capacity to evoke the release of interleukin 1 from mouse peritoneal macrophages and to modulate immunogenic activity of antigen-fed cells was evaluated, in comparison with tuftsin and rigin. All of the analogs were found to possess tuftsin-like activity.
IT 147821-92-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
RN 147821-92-9 CAPLUS
CN L-Ornithine, N2-[trans-4-hydroxy-1-[N6-[(phenylmethoxy)carbonyl]-N2-[N-[(phenylmethoxy)carbonyl]-L-threonyl]-L-lysyl]-L-prolyl]-N5-[imino(nitroamino)methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

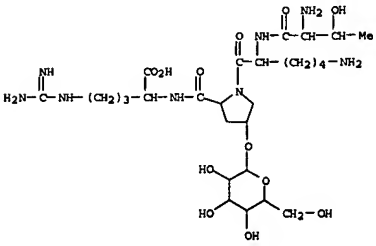


IT 136497-72-8P 144739-92-4P 147921-35-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and interleukin-releasing activity of)
RN 136497-72-8 CAPLUS
CN L-Arginine, N2-[trans-4-hydroxy-1-(N2-L-threonyl-L-lysyl)-L-prolyl]-(9CI) (CA INDEX NAME)

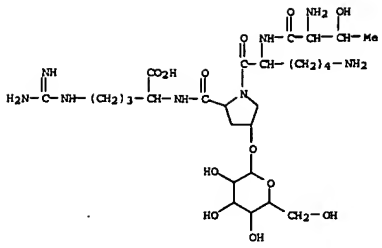
Absolute stereochemistry.



RN 144739-92-4 CAPLUS
CN L-Arginine, N2-[trans-4-(β-D-glucopyranosyloxy)-1-(N2-L-threonyl-L-lysyl)-L-prolyl]- (9CI) (CA INDEX NAME)



RN 147921-35-5 CAPLUS
CN L-Arginine, N2-[trans-4-(β-D-glucopyranosyloxy)-1-(N2-L-threonyl-L-lysyl)-L-prolyl]- (9CI) (CA INDEX NAME)



L6 ANSWER 104 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:205393 CAPLUS
DOCUMENT NUMBER: 118:205393
TITLE: Quantitative EEG and autonomic patterns of synthetic peptides related to dermorphin
AUTHOR(S): Marchioni, E.; Maurelli, M.; Tartara, A.
CORPORATE SOURCE: Neurol. Inst. 'C. Mondino', Univ. Pavia, Italy
SOURCE: Neuropsychobiology (1992), 26(1-2), 81-8
CODEN: NPBYAL; ISSN: 0302-282X
DOCUMENT TYPE: Journal
LANGUAGE: English

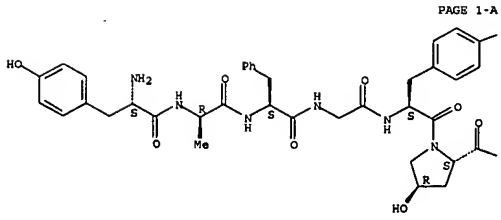
AB The effects of dermorphin on EEG and autonomic variables are compared with the effects of 2 analogs and 2 homologs, all administered intracerebroventricularly in the rabbit. Dermorphin was the most effective in modifying all considered parameters: increase of cortically derived and calculated total power, bradycardia, respiratory depression and hypothermia. The dibenzylated heptapeptide was essentially inactive. The electrocortical pattern induced by the administration of L-dermorphin suggests a functional correlation between the amino acid D-Ala2 and the effects on EEG. Comparison between the effects produced by the N-terminal tetrapeptide and pentapeptide led the authors to hypothesize that amino acid Tyr5 may be specifically involved in inducing the autonomic effects.

IT 84182-00-3
RL: BIOL (Biological study)
(autonomic system and EEG in response to, structure in relation to)

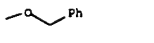
RN 84182-00-3 CAPLUS
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-(9CI) (CA INDEX NAME)

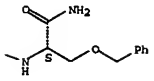
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





L6 ANSWER 105 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:192287 CAPLUS
DOCUMENT NUMBER: 118:192287
TITLE: Cyclic hexapeptides having antibiotic activity
INVENTOR(S): Hammond, Milton L.; Heck, James V.; Zambias, Robert A.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 33 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 500170	A2	19920826	EP 1992-200393	19920212
EP 500170	A3	19921119		
R: CH, DE, FR, GB, IT, LI, NL				
US 5229363	A	19930720	US 1991-658590	19910219
CA 2061432	A1	19920820	CA 1992-2061432	19920218
JP 05070495	A	19930323	JP 1992-31149	19920219
PRIORITY APPLN. INFO.:			US 1991-658590 A	19910219
OTHER SOURCE(S):	MARPAT 118:192287			

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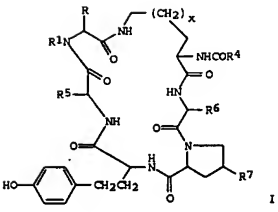


I

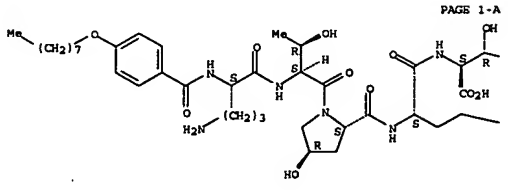
AB Cyclic peptides I [R = amino acid, R1 = H; RR1 = CHR2CHR3CH2; R2 = H, OH; R3 = H, OH, Me; R4 = C5-23 alkyl, alkenyl, aryl, substituted aryl; R5 = CH2OH, CHMeOH, CH(OH)CH2CONH2; R6 = CH2OH, CHMeOH; R7 = H, OH; x = 1, 2] were prepared by solid-phase synthesis and cyclization of the linear peptide with (PhO)2P(O)N3. I [RR1 = CH(OH)CHMeCH2, R4 = 4-Me(CH2)7OC6H4, R5, R6 = CHMeOH, R7 = OH, x = 1] had min. inhibitory concns. of 1-2 μg/mL against 3 strains of Candida albicans.

IT 141806-18-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and benzyloxycarbonylation of)

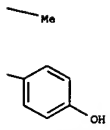
RN 141806-18-0 CAPLUS
CN L-Threonine, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

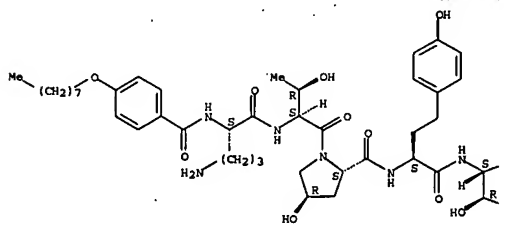


IT 141806-06-6P 141806-07-7P 141806-24-8P
145609-87-6P 145609-89-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

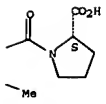
RN 141806-06-6 CAPLUS
CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



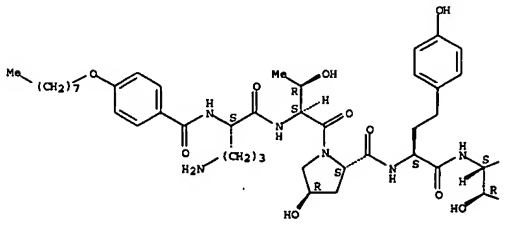
PAGE 1-B



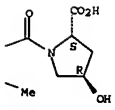
RN 141806-07-7 CAPLUS
CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-4-hydroxy-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

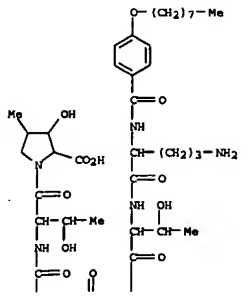


PAGE 1-B

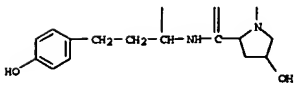


RN 141806-24-8 CAPLUS
CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-3-hydroxy-4-methyl-, (2α,3β,4β)- (9CI) (CA INDEX NAME)

PAGE 1-A



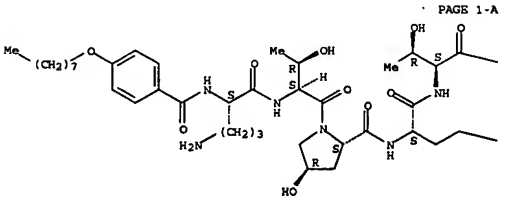
PAGE 2-A



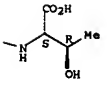
RN 145609-87-6 CAPLUS
CN L-Threonine, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl (9CI) (CA INDEX NAME)

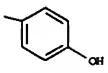
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

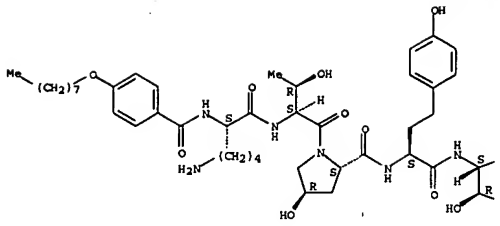




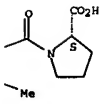
RN 145609-89-8 CAPLUS
CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-lysyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

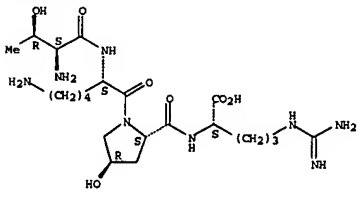


IT 141806-19-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of, with proline derivative)
RN 141806-19-1 CAPLUS
CN L-Threonine, N2-[4-(octyloxy)benzoyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl- (9CI) (CA INDEX NAME)

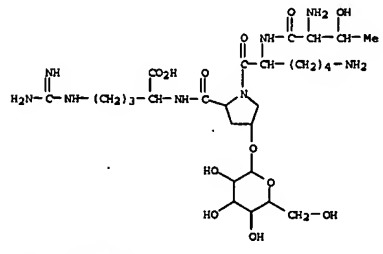
Absolute stereochemistry.

specific macrophage receptor with its consequent parallel activation.
IT 136497-72-8P 144739-92-4P 144789-48-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of and interleukin 1 formation by macrophage augmentation by)
RN 136497-72-8 CAPLUS
CN L-Arginine, N2-[trans-4-hydroxy-1-(N2-L-threonyl-L-lysyl)-L-prolyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

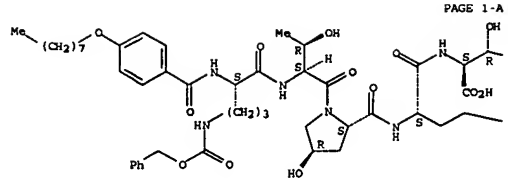


RN 144739-92-4 CAPLUS
CN L-Arginine, N2-[trans-4-(β-D-glucopyranosyloxy)-1-(N2-L-threonyl-L-lysyl)-L-prolyl]- (9CI) (CA INDEX NAME)

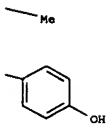


RN 144789-48-0 CAPLUS
CN L-Arginine, N2-[trans-4-(D-glucopyranosyloxy)-1-(N2-L-threonyl-L-lysyl)-L-prolyl]- (9CI) (CA INDEX NAME)

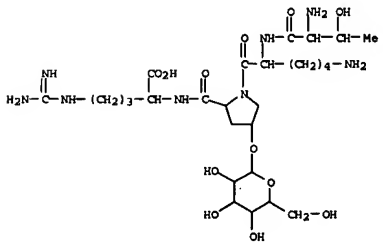
PAGE 1-A



PAGE 1-B



L6 ANSWER 106 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:236 CAPLUS
DOCUMENT NUMBER: 118:236
TITLE: Effect of O-glycosylation on the bioactivity of tuftsin
AUTHOR(S): Rocchi, R.; Biondi, L.; Filira, F.; Tzehoval, E.; Fridkin, M.
CORPORATE SOURCE: Biopolym. Res. Cent., Univ. Padova, Padua, Italy
SOURCE: Pept.: Chem. Biol., Proc. Am. Pept. Symp., 12th (1992), Meeting Date 1991, 881-2. Editor(s): Smith, John A.; Rivier, Jean E. ESCOM: Leiden, Neth.
CODEN: 57XGA9
DOCUMENT TYPE: Conference
LANGUAGE: English
AB [Hyp3]tuftsin and its glycosylated derivs. were prepared The peptides were found to modulate the immunogenic capacity of antigen-presenting cells, i.e., macrophages, when applied to culture simultaneously with the antigen keyhole limpet hemocyanin (KLH). At a concentration of 5 + 10-8M, tuftsin was able to augment (nearly 2-fold) [3H]thymidine incorporation into cells. [Hyp3]tuftsin and its α-glycosylated derivative exhibited much higher effects than tuftsin when applied at 5 + 10-8M. At concns. of 10-7M, however, both were inhibitory while tuftsin was inactive. The (α + β) anomer, on the other hand, was very active at 10-7M and inhibitory at 5 + 10-8M. Thus, [Hyp3]tuftsin and, even better, its glycosylated derivs. were capable of augmenting IL-1 production by macrophages. The results clearly demonstrate that Hyp can substitute Pro3 in tuftsin with preservation of activity. Moreover, attachment of a glycosidic residue to the hydroxyl function of Hyp even enhance activity. Apparently, the sugar moiety increases the affinity of tuftsin towards its



L6 ANSWER 107 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:586398 CAPLUS
DOCUMENT NUMBER: 117:186398
TITLE: Structure-activity studies of ω-conotoxin: the importance of disulfide bridges for biological activity
AUTHOR(S): Sabo, T.; Gilon, C.; Shafferman, A.; Elhanaty, E.
CORPORATE SOURCE: Dep. Biochem., Israel Inst. Biol. Res., Ness-Ziona, Israel
SOURCE: Pept.: Chem. Biol., Proc. Am. Pept. Symp., 12th (1992), Meeting Date 1991, 159-60. Editor(s): Smith, John A.; Rivier, Jean E. ESCOM: Leiden, Neth.
CODEN: 57XGA9
DOCUMENT TYPE: Conference
LANGUAGE: English
AB Disulfide bridges in short peptides are considered to be crucial in stabilizing their active conformation. It was of interest, therefore, to evaluate the importance of each of the three disulfide bridges of the GVIA, to its biol. activity. Biol. activity of the peptides was determined by their LD50 in the gold fish assay. Peptide analogs were synthesized by the Merrifield solid phase method, followed by HF cleavage, oxidation, and purification by HPLC. It has been found that substitution of the cysteine pair at positions 8 and 19 or 15 and 26 with Ala residues resulted in total loss of activity (<1%), while the replacement of Cys 1 and 16 with Ala residues resulted in a peptide which retained 7% of activity. Gly residues are frequently found in β-turn structures of protein. The Gly5 residue in GVIA is conserved in all available sequences of ω peptides. The possibility that the Gly5 residue of GVIA is involved in the formation of β-turn type II was examined Indeed, substitution of Gly5 with D-Ala, which is known to stabilize this structure resulted in a peptide which is partially active, while the L-Ala analog had no detectable biol. activity. These results are further substantiated by the observation that the [Ala1,D-Ala5,Ala16] analog is more active than the [Ala1,Ala16] analog. Structure-activity relationship studies of ω-conotoxin GVIA indicate that the disulfide bridges 8, 19 and 15, 26 are essential for activity, whereas the requirement for the disulfide bridge 1, 16 is less crucial. Substitution of the Gly5 residue with the D-Ala residue further indicates that the conserved Gly5 in all ω-conotoxins is in a β-turn type II structure.
IT 143823-22-7
RL: ADV (Adverse effect, including toxicity); BIOL (Biological study)
(toxicity of, disulfide bridge and structure in relation to)
RN 143823-22-7 CAPLUS

CN ω-Conotoxin G VIA (reduced), 1-L-alanine-5-D-alanine-16-L-alanine-21-de(trans-4-hydroxy-L-proline)-,cyclic (8→19),(15→26)-bis(disulfide) (9CI) (CA INDEX NAME)

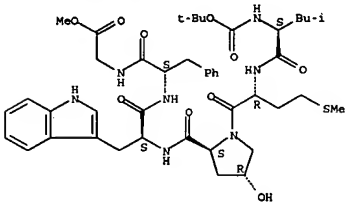
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

L6 ANSWER 108 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:512092 CAPLUS
DOCUMENT NUMBER: 117:112092
TITLE: Cyclic peptides
INVENTOR(S): Hoelzemann, Guenter; Jonczyk, Alfred; Harting, Juergen;.Greiner, Hartmut
PATENT ASSIGNEE(S): Merck Patent G.m.b.H., Germany
SOURCE: Ger. Offen., 8 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 4034829	A1	19920507	DE 1990-4034829	19901102
EP 484719	A2	19920513	EP 1991-117864	19911019
EP 484719	A3	19930519		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
AU 9186762	A	19920507	AU 1991-86762	19911025
CA 2054667	A1	19920503	CA 1991-2054667	19911031
ZA 9108717	A	19920826	ZA 1991-8717	19911101
JP 04300895	A	19921023	JP 1991-349287	19911101
HU 61582	A2	19930128	HU 1991-3450	19911101
PRIORITY APPLN. INFO.:			DE 1990-4034829 A	19901102

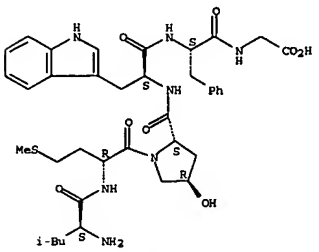
OTHER SOURCE(S): CASREACT 117:112092; MARPAT 117:112092
AB Cyclic penta- and hexapeptides with bronchodilator, antiinflammatory, analgesic, and spasmolytic activity (no data) were prepared Thus, MeJCO2C-Leu-D-Met-Hypro-Phe-Gly-OMewas hydrolyzed to the acid, de-tert-butoxycarbonylated and cyclized with dicyclohexylcarbodiimide to give cyclo(Hypro-Trp-Phe-Gly-Leu-D-Met).
IT 142995-86-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(ester hydrolysis of)
RN 142995-86-6 CAPLUS
CN Glycine, N-[N-[N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl]-D-methionyl]-trans-4-hydroxy-L-prolyl]-L-tryptophyl]-L-phenylalanyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



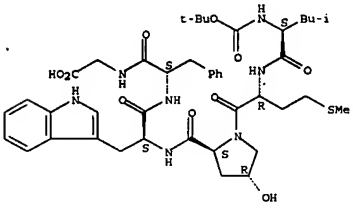
IT 142996-04-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 142996-04-1 CAPLUS
CN Glycine, N-[N-[N-[trans-4-hydroxy-1-(N-L-leucyl-D-methionyl)-L-prolyl]-L-tryptophyl]-L-phenylalanyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



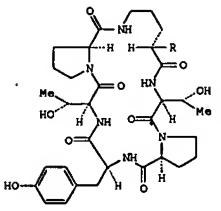
IT 142995-87-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and de-tert-butoxycarbonylation of)
RN 142995-87-7 CAPLUS
CN Glycine, N-[N-[N-[1-[N-[N-[(1,1-dimethylethoxy)carbonyl]-L-leucyl]-D-methionyl]-trans-4-hydroxy-L-prolyl]-L-tryptophyl]-L-phenylalanyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 109 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:470296 CAPLUS
DOCUMENT NUMBER: 117:70296

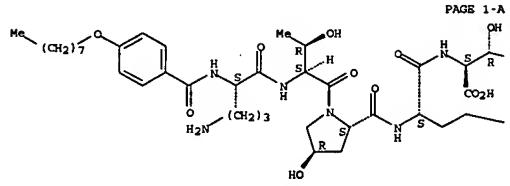
TITLE: Preparation and structure-activity relationships of simplified analogs of the antifungal agent cilofungin: a total synthesis approach
AUTHOR(S): Zambias, Robert A.; Hammond, Milton L.; Heck, James V.; Bartizal, Ken; Trainor, Charlotte; Abruzzo, George; Schmatz, Dennis M.; Nollstadt, Karl M.
CORPORATE SOURCE: Merck Res. Lab., Rahway, NJ, 07065, USA
SOURCE: Journal of Medicinal Chemistry (1992), 35(15), 2843-55
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:70296
GI



I

AB The echinocandins are a well-known class of lipopeptides characterized by their potent antifungal activity against Candida species. The mechanism of action of the echinocandins is generally thought to be the inhibition of β-1,3-glucan synthesis, an important structural component in the cell wall of Candida species. Extensive structure-activity studies on the fatty acid side chain of echinocandin B led to the preparation of the clin. candidate cilofungin. We now report the preparation, by solid-phase synthesis, of a series of simplified analogs of cilofungin in which the unusual amino acids found in the echinocandins were replaced with more readily accessible natural amino acids. The solid-phase approach to the total synthesis of these analogs allowed us to conveniently explore structural modifications that could not be accomplished by chemical modification of the natural product. The simplest analog I [R = p-[Me(CH2)7O]C6H4CONH] showed no biol. activity. Structural complexity was then returned to the system in a systematic fashion so as to reapproach the original cilofungin structure. Antifungal activity and the inhibition of β-1,3-glucan synthesis were monitored at each step of the process, thereby revealing the basic structure-activity relationships of the amino acids and the minimal structural requirements for biol. activity in the echinocandin ring system. The results suggests that the 3-hydroxy-4-methylproline residue enhances activity but the L-homotyrosine residue is crucial for both antifungal activity and the inhibition of β-1,3-glucan synthesis.
IT 141806-18-0P 141806-26-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and benzyloxycarbonylation of)
RN 141806-18-0 CAPLUS
CN L-Threonine, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



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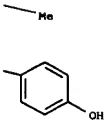
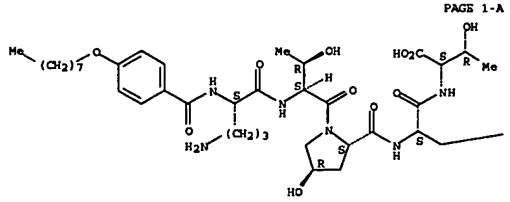


RN 141806-26-0 CAPLUS
CN L-Threonine, N-[N-[trans-4-hydroxy-1-[N-[N2-[4-(octyloxy)benzoyl]-L-ornithyl]-L-threonyl]-L-prolyl]-L-tyrosyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



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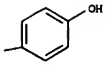
PAGE 1-B

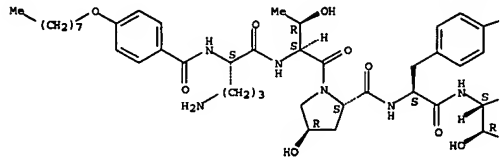


IT 141806-02-2P 141806-04-4P 141806-06-6P
141806-07-7P 141806-24-8P 141806-31-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)

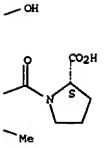
RN 141806-02-2 CAPLUS
CN L-Proline, 1-[N-[N-[trans-4-hydroxy-1-[N-[N2-[4-(octyloxy)benzoyl]-L-ornithyl]-L-threonyl]-L-prolyl]-L-tyrosyl]-L-threonyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



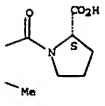
PAGE 1-B



RN 141806-04-4 CAPLUS
CN L-Proline, 4-hydroxy-1-[N-[N-[trans-4-hydroxy-1-[N-[N2-[4-(octyloxy)benzoyl]-L-ornithyl]-L-threonyl]-L-prolyl]-L-tyrosyl]-L-threonyl]-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

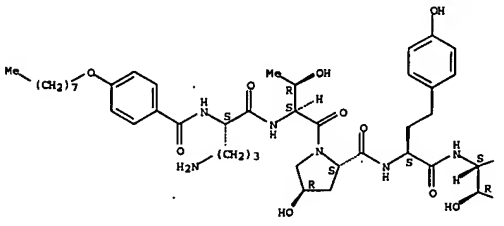
PAGE 1-B



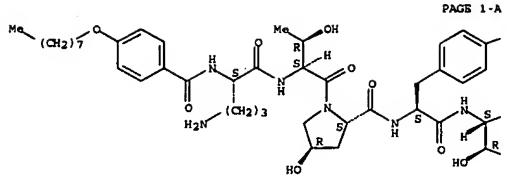
RN 141806-07-7 CAPLUS
CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-4-hydroxy-, trans- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

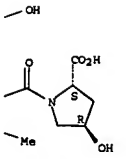
PAGE 1-A



PAGE 1-A



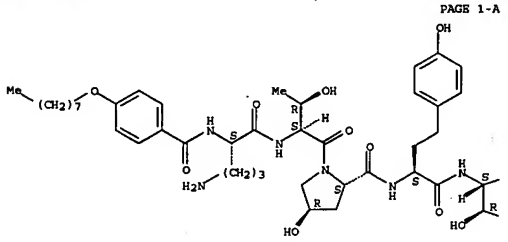
PAGE 1-B



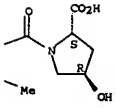
RN 141806-06-6 CAPLUS
CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

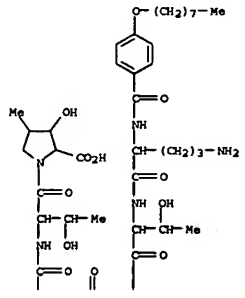


PAGE 1-B

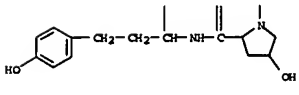


RN 141806-24-8 CAPLUS
CN L-Proline, N2-[4-(octyloxy)benzoyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl-L-threonyl-3-hydroxy-4-methyl-, (2α,3β,4β)- (9CI) (CA INDEX NAME)

PAGE 1-A

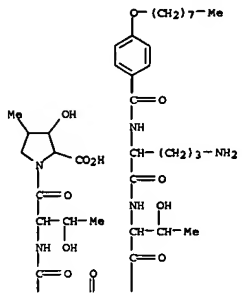


PAGE 2-A

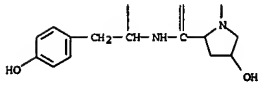


RN 141806-31-7 CAPLUS
CN L-Proline, 3-hydroxy-1-[N-[N-[trans-4-hydroxy-1-[N-[N2-[4-(octyloxy)benzoyl]-L-ornithyl]-L-threonyl]-L-prolyl]-L-tyrosyl]-L-threonyl]-4-methyl-, (2α,3β,4β)- (9CI) (CA INDEX NAME)

PAGE 1-A



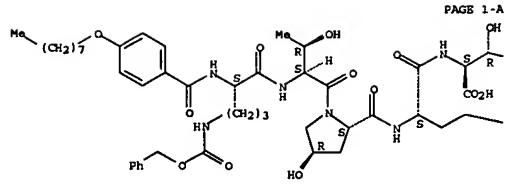
PAGE 2-A



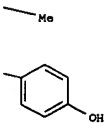
IT 141806-19-1P 141806-27-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and peptide coupling of, with proline derivative)
RN 141806-19-1 CAPLUS
CN L-Threonine, N2-[4-(octyloxy)benzoyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl-L-threonyl-trans-4-hydroxy-L-prolyl-4-(4-hydroxyphenyl)-L-2-aminobutanoyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



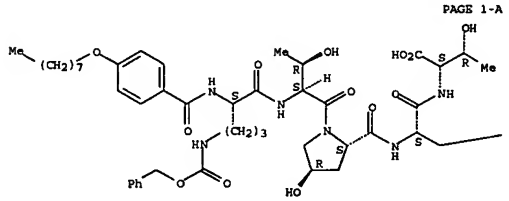
PAGE 1-B



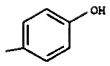
RN 141806-27-1 CAPLUS
CN L-Threonine, N-[N-[trans-4-hydroxy-1-[N-[N2-[4-(octyloxy)benzoyl]-N5-[(phenylmethoxy)carbonyl]-L-ornithyl]-L-threonyl]-L-prolyl]-L-tyrosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L6 ANSWER 110 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1992:466883 CAPLUS
DOCUMENT NUMBER:	117:66883
TITLE:	Identification and characterization of two dermorphins from skin extracts of the Amazonian frog Phyllomedusa bicolor
AUTHOR(S):	Mignogna, Giuseppina; Severini, Cinzia; Simmaco, Maurizio; Negri, Lucia; Falconieri Erspamer, Giuliana; Kreil, Gunther; Barra, Donatella
CORPORATE SOURCE:	Dip. Sci. Biochim. "A. Rossi Fanelli", Univ. La Sapienza, Rome, 00185, Italy
SOURCE:	FEBS Letters (1992), 302(2), 151-4 CODEN: FEBLAL; ISSN: 0014-5793
DOCUMENT TYPE:	Journal
LANGUAGE:	English

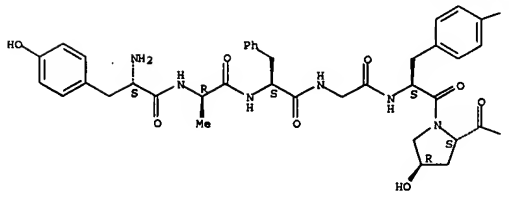
AB Skin exts. of South American hylid frogs of the subfamily Phyllomedusinae contain dermorphins and deltorphins, opioid heptapeptides highly selective for either μ or δ receptors. In all these peptides, a D-amino acid is present in the second position. The structure of the precursors for the Ala-deltorphins was recently deduced from cloned cDNAs derived from skin of Phyllomedusa bicolor. From the amino acid sequence of these precursors, the existence of three peptides related to dermorphin could be predicted. From methanol exts. of skin of P. bicolor the authors have isolated two of these peptides, [Lys7]dermorphin-OH and [Trp4,Asn7]dermorphin-OH. The biol. activity of these new dermorphins and their amidated counterparts is presented.

IT 77614-17-6 80213-69-0
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(opioid activity of, structure in relation to)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

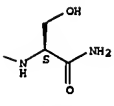
Absolute stereochemistry.

PAGE 1-B

PAGE 1-A



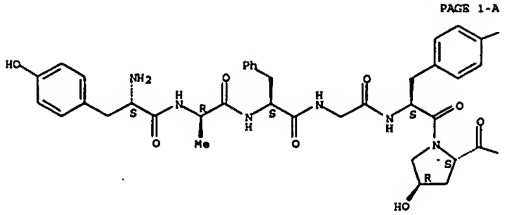
PAGE 1-B

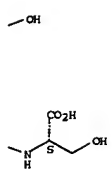


RN 80213-69-0 CAPLUS
CN Dermorphin, 6-(trans-4-hydroxy-L-proline)-7-L-serine-(9CI) (CA INDEX NAME)

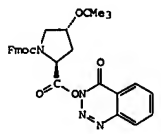
Absolute stereochemistry.

PAGE 1-A

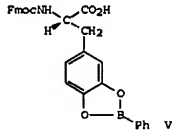




L6 ANSWER 111 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:427116 CAPLUS
DOCUMENT NUMBER: 117:27116
TITLE: Synthesis of peptides containing Hyp and/or Dopa with Fmoc-solid phase methods
AUTHOR(S): Yamamoto, Yasuo; Nagai, Akira; Harushima, Yoshiaki; Senda, Takayuki
CORPORATE SOURCE: Tsukuba Res. Lab., Hitachi Chem. Co. Ltd., Tsukuba, 300-42, Japan
SOURCE: Peptide Chemistry (1992), Volume Date 1991, 29th, 121-4
CODEN: PECHDP; ISSN: 0388-3698
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



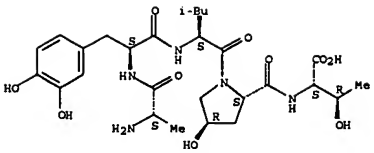
IV



V

AB A symposium report on the synthesis of title peptides Ala-Lys-Hyp-Ser-Dopa-Hyp-Hyp-Thr-Dopa-Lys (I), Ile-Thr-Dopa-Hyp-Hyp-Thr-Dopa-Lys-Hyp-Lys(II), Ala-Gly-Dopa-Gly-Gly (III), bradykinin analog Arg-Pro-Hyp-Gly-Phe-Ser-Pro-Phe-Arg, and proctoline analog Ala-Dopa-Leu-Hyp-Thr by the solid-phase method using 9-fluorenylmethoxcarbonyl (Fmoc) amino acid derivs. IV and V. I, II, and III are peptide units of polyphenolic proteins of mussels.
IT 142095-69-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by solid-phase method using fluorenylmethoxycarbonyl derivs.)
RN 142095-69-0 CAPLUS
CN L-Threonine, N-[1-[N-(N-L-alanyl-3-hydroxy-L-tyrosyl)-L-leucyl]-trans-4-hydroxy-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 112 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1992:129629 CAPLUS
DOCUMENT NUMBER: 116:129629
TITLE: Preparation of reduced size LH-RH analogs as LH-RH agonists and antagonists
INVENTOR(S): Haviv, Fortuna; Palabrica, Christopher A.; Greer, Jonathan; Fitzpatrick, Timothy D.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: Eur. Pat. Appl., 90 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 417454	A2	19910320	EP 1990-114752	19900801
EP 417454	A3	19910710		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
US 5140009	A	19920818	US 1990-546511	19900710
CA 2022437	A1	19910208	CA 1990-2022437	19900801
CA 2022437	C	20021022		
NO 9003454	A	19910208	NO 1990-3454	19900806
HU 55414	A2	19910528	HU 1990-4911	19900806
KR 161972	B1	19981116	KR 1990-11998	19900806
AU 9060285	A	19910207	AU 1990-60285	19900807
JP 03081292	A	19910405	JP 1990-209059	19900807
AU 9457894	A	19940519	AU 1994-57894	19940317
AU 675274	B2	19970130		
PRIORITY APPLN. INFO.:			US 1989-390269 A	19890807
			US 1990-548511 A	19900710
			US 1988-154682 B2	19880210

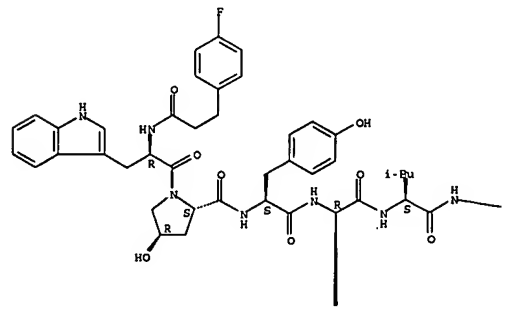
OTHER SOURCE(S): MARPAT 116:129629
AB Reduced size LH-RH analogs T-Q-X-A-B-C-D-E-F-Y [T = absent, D- or L-H-Gln(Et), Z-W-W1CO; Z = H, C1-6 alkyl, cycloalkyl, etc.; W = absent, alkylene, alkenylene' W1 = absent, O, S, NH; Q = absent, (substituted) D- or L-Phe, His, Trp, etc.; X = absent, (substituted) D- or L-Trp, 3-(1-naphthyl)alanyl, Pro, etc.; A = (substituted) L-Ser, Ala, Gln, etc.; B = (substituted) Tyr, Trp, His, etc.; C = (substituted) D-amino acid residue, Ser(PO3H2), Ser(PO3Me2), etc.; D = (substituted) Leu, Ile, Thr(PO3H2), etc.; E = L-amino acyl residue NR1CH[(CH2)pR2]CO, etc.; R1 = H, Me, Et, Pr, Me2CH; R2 = NH2, alkylamino, cycloalkylamino, alkanoylamino, etc.; p = 1-4; F = L-Pro, trans-β-aminocyclopentanecarbonyl, etc.; Y = D- or L-Ala-NH2, Gly-NH2, etc.; with provisos] were prepared Thus, 1-naphthylacetyl-Ser-Tyr-D-Leu-Leu-Arg-Pro-NHEt (I) was prepared via solid phase methods starting with resin-bound Boc-Pro-OH and Boc-Arg(Tos)-OH, Boc-Leu-OH, Boc-D-Leu-OH, Boc-Tyr(4-BrZ)-OH, Boc-Ser (Bzl)-OH, and naphthylacetic acid. I had a pD2 (neg. log of concentration which produces half-maximal release of LH) of 6.85 vs.

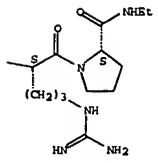
9.27 for LH-RH.
IT 137014-12-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as LH-RH agonist and antagonist)
RN 137014-12-1 CAPLUS
CN L-Prolinamide, N-[3-(4-fluorophenyl)-1-oxopropyl]-D-tryptophyl-trans-4-hydroxy-L-prolyl-L-tyrosyl-D-tryptophyl-L-leucyl-L-arginyl-N-ethyl-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

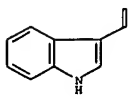
CM 1

CRN 137014-11-0
CMF C64 H80 F N13 O10

Absolute stereochemistry.







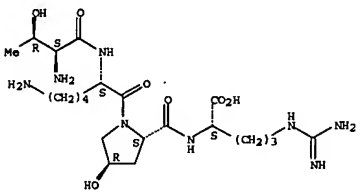
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L6 ANSWER 113 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:583937 CAPLUS
DOCUMENT NUMBER: 115:183937
TITLE: [Hyp3]-tuftsin ([Hyp3]-TU) synthesis and biological activity
AUTHOR(S): Galasik-Bartoszek, Urszula; Konopinska, Danuta; Plech, Andrzej; Najjar, Victor A.; Brue, Ryszard
CORPORATE SOURCE: Dep. Pharmacol., Silesian Acad. Med., Zabrze, 41-808, Pol.
SOURCE: International Journal of Peptide & Protein Research (1991), 38(2), 176-80

CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The title compound, H-Thr-Lys-Hyp-Arg-OH (I), has been synthesized by the liquid-phase method and tested or antinociceptive and diuretic effects in rats. The presence of the hydroxyl substituent in pyrrolidine ring of proline slightly modifies antinociceptive effect of tuftsin and is responsible for the increased diuretic activity of I.
IT 136497-72-8P 136497-73-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation, antinociceptive, and diuretic activity of)
RN 136497-72-8 CAPLUS
CN L-Arginine, N2-[trans-4-hydroxy-1-(N2-L-threonyl-L-lysyl)-L-prolyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

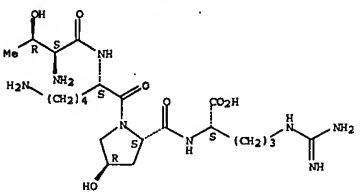


RN 136497-73-9 CAPLUS
CN L-Arginine, N2-[trans-4-hydroxy-1-(N2-L-threonyl-L-lysyl)-L-prolyl]-, triacetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 136497-72-8
CMF C21 H40 N8 O7

Absolute stereochemistry.



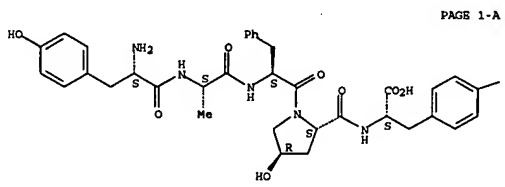
CM 2

CRN 64-19-7
CMF C2 H4 O2

L6 ANSWER 114 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:450279 CAPLUS
DOCUMENT NUMBER: 115:50279
TITLE: Factors affecting immonium ion intensities in the high-energy collision-induced decomposition spectra of peptides
AUTHOR(S): Madden, T.; Welham, K. J.; Baldwin, M. A.
CORPORATE SOURCE: Sch. Pharm., Univ. London, London, WC1N 1AX, UK
SOURCE: Organic Mass Spectrometry (1991), 26(5), 443-6
CODEN: ORMSBG; ISSN: 0030-493X
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Each amino acid in a peptide has a characteristic immonium ion (H_2N^+:CHR), the presence of which in a mass spectrum can indicate the presence of that amino acid. High-energy collision-induced decomposition studies on small peptide ions formed by fast atom bombardment showed the relative intensities of these immonium ions to be dependent on the relative positions of the amino acids in the peptide chain: C-terminal, N-terminal or in-chain. Evidence in favor of competition in the formation of immonium ions is presented.
IT 134824-86-5
RL: PRP (Properties)
(collision-induced decomposition mass spectrum of)
RN 134824-86-5 CAPLUS
CN L-Tyrosine, N-[trans-4-hydroxy-1-[N-(N-L-tyrosyl-L-alanyl)-L-phenylalanyl]-L-prolyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

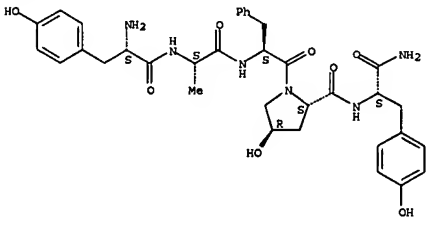


PAGE 1-B

IT 134824-80-9
RL: PRP (Properties)
(collision-induced decomposition mass spectrum of, intensities of immonium ion peaks in)

RN 134824-80-9 CAPLUS
CN L-Tyrosinamide, L-tyrosyl-L-alanyl-L-phenylalanyl-trans-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 115 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:409644 CAPLUS
DOCUMENT NUMBER: 115:9644
TITLE: A process for preparing copoly(amides/peptides)
INVENTOR(S): Bhattacharjee, Himangshu R.; Williams, Jon I.; Swerdloff, Michael D.; Berenbaum, Morris B.
PATENT ASSIGNEE(S): Allied-Signal, Inc., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9012052	A2	19901018	WO 1990-US711	19900208
WO 9012052	A3	19901227		
W: JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, IT, LU, NL, SE				
US 5041497	A	19910820	US 1989-335243	19890410
CA 2014136	A1	19901010	CA 1990-2014136	19900409
PRIORITY APPLN. INFO.:			US 1989-335243 A	19890410

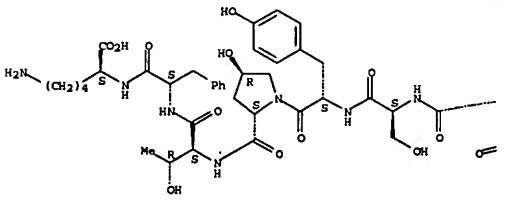
OTHER SOURCE(S): MARPAT 115:9644
AB Polyamide-peptides are manufactured by reaction of ≥2 reactants ≥1 of which is a polyamide, an oligomeric polyamide, or a polyamide precursor and ≥1 of which is a peptide, an oligomer peptide, or a peptide precursor in the presence of R1O(R2O)P(O)N3 [R1 = (un)substituted phenyl; R2 = alkyl, haloalkyl, nitroalkyl, H, (non)metal cation, or R1]. Thus, a mixture containing 2 g ε-aminocaproic acid, 2 mL Me2SO, 4 mL (PhO)2PON3, and 5 mL Et3N was kept at room temperature 24 h to give a solution of oligomer (I). Sep., a mixt containing 500 mg L-alanylglycine, 1 mL Me2SO, 1 mL (PhO)2P(O)N3, and 1.25 mL Et3N was kept at room temperature for 24 h to give a solution of another oligomer (II). Aliquots of I solution and II solution were mixed (50:50) at room temperature for 72 h to give a block copolymer with m.p. 175°, compared with 177-178 and 179° before and after quenching from the molten state for I after 72 h at room temperature in solution and no definite m.p. for II after 72 h at room temperature in solution
IT 134364-36-6
RL: RCT (Reactant); RACT (Reactant or reagent)
(oligomeric, reaction of, with oligomeric polyamides)
RN 134364-36-6 CAPLUS
CN L-Lysine, N2-[N-[N-[1-[N-[N-[1-(N2-L-alanyl-L-lysyl)-L-prolyl]-L-seryl]-L-tyrosyl]-trans-4-hydroxy-L-prolyl]-L-threonyl]-L-phenylalanyl]-, homopolymer (9CI) (CA INDEX NAME)

CM 1

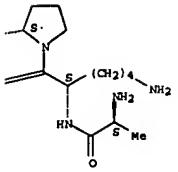
CRN 134364-35-5
CMF C50 H75 N11 O14

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L6 ANSWER 116 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1991:78115 CAPLUS
DOCUMENT NUMBER: 114:78115
TITLE: Synthesis and characterization of an N-terminal-specific iodine-125 photoaffinity derivative of μ-conotoxin GIIIA which binds to the

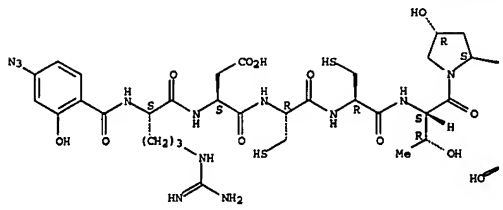
voltage-dependent sodium channel
AUTHOR(S): Becker, Stefan; Liebe, Reinhardt; Gordon, Robert D.
CORPORATE SOURCE: Max-Planck-Inst. Biophys., Frankfurt/Main, D-6000, Germany
SOURCE: FEBS Letters (1990), 272(1-2), 152-4
CODEN: FEBLAL; ISSN: 0014-5793
DOCUMENT TYPE: Journal
LANGUAGE: English

AB An N-terminal, iodinatable photoaffinity derivative of μ-Conotoxin GIIIA, 4-azido-salicylyl-μ-Conotoxin GIIIA (CTXASA), was synthesized by solid phase peptide synthesis. The binding of 125I-CTXASA to the voltage dependent sodium channel from electroplax of Electrophorus electricus was specific, as demonstrated by saturation binding expts. Using autoradiog., 125I-CTXASA labeled a protein with a mol. mass of 260 kDa, consistent with the apparent mol. mass of the sodium channel. This labeling could be suppressed by excess of tetrodotoxin and μ-Conotoxin GIIIA.

IT 132035-35-9DI, iodine-125-labeled 132035-35-9P
RL: PREP (Preparation)
(preparation and sodium channel binding by)
RN 132035-35-9 CAPLUS
CN μ-Conotoxin G IIIA (reduced), N2-(4-azido-2-hydroxybenzoyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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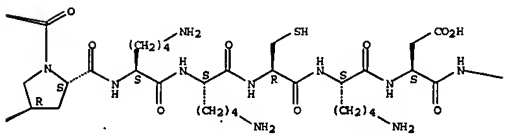

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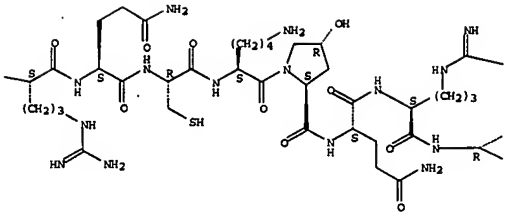

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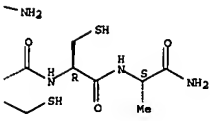
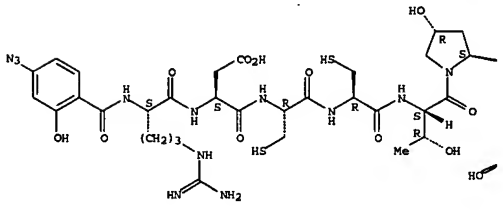


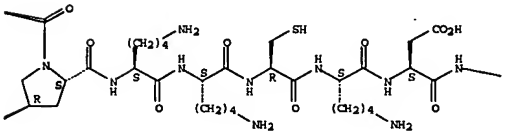
RN 132035-35-9 CAPLUS
CN μ-Conotoxin G IIIA (reduced), N2-(4-azido-2-hydroxybenzoyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

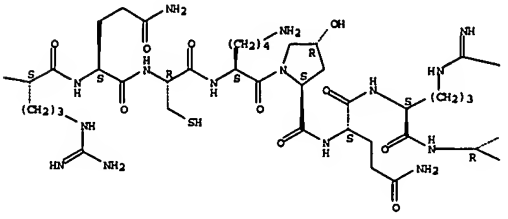
PAGE 1-A



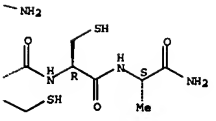
PAGE 1-B



PAGE 1-C



PAGE 1-D



L6 ANSWER 117 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:591977 CAPLUS
DOCUMENT NUMBER: 113:191977
TITLE: Preparation of polymers containing dihydroxyphenylalanine and their adhesiveness
INVENTOR(S): Benedict, Christine V.; Chaturvedi, Nishith
PATENT ASSIGNEE(S): Bio-Polymers, Inc., USA
SOURCE: U.S., 15 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4908404	A	19900313	US 1988-234896	19880822
FI 8903854	A	19900223	FI 1989-3854	19890816
AU 8940014	A	19900222	AU 1989-40014	19890817
AU 618834	B2	19920109		
EP 359996	A2	19900328	EP 1989-115132	19890817
EP 359996	A3	19910807		
EP 359996	B1	19940413		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
AT 104318	T	19940415	AT 1989-115132	19890817
DK 8904108	A	19900223	DK 1989-4108	19890821
NO 8903350	A	19900223	NO 1989-3350	19890821
NO 175006	B	19940509		
NO 175006	C	19940817		
CN 1042162	A	19900516	CN 1989-107587	19890821
JP 02191629	A	19900727	JP 1989-215889	19890822
PRIORITY APPLN. INFO.:			US 1988-234896 A	19880822
			EP 1989-115132 A	19890817

AB Amino group-containing polymers, e.g., polyallylamine, were reacted with 3,4-dihydroxyphenylalanine (DOPA) or peptides containing DOPA to give polymers of high mol. wts. (30,000 to 50,000) with good bioadhesiveness. tert-Butoxycarbonyl-DOPA reacted with polyallylamine-HCl in THF containing N-hydroxysuccinimide and dicyclohexylcarbodiimide to give, after dialysis and lyophilization, a DOPA-containing polymer (I) with a mol. weight of 70,000. In a test using bioadhesive polyphenolic protein on alumina foil

adhesiveness of I was 84 gm/cm2/μg protein vs. 74 gm/cm2/μg protein for polyallylamine.

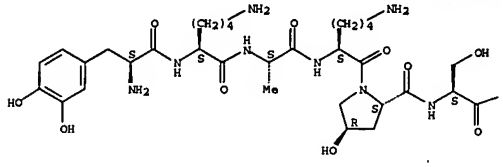
IT 129987-34-4DI, reaction products with amino-containing polymers
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as bioadhesive)

RN 129987-34-4 CAPLUS

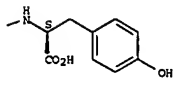
CN L-Tyrosine, N-[N-[trans-4-hydroxy-1-[N2-[N-[N2-(3-hydroxy-L-tyrosyl)-L-lysyl]-L-alanyl]-L-lysyl]-L-prolyl]-L-seryl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L6 ANSWER 118 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1990:509409 CAPLUS
DOCUMENT NUMBER:	113:109409
TITLE:	Dermorphin interaction with rat brain opioid receptors: involvement of hydrophobic sites in the binding domain
AUTHOR(S):	Lazarus, Lawrence H.; Wilson, William E.; Guglietta, Antonio; De Castiglione, Roberto
CORPORATE SOURCE:	Natl. Inst. Environ. Health Sci., Glaxo, Research Triangle Park, NC, 27709, USA
SOURCE:	Molecular Pharmacology (1990), 37(6), 886-92 CODEN: MOPMA3; ISSN: 0026-895X
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB Dermorphin structural analogs were utilized to determine the nature of opioid receptor subsite specificity, affinity, and selectivity in rat brain membranes. The data suggest that these parameters are influenced by the amino acid composition and sequence and the known solution conformation of dermorphin, in addition to the conformation of the membrane receptor. .Two hydrophobic components of dermorphin are required for optimal binding. One component encompasses the stacked phenol groups in Tyr1 and Tyr5; the 2nd involves the Ph group of Phe3. Evidence to support this proposal includes the following results: (1) removal of aromaticity, as occurs in [des-Tyr5]- and [Gly5]dermorphin, drastically reduced binding to both μ and δ sites; (2) inversion of the Phe3-Gly4 sequence in dermorphin to the Gly3-Phe4 in enkephalin enhanced binding to δ receptor sites, yet the peptide remained μ-selective; (3) substitution of Pro4 for Gly4 disrupted the solution conformation of dermorphin and decreased affinities at both receptor subsites, substantiating the requirement for the Phe3-Gly4-Try5 sequence in dermorphin to interact with μ sites; and (4) modification of the serine residue, as occurs in [Ser(Bz17)] dermorphin and [Ser-NHNHZ7]dermorphin, enhanced interaction with δ opioid receptors (the apparent δ affinity increased >50-fold with [Ser(Bz17)]dermorphin, although it retained a weak μ-selectivity). However, both [Ser(Bz17)]- and [Ser-NHNHZ7]dermorphin exhibited high affinity for μ receptor sites. Furthermore, the D-configuration about the α-carbon of residue 2 and the α-amine function and hydroxyl group on Tyr1 are essential for receptor binding. Thus, μ-opioid receptors contain distinct regions that accommodate the stacked phenol groups of Tyr1 and Tyr5 residues and the Ph group of Phe3.

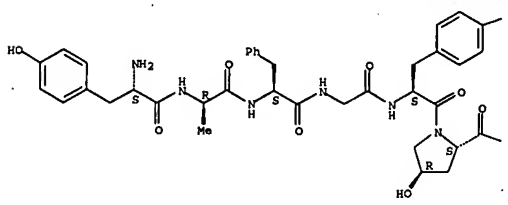
IT 77614-17-6 84182-00-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(opioid receptor binding activity of, in brain, mol. structure in relation to)

RN 77614-17-6 CAPLUS

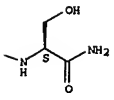
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

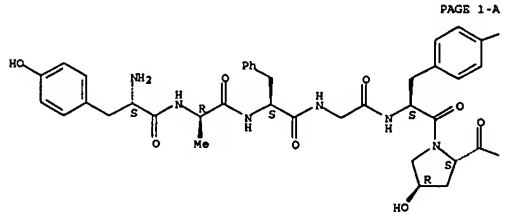


RN 84182-00-3 CAPLUS

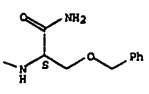
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L6 ANSWER 119 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER:	1990:179894 CAPLUS
DOCUMENT NUMBER:	112:179894
TITLE:	Polypeptide compounds having growth hormone releasing activity
INVENTOR(S):	Bowers, Cyril Yarling; Momany, Frank Alden; Cody, Wayne Livingston; Hubbs, John Clark; Foster, Charles Howard
PATENT ASSIGNEE(S):	Eastman Kodak Co., USA
SOURCE:	PCT Int. Appl., 56 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 8910933	A1	19891116	WO 1989-US1829		19890501
W: AU, JP					
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE					
AU 8937307	A	19891129	AU 1989-37307		19890501
AU 633003	B2	19930121			
EP 417165	A1	19910320	EP 1989-906526		19890501
EP 417165	B1	19940126			
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE					
JP 03504245	T	19910919	JP 1989-505935		19890501
AT 100819	T	19940215	AT 1989-906526		19890501
PRIORITY APPLN. INFO.:			US 1988-192756	A	19880511
			EP 1989-906526	A	19890501
			WO 1989-US1829	A	19890501

OTHER SOURCE(S): MARPAT 112:179894

AB H-Ala-X1-X2-Trp-X3-X4-R [X1 = D-Phe, D-Trp, 5- or 6-fluoro-D-Trp, N-formyl-D-Trp, N-methyl-D-Trp; X2 = Ala, Gly, Ser; X3 = D-Phe, D-Phe(NMe), X4 = Arg, Lys, Orn, Nε-isopropyllysyl, dipeptide residues coupled to the preceding residues, HN(CH2)nCO; R = CONH2, CO2H, CH2OH, alkoxycarbonyl, carbamoyl; n = 1-12], were prepare, e.g., on benzhydrylamine resin using BOC-protected amino acids. H-Ala-D-Trp-Ala-Trp-D-Phe-Lys-NH2at 10.0 μg i.v. in rats increased serum GH from 142 (controls) to 2353 ng/mL.

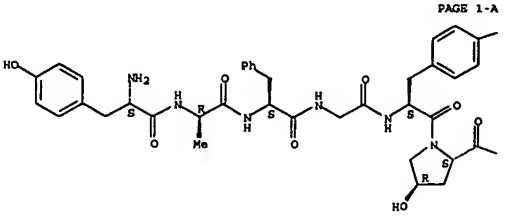
IT 77614-17-6 84168-90-1 115814-06-7
115814-07-8 115814-09-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(use of, in growth hormone releasing compns.)

RN 77614-17-6 CAPLUS

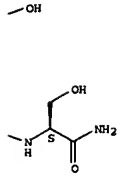
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



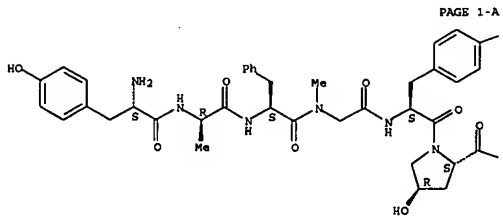
PAGE 1-B



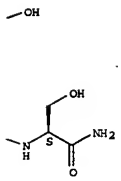
RN 84168-90-1 CAPLUS
CN Dermorphin, 4-(N-methylglycine)-6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

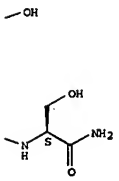


PAGE 1-B



RN 115814-06-7 CAPLUS
CN L-Serinamide, L-tyrosyl-D-alanyl-L-phenylalanyl-N-methylglycyl-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

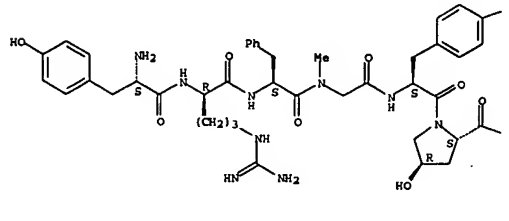
PAGE 1-B



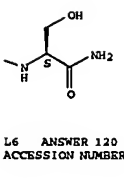
RN 115814-09-0 CAPLUS
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanyl-N-methylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



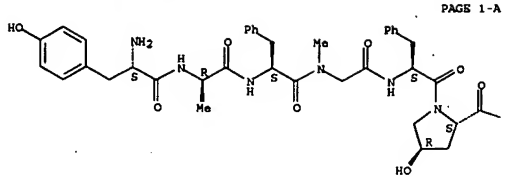
PAGE 1-B



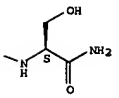
L6 ANSWER 120 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:119450 CAPLUS

Absolute stereochemistry.

PAGE 1-A



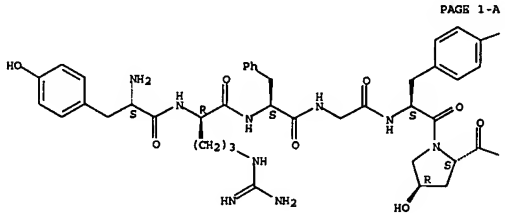
PAGE 1-B



RN 115814-07-8 CAPLUS
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

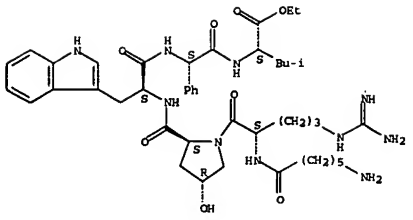


DOCUMENT NUMBER: 112:119450
TITLE: Preparation of neurotensin fragment analogs as central nervous system agents and pharmaceutical compositions containing them
INVENTOR(S): Tsuchiya, Yutaka; Sasaki, Atsushi; Yoshino, Hiroshi; Karibe, Norio; Sugimoto, Hachiro; Kubota, Atsuhiko; Kosasa, Michiko; Araki, Shin; Ikeda, Masuhiro; et al.
PATENT ASSIGNEE(S): Eisai Co., Ltd., Japan
SOURCE: Eur. Pat. Appl., 55 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 333071	A2	19890920	EP 1989-104302	19890310
EP 333071	A3	19900926		
R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
FI 8900918	A	19890912	FI 1989-918	19890227
AU 8931083	A	19890914	AU 1989-31083	19890307
JP 01316399	A	19891221	JP 1989-55941	19890308
NO 8901006	A	19890912	NO 1989-1006	19890309
DK 8901169	A	19890912	DK 1989-1169	19890310
HU 49370	A2	19890928	HU 1989-1180	19890310
HU 199879	B	19900328		
PRIORITY APPLN. INFO.:			JP 1988-57985 A	19880311

AB A-B-C-D-E-F-R1; [A = amino acid residue, guanidinoalkylcarbonyl, piperidinylalkylcarbonyl, aminoalkylcarbonyl; B, E, F = amino acid residue, residue of an alkyl derivative of amino acid; C = L-Pro or derivative; D = L-amino acid residue; R1 = (substituted) amino] useful as central nervous system agents (antipsychotics, analgesics) were prepared H-Gb-Arg-Pro-Trp-Pgl-Leu-OEt [II; Gb = residue of α-guanidinobutanoic acid, Pgl = phenylglycine residue] was prepared in many steps by the solution method starting from BOC-Pgl-OH and H-Leu-OEt.HCl. II at 0.2 mg/kg s.c. showed 20.6% antagonism of methamphetamine in mice.
IT 125616-24-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of, as central nervous system agent)
RN 125616-24-2 CAPLUS
CN L-Leucine, N-[N-[N-[1-[N2-(6-amino-1-oxohexyl)-L-arginyl]-trans-4-hydroxy-L-prolyl]-L-tryptophyl]-L-2-phenylglycyl]-,ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



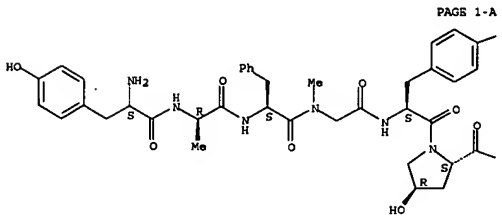
L6 ANSWER 121 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:56709 CAPLUS
DOCUMENT NUMBER: 112:56709
TITLE: Polypeptide compounds having growth hormone releasing activity
INVENTOR(S): Bowers, Cyril Yarling; Momany, Frank Alden; Chang, Ching Hsong; Cody, Wayne Livingston; Hubbs, John Clark; Foster, Charles Howard
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8907111	A1	19890810	WO 1989-US202	19890118
W: AU, JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8930541	A	19890825	AU 1989-30541	19890118
AU 628322	B2	19920917		
EP 398961	A1	19901128	EP 1989-902190	19890118
EP 398961	B1	19941102		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03502326	T	19910530	JP 1989-502038	19890118
PRIORITY APPLN. INFO.:			US 1988-149266 A	19880128
			WO 1989-US202 A	19890118

OTHER SOURCE(S): MARPAT 112:56709
AB Polypeptides A1-A2-A3-Trp-A5-A6-A7-Z [I; A = H-His, H-His(3'-Me), A-His, A-His(3'-Me); A = any naturally occurring L-amino acid, Met(O), DOPA, Abu; A2 = D-Phe, D-Trp, D- or DL-Trp(5' or 6'-F), D-Trp (1'-CHO), D-MeTrp, D-Trp(1'-Me), etc.; A3 = Ala, Gly, Ser; A5 = D-Phe, D-MePhe; A6 = any naturally occurring L-amino acid, dipeptide of the naturally occurring L-amino acids (e. g. Ala-Ala), N2N(CH2)nCO2H; n = 1-12; A7 = Arg, isoLys, Lys, Orn; Z = NH2, OH, OR, NHR, NR2, Gly-Z1, Met-Z1, Met-Z1, Lys-Z1, Cys-Z1, Gly-Tyr-Z1, Ala-Tyr-A1, Z1 = NH2, OH, NHR, OR, NR2; or Z or Z1 together with α-C of the amino acid A7 = CH2OH, CH2OR; R = C1-6 alkyl, ≤ C12 aromatic ring] or their synergistic combination with at least 2 other polypeptides (e.g. naturally occurring growth hormone releasing hormones and functional equivalent), promoting increase in serum growth hormone (GH) levels in animals and thus useful to enhance milk production in cows, body growth in animals such as mammals, fish, fowl, etc. and wool and/or fur production in mammals, are prepared Thus, I were prepared by DCC coupling of a protected amino acid to p-methylbenzhydrylamine-HCl resin followed by stepwise incorporation of amino acids using a preformed sym. anhydride. H-His-D-Trp-Ala-Trp-D-Phe-Ala-Lys-NH2(II) at 3.0 μg released 4505 ± 489 ng/mL of GH in anesthetized rats vs. 111 ± ng/mL for the control. II also promoted the release and elevation of serum growth hormone levels in lactating dairy cows.
IT 77614-17-6 84168-90-1 115814-06-7
115814-07-8 115814-09-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(synergistic mixture containing growth hormone releasing polypeptide and, for promotion of growth hormone release)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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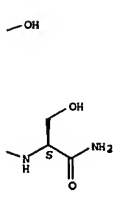
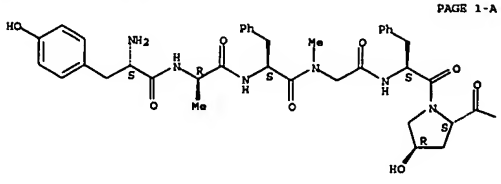


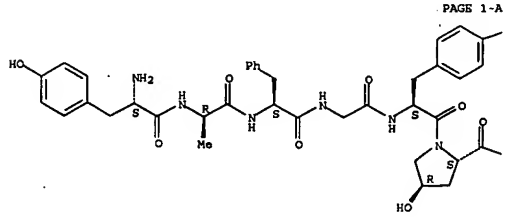
RN 115814-06-7 CAPLUS
CN L-Serinamide, L-tyrosyl-D-alanyl-L-phenylalanyl-N-methylglycyl-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-A



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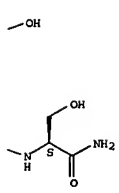
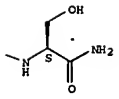


RN 84168-90-1 CAPLUS
CN Dermorphin, 4-(N-methylglycine)-6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

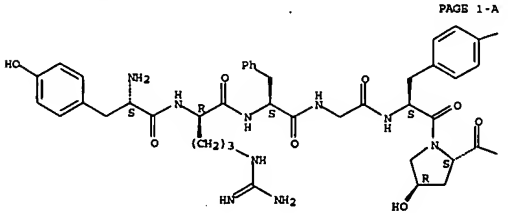
PAGE 1-B



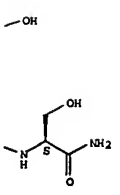
RN 115814-07-8 CAPLUS
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



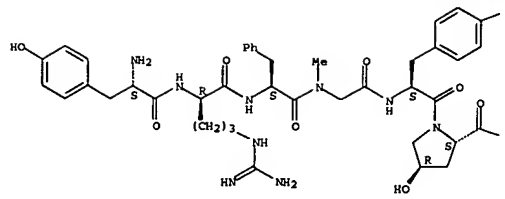
PAGE 1-B



RN 115814-09-0 CAPLUS
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanyl-N-methylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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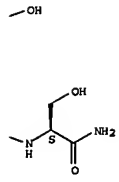
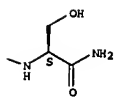


L6 ANSWER 122 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1990:56708 CAPLUS
DOCUMENT NUMBER: 112:56708
TITLE: Polypeptide compounds having growth hormone releasing activity
INVENTOR(S): Bowers, Cyril Yarling; Momany, Frank Alden; Chang, Ching Hsong; Cody, Wayne Livingston; Hubbs, John Clark; Foster, Charles Howard
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8907110	A1	19890810	WO 1989-US201	19890118
W: AU, JP				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
AU 8930659	A	19890825	AU 1989-30659	19890118
AU 637316	B2	19930527		
EP 400051	A1	19901205	EP 1989-902569	19890118
EP 400051	B1	19950510		
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				

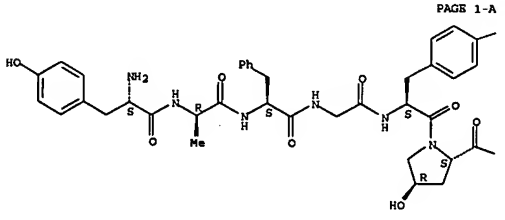
PAGE 1-B



IT 77614-17-6 84168-90-1 115814-06-7
115814-09-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(synergistic mixture containing growth hormone releasing polypeptide and, for promotion of growth hormone release)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

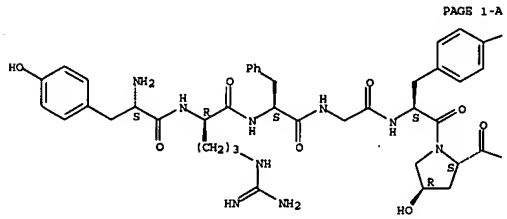


JP 03502329 T 19910530 JP 1989-502383 19890118
AT 122357 T 19950515 AT 1989-902569 19890118
US 5534494 A 19960709 US 1994-231986 19940421
PRIORITY APPLN. INFO.: US 1988-149267 A 19880128
WO 1989-US201 A 19890118
US 1991-770710 B1 19911003
US 1992-880284 B1 19920504

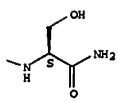
OTHER SOURCE(S): MARPAT 112:56708
AB Polypeptides X-A2-A3-Trp-A5-Y-Z [I; X = H-His-A1, H-His(3'-Me)-A1, A-His-A1, A-His(3'-Me)-A1; A = any naturally occurring L-amino acid, Met(O), DOPA, Abu; A1 = any naturally occurring L-amino acid, D-Ala; A2 = D-Phe, D-Trp, D or DL-Trp(5' or 6'-F), D-Trp(1'-CHO), D-MeTrp, D-Trp(1'-Me), etc.; A3 = Ala, Gly, Ser; A5 = D-Phe, D-MePhe; Y = A7, A6-A7; A6 = any naturally occurring L-amino acid, dipeptide of the naturally occurring L-amino acids (e.g. Ala-Ala), H2N(CH2)nCO2H; n = 1-12; A7 = Arg, isoLys, Lys, Orn; Z = NH2, OH, OR, NHR, RN2, Gly-Z1, Met-Z1, Lys-Z1, Cys-Z1, Gly-Tyr-Z1, Ala-Tyr-Z1; Z1 = NH2, OH, OR, NHR, NR2; or Z or Z1 together with its α-C of the terminal Y = CH2OH, CH2OR; R = C1-6 alkyl, ≤12 aromatic ring] or their synergistic combinations with at least 2 other polypeptides (e.g. naturally occurring growth hormone releasing hormones and their functional equivalent), promoting the increase in serum growth hormone (GH) levels in animals, and milk production in cows, body growth in animals including mammals, fish, and fowls, and to increase wool and fur production in mammals, are prepared Thus, I were prepared by DCC coupling of a protected amino acid to p-methylbenzhydrylamine-HCl resin followed by step wise incorporation of amino acids using a preformed sym. anhydride. H-His-Ala-D-Trp-Ala-Trp-D-Phe-Lys-NH2at 3.0 μg released 2588 ± 241 ng/mL of GH in rats vs. 111 ± 25 ng/mL for the control.
IT 115814-07-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(synergistic mix containing growth hormone releasing peptide and)
RN 115814-07-8 CAPLUS
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



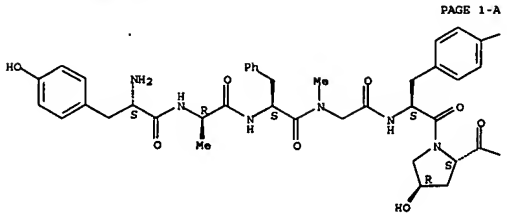
PAGE 1-B



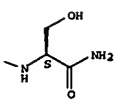
RN 84168-90-1 CAPLUS
CN Dermorphin, 4-(N-methylglycine)-6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



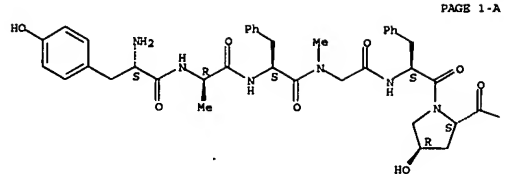
PAGE 1-B



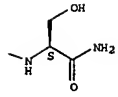
RN 115814-06-7 CAPLUS
CN L-Serinamide, L-tyrosyl-D-alanyl-L-phenylalanyl-N-methylglycyl-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



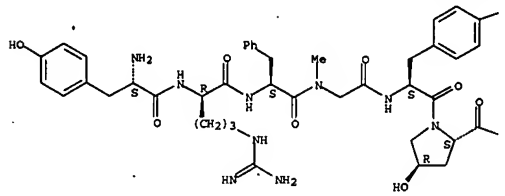
PAGE 1-B



RN 115814-09-0 CAPLUS
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanyl-N-methylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



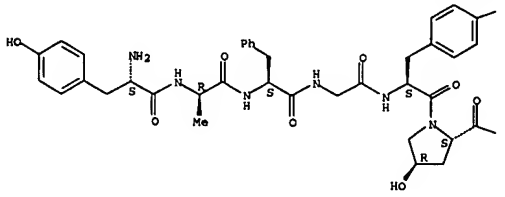
residues; and c) selected polypeptides containing 3-7 amino acid residues. Rhesus monkeys were injected with human GHRH (I), His-D-Trp-Ala-Trp-D-Phe-Lys-NH2 (II), and/or Tyr-D-Ala-Phe-Gly-Tyr-Pro-Ser-NH2(III), blood GH levels were measured at 20 min after injection. At low doses (40 μg each peptide), I-III gave GH blood levels of 3-10 ng/mL when administered singly; I-III administered together gave levels of 7 ± 1, I-II administered together gave levels of 22±9, II-III administered together gave levels of 53 ± 8, and I-II-III administered together gave levels of 60 ± 9, so some synergy was demonstrated.

IT 77614-17-6 84168-90-1 115814-06-7
115814-07-8 115814-09-0
RL: BIOL (Biological study)
(synergistic compns. containing peptide and growth hormone releasing hormone derivs. and)

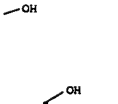
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



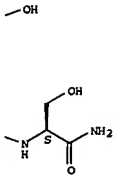
PAGE 1-B



RN 84168-90-1 CAPLUS
CN Dermorphin, 4-(N-methylglycine)-6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-B



L6 ANSWER 123 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:486341 CAPLUS
DOCUMENT NUMBER: 109:86341
TITLE: Growth hormone releasing hormone-peptide compositions with synergistic activity
INVENTOR(S): Bowers, Cyril Yarling; Momany, Frank Alden; Chang, Ching Hsong; Cody, Wayne Livingston; Hubbs, John Clark; Foster, Charles Howard
PATENT ASSIGNEE(S): Eastman Kodak Co., USA
SOURCE: PCT Int. Appl., 110 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

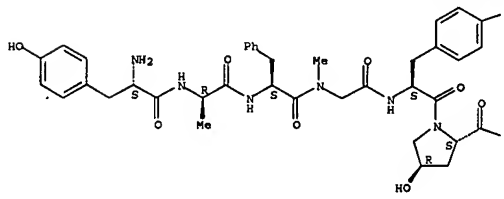
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8706835	A1	19871119	WO 1987-US1051	19870508
W: AU, BR, DK, FI, JP, NO, SU				
RW: AT, BE, CH, DE, FR, GB, IT, NL, SE				
US 4880778	A	19891114	US 1987-37275	19870410
AU 8774332	A	19871201	AU 1987-74332	19870508
AU 600952	B2	19900830		
EP 305401	A1	19890308	EP 1987-903577	19870508
EP 305401	B1	19920819		
R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE				
JP 01502586	T	19890907	JP 1987-503091	19870508
AT 79546	T	19920915	AT 1987-903577	19870508
CA 1309019	C	19921020	CA 1987-536667	19870508
RU 2062618	C1	19960627	RU 1987-4613093	19870508
ES 2005224	A6	19890301	ES 1987-1425	19870512
IL 82499	A	19920329	IL 1987-82499	19870512
DK 8800098	A	19880111	DK 1988-98	19880111
DK 166565	B1	19930614		
NO 8800090	A	19880111	NO 1988-90	19880111
NO 173854	B	19931108		
NO 173854	C	19940216		

PRIORITY APPLN. INFO.:

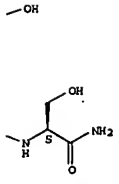
US 1986-861968	A	19860512
US 1987-37275	A	19870410
EP 1987-903577	A	19870508
WO 1987-US1051	A	19870508

AB The levels of growth hormone in vertebrates and crustaceans are increased by administration of a synergistic composition containing 2 of: a) a growth hormone releasing hormone (GHRH); b) selected polypeptides containing 6-11 amino acid

PAGE 1-A



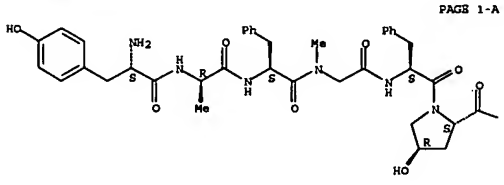
PAGE 1-B



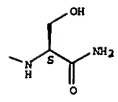
RN 115814-06-7 CAPLUS
CN L-Serinamide, L-tyrosyl-D-alanyl-L-phenylalanyl-N-methylglycyl-L-phenylalanyl-(4R)-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



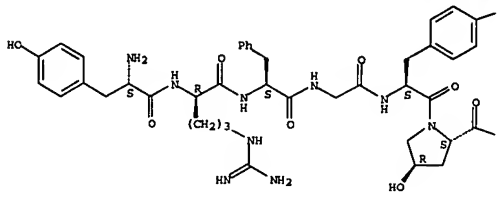
PAGE 1-B



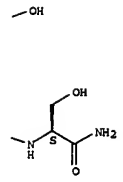
RN 115814-07-8 CAPLUS
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

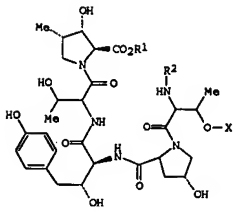


PAGE 1-B



RN 115814-09-0 CAPLUS
CN L-Serinamide, L-tyrosyl-D-arginyl-L-phenylalanyl-N-methylglycyl-L-tyrosyl-(4R)-4-hydroxy-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



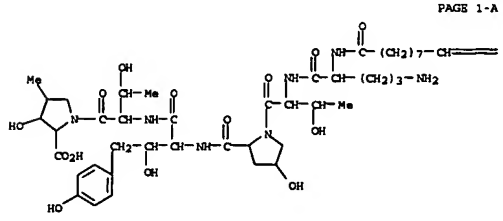
I

AB The title hexapeptides [I; R1 = H, lower alkyl; R2 = H, PhCH2O2C, (S)-COCH(NHCOC17H31-n)(CH2)3NHR3; R3 = H, CO2CMe3; X = H, SiMe2CMe3], useful as intermediates for echinocandins, were prepared (EtO)2P(O)CN and Et3N were added at 0° to a solution of (S)-HO2CCH(NHQ)(CH2)3NHCO2CMe3 (Q = linoleoyl) and O-(tert-butyldimethylsilyl)threonyl[(4R)-4-hydroxy]prolyl[(3R)-3-hydroxy]homotyrosylthreonyl[(3S,4S)-3-hydroxy-4-methyl]proline Me ester (both preparation given) in DMF and the mixture was stirred 36 h at 0° to give 68% I [R1 = Me, R2 = (S)-COCH(NHQ)(CH2)3NHCO2CMe3, X = SiMe2CMe3] (II).

IT 115074-16-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of, echinocandin D from)

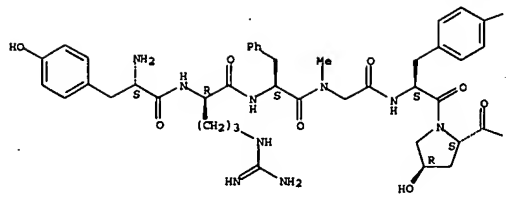
RN 115074-16-3 CAPLUS
CN L-Proline, 3-hydroxy-1-[N-[N-[trans-4-hydroxy-1-[N-[N2-(1-oxo-9,12-octadecadienyl)-L-ornithyl]-L-threonyl]-L-prolyl]-4-(4-hydroxyphenyl)-L-threonyl]-L-threonyl]-4-methyl-, monohydrochloride, [1(9Z,12Z),2α,3β,4β]- (9CI) (CA INDEX NAME)

PAGE 1-A

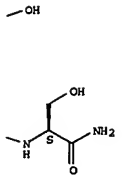


● HCl

PAGE 1-A



PAGE 1-B



L6 ANSWER 124 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:423388 CAPLUS
DOCUMENT NUMBER: 109:23388
TITLE: Preparation of hexapeptides as intermediates for echinocandins
INVENTOR(S): Ofuna, Yasushi; Kurokawa, Natsuko
PATENT ASSIGNEE(S): Suntory, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 62273997	A	19871128	JP 1986-118022	19860522
PRIORITY APPLN. INFO.:			JP 1986-118022	19860522

GI

PAGE 1-B

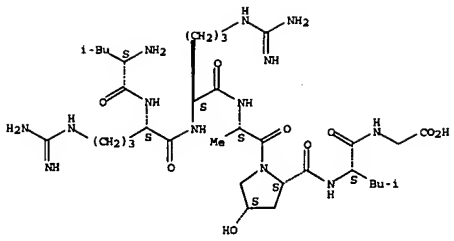
L6 ANSWER 125 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:163832 CAPLUS
DOCUMENT NUMBER: 108:163832
TITLE: Hydroxyamino acid specificity of smooth muscle myosin light chain kinase
AUTHOR(S): Pearson, Richard B.; Floyd, David M.; Hunt, John T.; Lee, Ving G.; Kemp, Bruce E.
CORPORATE SOURCE: Repatriation Gen. Hosp., Univ. Melbourne, Heidelberg, 3081, Australia
SOURCE: Archives of Biochemistry and Biophysics (1988), 260(1), 37-44
CODEN: ABBIA4; ISSN: 0003-9861
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Synthetic peptides corresponding to the phosphorylation sites in the myosin regulatory light chain from smooth muscle, Lys-Lys-Arg-Ala-Arg-Ala-Thr-Ser-Asn-Val-Phe-Ala [[Ala14,15]MLC(11-23)] (MLC = myosin light chain) and containing a variety of hydroxyamino acid analogs at position 19, were tested as substrates for the smooth muscle MLC kinase. Peptide analogs containing either D-serine or cis-hydroxyproline were not phosphorylated. The corresponding trans-hydroxyproline containing peptide was poorly phosphorylated, with a Km of 2.3 μM and a Vmax of 3 × 10-3 μmol/min/mg, compared to a Km of 12.5 μM and a Vmax of 1.43 μmol/min/mg for the parent peptide. All 3 hydroxyamino acid analog peptides acted as relatively potent inhibitors of MLC phosphorylation with Ki values in the range 7.5-10 μM, comparable to 7 μM for the parent peptide. Thus, the failure of the hydroxyamino acid analog peptides to act as effective substrates was not the result of poor binding to the enzyme. In contrast, the same substitutions made in the peptide substrate for the cAMP-dependent protein kinase resulted in poor inhibitors. It is likely that the OH group of the substituting amino acids in the MLC peptide analogs is not presented in the correct orientation in the active site for transfer of the phosphate group.

IT 113775-24-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cAMP-dependent protein kinase inhibition kinetics with)

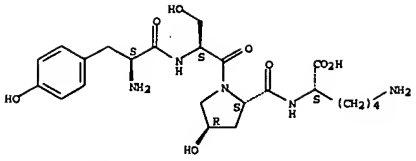
RN 113775-24-9 CAPLUS
CN Glycine, N-[N-[cis-4-hydroxy-1-[N-[N2-(N2-L-leucyl-L-arginyl)-L-arginyl]-L-alanyl]-L-prolyl]-L-leucyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



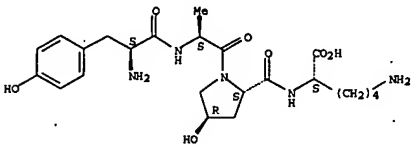
L6 ANSWER 126 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1988:108673 CAPLUS
DOCUMENT NUMBER: 108:108673
TITLE: UDP-L-arabinose-hydroxyproline-O-glycosyltransferases in Volvox carteri
AUTHOR(S): Guenther, Roland; Bause, Ernst; Jaenicke, Lothar
CORPORATE SOURCE: Inst. Biochem., Cologne, 5000/1, Fed. Rep. Ger.
SOURCE: FEBS Letters (1987), 221(2), 293-8
CODEN: FEBLAL; ISSN: 0014-5793
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Hydroxyproline (Hyp)-containing peptides of different length and amino acid sequence were used to demonstrate UDP-L-arabinose-Hyp O-glycosyltransferases in a crude microsomal fraction from the green alga V. carteri. The formation of O-glycosidic linkages by transfer of UDP-activated arabinose to the side chain of Hyp was concluded from the resistance of the glycopeptides under the basic conditions of β-elimination and their susceptibility to hydrolysis by trifluoroacetic acid. This treatment yielded arabinose as the only cleavage product. Arabinose transfer to the various peptide substrates was found to be stimulated by low concns. of detergent, to require divalent cations, and to proceed optimally at pH values around 7.0. The smallest arabinose acceptor peptide was the tripeptide Tyr-Hyp-Lys. The glycosyl acceptor effectivity increased with increasing nos. of repeated Hyp residues, suggesting that Hyp clusters critically affect substrate recognition by the Volvox transferase(s).
IT 111863-91-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with UDP-arabinose-hydroxyproline O-glycosyltransferase of Volvox microsomes, kinetics of, structure in relation to)
RN 111863-91-3 CAPLUS
CN L-Lysine, N2-[trans-4-hydroxy-1-(N-L-tyrosyl-L-seryl)-L-prolyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 111863-88-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, with UDP-arabinose-hydroxyproline O-glycosyltransferase of Volvox microsomes, structure in relation to)
RN 111863-88-8 CAPLUS
CN L-Lysine, N2-[trans-4-hydroxy-1-(N-L-tyrosyl-L-alanyl)-L-prolyl]-(9CI) (CA INDEX NAME)

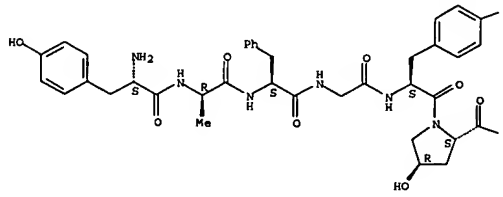
Absolute stereochemistry.



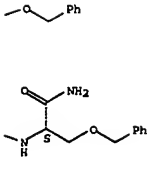
L6 ANSWER 127 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:417963 CAPLUS
DOCUMENT NUMBER: 107:17963
TITLE: Structure-activity relationship of dermorphin on gastric secretion
AUTHOR(S): Guglietta, Antonio; Irons, Beverly J.; Lazarus, Lawrence H.; Melchiorri, Pietro
CORPORATE SOURCE: Lab. Behav. Neurol. Toxicol., Natl. Inst. Environ. Health Sci., Research Triangle Park, NC, 27709, USA
SOURCE: Endocrinology (1987), 120(5), 2137-43
CODEN: ENDOAO; ISSN: 0013-7227
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The amphibian skin heptapeptide dermorphin (DM) administered intracerebroventricularly to rats reduces gastric secretion. DM and 19 DM homologs and analogs were tested for their effect on gastric volume, pH, H+ concentration, and gastric acid output. DM, DM N-terminal pentapeptide and tetrapeptide amides, [D-Met2]DM, [Sar4]DM, [Trp5]DM, (Phe5]DM, 904 [Gly7]DM, [Ser(Bzl)7]DM, and deamidated-DM reduced gastric acid output 2 h after injection. These data provide evidence for the following conclusions on the effect of DM on gastric secretion: (1) ability to inhibit gastric secretion depends on the presence of the D-isomer of alanine at position 2, since [L-Ala2]DM is inactive; (2) the shortest sequence with bioactivity is DM N-terminal tetrapeptide amide; (3) the single replacement of amino acid residues in DM elicits a wide range of activities, varying from full biol. activity of [Gly7]DM to those analogs with a complete lack of activity, such as [Pro4]DM and [Gly6]DM; and (4) coupling of protective groups to amino and hydroxyl groups of DM results in a loss of activity.
IT 84182-00-3
RL: BIOL (Biological study)
(stomach secretion response to central administration of, structure in relation to)
RN 84182-00-3 CAPLUS
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



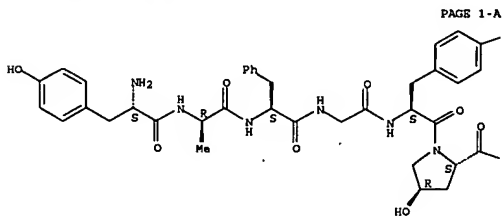
PAGE 1-B



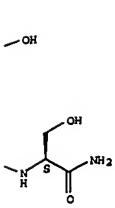
L6 ANSWER 128 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:62703 CAPLUS
DOCUMENT NUMBER: 106:62703
TITLE: Opioid receptor binding profile of selected dermorphin-like peptides
AUTHOR(S): Rossi, A. C.; De Castiglione, R.; Perseo, G.
CORPORATE SOURCE: Farmitalia Carlo Erba S.p.A., Milan, Italy
SOURCE: Peptides (New York, NY, United States) (1986), 7(5), 755-9
CODEN: PPTDD5; ISSN: 0196-9781
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The receptor binding profile of a selected group of dermorphin-like peptides was determined and correlated with the results of the guinea pig ileum (GPI) and mouse vas deferens (MVD) bioassays and with the currently used antinociception tests in the rat. For the peptides with the characteristic dermorphin D-Ala2-Phe3-Gly4 sequence, a linear neg. correlation was found between the reciprocal of Na shift and relative affinity for the μ-type opioid receptor. For the same peptides, a pos. correlation was evidenced between relative potency on GPI and MVD and relative affinity for μ- and δ-type receptors, resp.
IT 77614-17-6 84182-00-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(receptor binding activity of, in ileum and vas deferens)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



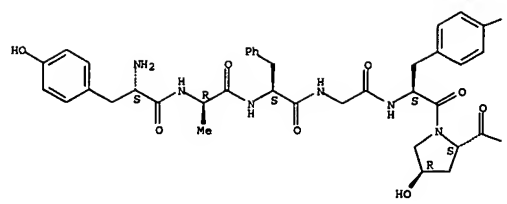
PAGE 1-B



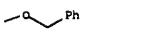
RN 84182-00-3 CAPLUS
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-(9CI) (CA INDEX NAME)

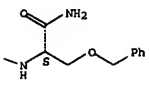
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

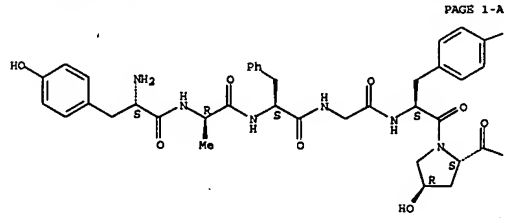




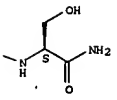
L6 ANSWER 129 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1987:16016 CAPLUS
DOCUMENT NUMBER: 106:16016
TITLE: Active peptides in the skins of two hundred and thirty American amphibian species
AUTHOR(S): Erspamer, V.; Falconieri Erspamer, G.; Cei, J. M.
CORPORATE SOURCE: Inst. Med. Pharmacol., 1st Univ. Rome, Rome, I 00100, Italy
SOURCE: Comparative Biochemistry and Physiology, Part C: Pharmacology, Toxicology & Endocrinology (1986), 85C(1), 125-37
CODEN: CBPCEE; ISSN: 0742-8413
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Exts. prepared from dried or fresh skins or >200 American amphibian species were subjected to biol. screening to determine occurrence and contents of peptides active on smooth muscle prepns., systemic blood pressure, and, subordinately, external secretions, anterior pituitary, and the central nervous system. The peptide families identified in skin were as follows: caerulein (caerulein, phyllocaerulein), tachykinins (physalemin, phyllomedusin), bombesins (phyllolitorin, [Leu8]phyllolitorin, rohdeilitorin), bradykinins (phyllokinin and others), sauvagine, dermorphins (dermorphin, [Hyp6]dermorphin), tryptophyllins (numerous peptides) and, finally, miscellaneous peptides. None of the above peptide families showed a widespread distribution, but all were restricted to particular amphibian genera or stocks. The hylid frogs of the Phyllomedusinae family occupy a unique position, as their skin displayed the greatest variety and abundance of active peptides ever found in any amphibian stock in the world. The array of peptide mols. occurring in the skin of American amphibians is destined to increase because numerous other peptide mols. await isolation, elucidation of structure and definition of possible biol. activities.
IT 77614-17-6
RL: BIOL (Biological study)
(of skin, of amphibian)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

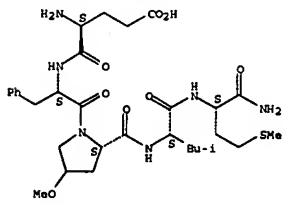


PAGE 1-B



L6 ANSWER 130 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:457318 CAPLUS
DOCUMENT NUMBER: 105:57318
TITLE: Sequence determination of N-terminal and C-terminal blocked peptides containing N-alkylated amino acids and structure determination of these amino acid constituents by using fast-atom-bombardment/tandem mass spectrometry
AUTHOR(S): Eckart, Klaus; Schwarz, Helmut; Chorev, Michael; Gilon, Chaim
CORPORATE SOURCE: Inst. Org. Chem., Tech. Univ., Berlin, Fed. Rep. Ger.
SOURCE: European Journal of Biochemistry (1986), 157(1), 209-16
CODEN: EJBCAI; ISSN: 0014-2956
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Peptides, blocked either at the N or C terminus, and thus unsuited for Edman degradation, and those containing N-alkylated amino acids, which are not detectable when using conventional amino acid anal., can be easily sequenced by applying a method in which fast atom bombardment (FAB) is combined with tandem mass spectrometry (MSMS). Moreover, the structure of the N-alkylated amino acid constituents is provided by this approach. A widely applicable strategy will be presented, and to demonstrate its scope and limitations eighteen analogs of sequences related to the C terminus of substance P, a biol. active neuropeptide were investigated. The power and reliability of the approach was demonstrated by analyzing an unknown peptide. Moreover, the detection and structure elucidation of N-alkylated amino acids which usually escape amino acid anal. will be described, as will be the unequivocal differentiation and identification of isomeric methyleucine-methylisoleucine. The influence of the N-alkylation on the mass spectrometric fragmentation behavior will be discussed. Furthermore, the sequencing of 2 adipokinetic hormones by using the combined FAB-MSMS approach is described. Anal. of peptides can be achieved with sample sizes less than 0.1 μmol and be completed within 2-4 h.
IT 103445-46-1
RL: ANT (Analyte); ANST (Analytical study)
(sequence determination of, by fast-atom-bombardment tandem mass spectroscopy)
RN 103445-46-1 CAPLUS
CN L-Methioninamide, L-α-glutamyl-L-phenylalanyl-4-methoxy-L-prolyl-L-leucyl- (9CI) (CA INDEX NAME)

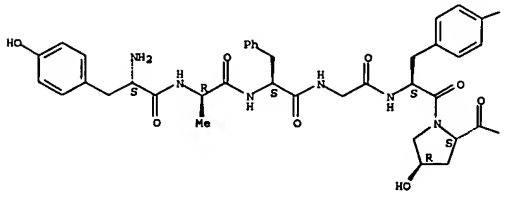
Absolute stereochemistry.



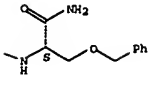
L6 ANSWER 131 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:435771 CAPLUS
DOCUMENT NUMBER: 105:35771
TITLE: Central pharmacological activities and opiate receptor binding studies of some dermorphin analogs
AUTHOR(S): Giagnoni, G.; Parolaro, D.; Crema, G.; Mennuni, L.; Brini, A.; Casiraghi, L.; Sala, M.; Gori, E.
CORPORATE SOURCE: Fac. Sci., Univ. Milan, Milan, 20129, Italy
SOURCE: Peptides (New York, NY, United States) (1985), 6(Suppl. 3), 155-9
CODEN: PPTDD5; ISSN: 0196-9781
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of dermorphin [77614-16-5]-like compds. were injected intracerebroventricularly in the rat to assess in vivo their effects on intestinal motility and analgesia. In vitro they were tested by binding assay with [3H]naloxone as radioligand or by guinea pig ileum bioassay. The synthetic peptides were less potent than dermorphin in inhibiting intestinal transit and in producing analgesia, or even inactive up to doses 30 times the dermorphin 50% max ED. This reduction in pharmacol. activity was coupled with a decrease in binding potency. The [1H]naloxone-binding studies in the absence or presence of Na+ indicated that Na+ reduced the interaction of dermorphin and its analogs with brain opiate receptors. Only the dibenzyl derivative was slightly affected by Na, suggesting a dual action for this peptide, as confirmed by preliminary data from guinea pig ileum bioassay.
IT 84182-00-3
RL: BIOL (Biological study)
(analgesic and intestine motility-inhibiting activity of, structure in relation to)
RN 84182-00-3 CAPLUS
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L6 ANSWER 132 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1986:207670 CAPLUS
DOCUMENT NUMBER: 104:207670
TITLE: Structure-activity relationships for the competitive angiotensin antagonist [sarcosinel, O-methyltyrosine4]angiotensinII (sarmesin)
AUTHOR(S): Goghari, Mahesh H.; Franklin, Kevin J.; Moore, Graham J.

CORPORATE SOURCE: Dep. Med. Biochem., Univ. Calgary, Calgary, AB, T2N 4N1, Can.
SOURCE: Journal of Medicinal Chemistry (1986), 29(6), 1121-4
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Angiotensin II analogs H-X-Arg-Val-X1-Ile-His-Pro-X2-OH [I; X = Sar, Asp, Ala, Pro; X1 = Tyr(Me), Tyr(Et), D-Tyr, Phe, D-Phe, Ile, Thr, Hyp; Z2 = Phe, Ile] were prepared by the solid-phase method and their agonist and antagonist potencies were determined in the rat isolated uterus assay. The structural requirements for receptor blockade by sarmesin [I; X = Sar, X1 = Tyr(Me), X3 = Phe] (II) are very stringent; modifications at positions 1, 4, and 8 reduce the antagonist activity of II.

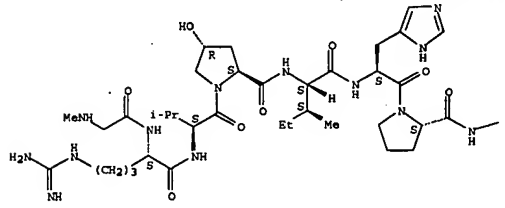
IT 101759-46-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and angiotensin antagonist activity of)

RN 101759-46-0 CAPLUS

CN Angiotensin II, 1-(N-methylglycine)-4-(trans-4-hydroxy-L-proline)-5-L-isoleucine- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

L6 ANSWER 133 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:578614 CAPLUS
DOCUMENT NUMBER: 103:178614
TITLE: Synthesis of hydroxy amino acid and peptide sulfate esters: a reevaluation
AUTHOR(S): Penke, B.; Zarandi, M.; Kovacs, K.; Rivier, J.
CORPORATE SOURCE: Inst. Med. Chem., Univ. Med. Sch., Szeged, H-6720, Hung.
SOURCE: Pept., Proc. Eur. Pept. Symp., 18th (1984), 279-83. Editor(s): Ragnarsson, Ulf. Almqvist & Wiksell: Stockholm, Swed.
CODEN: 53PWAN
DOCUMENT TYPE: Conference
LANGUAGE: English

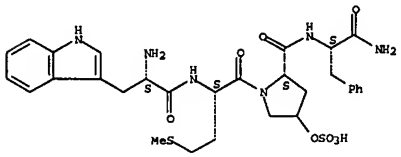
AB Pyridinium acetylsulfate (I) was used for the sulfation of hydroxy amino acids and shown to be superior to reagents used previously. Exptl. conditions are given for the use of I in the synthesis of peptide sulfate esters.

IT 98930-11-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 98930-11-1 CAPLUS

CN L-Phenylalaninamide, L-tryptophyl-L-methionyl-trans-4-(sulfooxy)-L-prolyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L6 ANSWER 134 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1985:437738 CAPLUS
DOCUMENT NUMBER: 103:37738
TITLE: CCK agonists II
INVENTOR(S): Rivier, Jean E. F.; Penke, Botond
PATENT ASSIGNEE(S): Salk Institute for Biological Studies, USA
SOURCE: U.S., 9 pp. Cont.-in-part of U.S. Ser. No. 496,455.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4490364	A	19841225	US 1983-522846	19830812
PRIORITY APPLN. INFO.:			US 1983-496455 A2	19830520

AB Cholecystokinin (CCK) (1-8) analogs R-X-X1-Tyr(R1)-X2-X3-Trp-X4-X5-X6-NHR2 [R = H, succinyl, Ac, oxalyl, maleyl, glutaryl, propionyl, propiolyl, acrylyl; X = Gln, pyroGlu, Tyr, Tyr(Me), deaminotyrosine residue, null; X1 = Asp, Tyr, Tyr(SE) (SE = SO3H or a salt, e.g. SO3Na), Ser, Ser(SE), Hyp, Hyp(SE), Thr, Thr(SE), Cys, Tyr(Me), null; R1 = H, SE; X2 = Met, Nva, Nle; X3 = Gly, D-Cys, D-Ala; X4 = Met, Nva, Nle; X5 = Ser(SE), Thr(SE), Hyp(SE); X6 = Phe, Tyr(Me); R2 = alkyl, fluoroalkyl, H] were prepared as agents for stimulating the contraction of the gall bladder and arresting the secretion of gastric acid. Thus, Ac-Tyr(SO3Na)-Met-D-Ala-Trp-Met-Asp-Phe-NH2 (I) was prepared by the solid-phase method on a methylbenzhydrylamine resin. The sulfate was introduced by acetylsulfuric acid pyridinium salt. The gall bladder-stimulating activity of I was 40%

that of CCK(1-8).

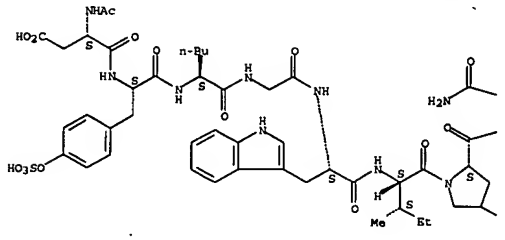
IT 97094-57-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 97094-57-0 CAPLUS

CN L-Tyrosinamide, N-acetyl-L-α-aspartyl-O-sulfo-L-tyrosyl-L-norleucylglycyl-L-tryptophyl-L-isoleucyl-trans-4-(sulfooxy)-L-prolyl-O-methyl-, sodium salt (9CI) (CA INDEX NAME)

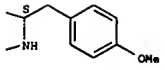
Absolute stereochemistry.

PAGE 1-A

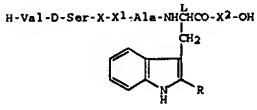


●x Na

PAGE 1-B



L6 ANSWER 135 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:631003 CAPLUS
DOCUMENT NUMBER: 101:231003
TITLE: Synthesis and activity of peptide analogs of the toxic peptides isolated from Amanita virosa mushrooms
AUTHOR(S): Kahl, Jens Uwe; Miura, Tamiko; Wieland, Theodor
CORPORATE SOURCE: Abt. Naturstoffchem., Max-Planck-Inst. Med. Forsch., Heidelberg, 6900, Fed. Rep. Ger.
SOURCE: Chem. Pept. Proteins, Proc. USSR-FRG Symp., 4th (1984), Meeting Date 1982, 63-70. Editor(s): Voelter, Wolfgang; de Gruyter: Berlin, Fed. Rep. Ger.
CODEN: 52BGAY
DOCUMENT TYPE: Conference
LANGUAGE: English
GI



AB Linear virotoxin analogs I [X = D-Ser, X1 = allo-hydroxyproline residue (Hypro), X2 = Leu, R = SO2Me, X2 = γ-hydroxylleucine residue (Hyleu), R = SO2Me, SMe; X = Ala, X1 = Hypro, X2 = Hyleu, R = SO2Me; X = D-Ser, X1 = 3,4-dihydroxyproline residue, X2 = Leu, R = SO2Me] were prepared by solution methods using stepwise and fragment couplings. The above peptides were cyclized to give the corresponding cyclic virotoxin analogs. The Hyleu unit was introduced as the lactone and the lactone was opened before cyclization. The virotoxin analogs exhibited binding activity with actin, but the binding was less effective than the standard material.

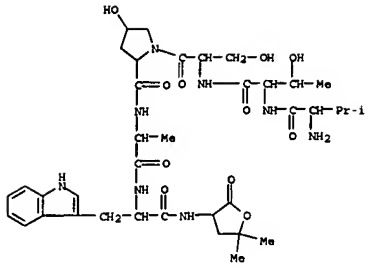
IT 93204-32-1P 93204-44-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cleavage of lactone of)

RN 93204-32-1 CAPLUS

CN L-Tryptophanamide, L-valyl-D-threonyl-D-seryl-cis-4-hydroxy-L-prolyl-L-alanyl-N-(tetrahydro-5,5-dimethyl-2-oxo-3-furanyl)-,(S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 93204-31-0
CMF C37 H54 N8 O11



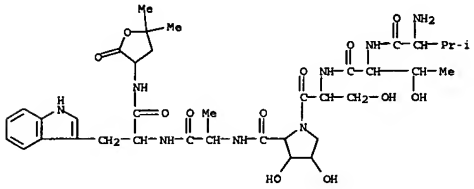
CM 2

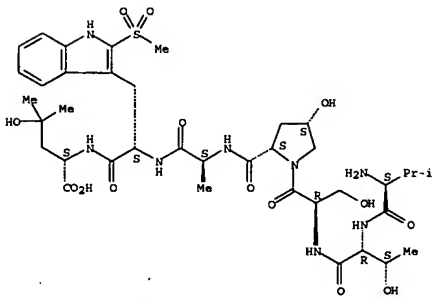
CRN 76-05-1
CMF C2 H F3 O2

RN 93204-44-5 CAPLUS
CN L-Tryptophanamide, L-valyl-D-threonyl-D-seryl-(α,3β,4α)-3,4-dihydroxy-L-prolyl-L-alanyl-N-(tetrahydro-5,5-dimethyl-2-oxo-3-furanyl)-, (S)-, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

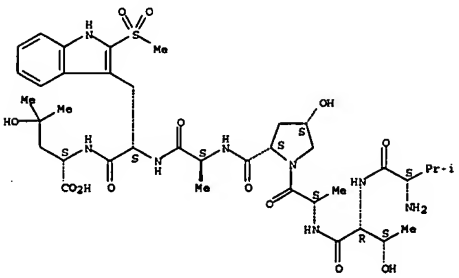
CRN 93204-45-6
CMF C37 H54 N8 O12





RN 93204-24-1 CAPLUS
CN L-Leucine, 4-hydroxy-N-[N-[N-[cis-4-hydroxy-1-[N-(N-L-valyl-D-threonyl)-L-alanyl]-L-prolyl]-L-alanyl]-2-(methylsulfonyl)-L-tryptophyl] (9CI) (CA INDEX NAME)

Absolute stereochemistry.



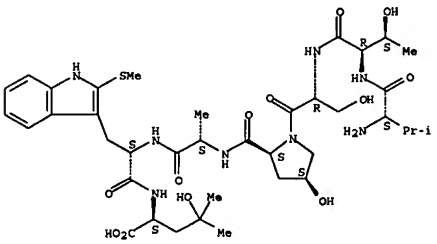
RN 93204-25-2 CAPLUS
CN L-Leucine, N-[N-[N-[(2α,3β,4α)-3,4-dihydroxy-1-[N-(N-L-valyl-D-threonyl)-D-seryl]-L-prolyl]-L-alanyl]-2-(methylsulfonyl)-L-tryptophyl]- (9CI) (CA INDEX NAME)

CM 2

CRN 76-05-1
CMF C2 H F3 O2

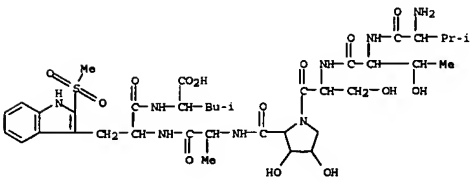
IT 92837-50-8P 92837-51-9P 93204-24-1P
93204-25-2P 93236-07-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 92837-50-8 CAPLUS
CN L-Leucine, 4-hydroxy-N-[N-[N-[cis-4-hydroxy-1-[N-(N-L-valyl-D-threonyl)-D-seryl]-L-prolyl]-L-alanyl]-2-(methylthio)-L-tryptophyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



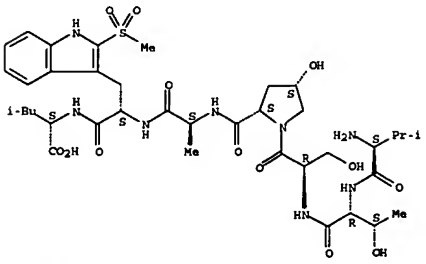
RN 92837-51-9 CAPLUS
CN L-Leucine, 4-hydroxy-N-[N-[N-[cis-4-hydroxy-1-[N-(N-L-valyl-D-threonyl)-D-seryl]-L-prolyl]-L-alanyl]-2-(methylsulfonyl)-L-tryptophyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



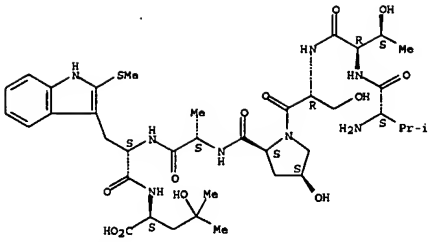
RN 93236-07-8 CAPLUS
CN L-Leucine, N-[N-[N-[cis-4-hydroxy-1-[N-(N-L-valyl-D-threonyl)-D-seryl]-L-prolyl]-L-alanyl]-2-(methylsulfonyl)-L-tryptophyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

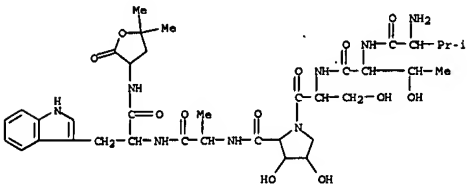


IT 92837-50-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and oxidation of)
RN 92837-50-8 CAPLUS
CN L-Leucine, 4-hydroxy-N-[N-[N-[cis-4-hydroxy-1-[N-(N-L-valyl-D-threonyl)-D-seryl]-L-prolyl]-L-alanyl]-2-(methylthio)-L-tryptophyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

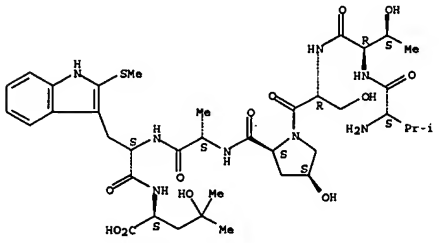


IT 93204-45-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 93204-45-6 CAPLUS
CN L-Tryptophanamide, L-valyl-D-threonyl-D-seryl-(α,3β,4α)-3,4-dihydroxy-L-prolyl-L-alanyl-N-(tetrahydro-5,5-dimethyl-2-oxo-3-furanyl)-, (S)- (9CI) (CA INDEX NAME)



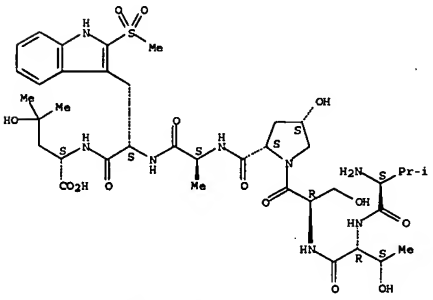
L6 ANSWER 136 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:611680 CAPLUS
DOCUMENT NUMBER: 101:211680
TITLE: Analogs of viroidin. Synthesis of four virotoxin-like F-actin binding heptapeptides with one less hydroxyl group in the dihydroxy-proline ring
AUTHOR(S): Kahl, Jens Uwe; Vlasov, Genadi P.; Seeliger, Annemarie; Wieland, Theodor
CORPORATE SOURCE: Max-Planck-Inst. Med. Res., Heidelberg, Fed. Rep. Ger.
SOURCE: International Journal of Peptide & Protein Research (1984), 23(5), 543-50
CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB Viroidin analogs I [aHyp = cis-4-hydroxy-L-proline residue; R = SMe, SO2Me; X = Leu, γ-hydroxy-L-leucine residue (HyLeu); X1 = Ala, Val] were prepared in which the 3,4-dihydroxy-L-proline residue of viroidin was replaced by aHyp, a component of phallotoxins. Thus, H-Ala-Trp-Leu-Ala-D-Thr-D-Ser-aHyp-OH (II) was cyclized by the mixed anhydride (MA) method to give cyclo-(Ala-Trp-Leu-Ala-D-Thr-D-Ser-aHyp),which was treated with MeSCl to give I (R = SMe, X = Leu, X1 = Ala), which was oxidized by H2O2 to give I (R = SO2Me, X = Leu, X1 = Ala) (III). Peptides IV (R1 = SMe, SO2Me) were cyclized by the MA method to give I [R = SMe (V), SO2Me; X = HyLeu, X1 = Val]. II and IV were prepared by conventional solution methods. The binding strength of III and V to rabbit muscle F-actin was .apprx.40% that of demethylphalloin.
IT 92837-50-8P 92837-51-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and cyclization of)
RN 92837-50-8 CAPLUS
CN L-Leucine, 4-hydroxy-N-[N-[N-[cis-4-hydroxy-1-[N-(N-L-valyl-D-threonyl)-D-seryl]-L-prolyl]-L-alanyl]-2-(methylthio)-L-tryptophyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

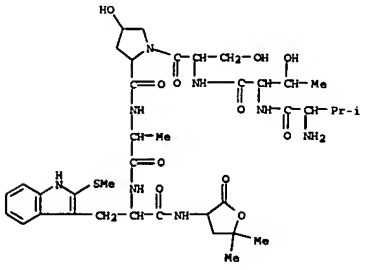


RN 92837-51-9 CAPLUS
CN L-Leucine, 4-hydroxy-N-[N-[N-[cis-4-hydroxy-1-[N-(N-L-valyl-D-threonyl)-D-seryl]-L-prolyl]-L-alanyl]-2-(methylsulfonyl)-L-tryptophyl]-(9CI) (CA INDEX NAME)

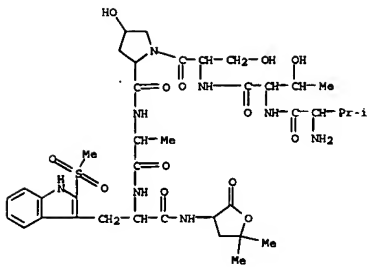
Absolute stereochemistry.



IT 92837-49-5P 92882-39-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and ring cleavage of)
RN 92837-49-5 CAPLUS
CN L-Tryptophanamide, L-valyl-D-threonyl-D-seryl-cis-4-hydroxy-L-prolyl-L-alanyl-2-(methylthio)-N-(tetrahydro-5,5-dimethyl-2-oxo-3-furanyl)-(S)-(9CI) (CA INDEX NAME)



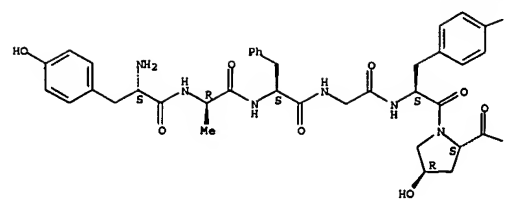
RN 92882-39-8 CAPLUS
CN L-Tryptophanamide, L-valyl-D-threonyl-D-seryl-cis-4-hydroxy-L-prolyl-L-alanyl-2-(methylsulfonyl)-N-(tetrahydro-5,5-dimethyl-2-oxo-3-furanyl)-, (S)- (9CI) (CA INDEX NAME)



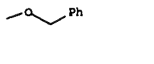
L6 ANSWER 137 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:604880 CAPLUS
DOCUMENT NUMBER: 101:204880
TITLE: Effect of dermorphin and related peptides on drinking behavior of the rat
AUTHOR(S): De Caro, G.; Massi, M.; Micossi, L. G.; Perfumi, M.
CORPORATE SOURCE: Fac. Pharm., Univ. Camerino, Camerino, 62032, Italy
SOURCE: Cent. Peripher. Endorphine, [Int. Meet. Ital. Soc. Endocrinol.], 1st (1984), Meeting Date 1983, 145-9. Editor(s): Mueller, Eugenio E.; Genazzani, Andrea R. Raven: New York, N. Y.
CODEN: 52MUAT
DOCUMENT TYPE: Conference
LANGUAGE: English
AB The intracerebroventricular injection of dermorphin (I) [77614-16-5] (≥1 ng) inhibited angiotensin II (II) [11128-99-7]-induced drinking in rats. (D-Ala2,D-Leu5}-enkephalin (III) [63631-40-3] had a similar effect, but was 150 times less potent than I, whereas dermorphin tetrapeptide (IV) [78700-75-1] and 5,7-diBzl-[Hyp6]-dermorphin (V) [84182-00-3] were less potent than I but more potent than III. In water deprivation-induced drinking, I was less effective than in II-induced drinking, and III and IV were less effective than I and V was without significant effect. I (10-40 ng) decreased feeding in response to food deprivation. IV had a similar effect but was less potent than I in inhibiting food intake, whereas III had no effect on food intake and V showed a small degree of inhibition only after 60 min following a 1000 ng dose. Thus, brain opioids may be involved in water uptake regulation by the brain.
IT 84182-00-3
RL: BIOL (Biological study)
(appetite and water drinking response to)
RN 84182-00-3 CAPLUS
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-(9CI) (CA INDEX NAME)

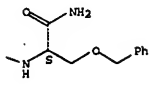
Absolute stereochemistry.

PAGE 1-A



PAGE 1-B





L6 ANSWER 138 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:584200 CAPLUS
DOCUMENT NUMBER: 101:184200
TITLE: Structure-activity relationships in dermorphin-like peptides
AUTHOR(S): De Castiglione, Roberto
CORPORATE SOURCE: Chem. Res. and Dev., Farmitalia Carlo Erba, Milan, 20146, Italy
SOURCE: Highlights Recept. Chem., Proc. Camerino Symp. Recent Adv. Recept. Chem., 2nd (1984), Meeting Date 1983, 149-68. Editor(s): Melchiorre, Carlo; Giannella, Mario. Elsevier: Amsterdam, Neth.
CODEN: 52APAM
DOCUMENT TYPE: Conference
LANGUAGE: English

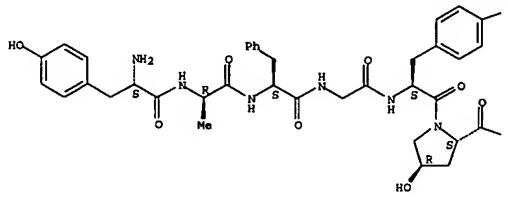
AB More than 130 dermorphin [77614-16-5] analogs were tested for activity in the elec. stimulated guinea pig ileum (GPI) and mouse vas deferens (MVD), for analgesic activity in mice and rats, for prolactin [9002-62-4] secretion-stimulating activity in rats, and for catalepsy induction on intracerebroventricular administration into rats. The results are given tabularly. The opiate-like activity and high μ-receptor selectivity of the analogs is dependent on the 1-3 peptide backbone spacing between aromatic groups (l-tyrosine and 3-phenylalanine). No clear-cut correlation between in vitro tests and analgesia were detected. Min. structural requirements for dermorphin-like activity is the C-terminal tetrapeptide, with the 1st 3 amino acids being most critical for bioactivity. Whereas other substitutions or modifications at the 1-tyrosine residue are detrimental, replacement of the amino by a guanidino groups increases potency, at least in the tetrapeptide series. Increased lipophilicity generally decreased the GPI/MVD activity ratio. Dermorphins are .apprx.100,000 times were potent on intracerebroventricular injection than on i.v., s.c., or i.p. injection.

IT 77614-17-6 78331-24-5 80213-69-0
84182-00-3 84182-02-5 84182-03-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(biol. activity of, structure in relation to)

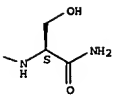
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



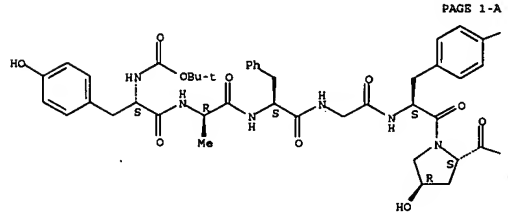
PAGE 1-B



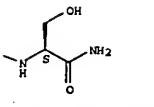
RN 78331-24-5 CAPLUS
CN Dermorphin, N-[(1,1-dimethylethoxy)carbonyl]-6-(trans-4-hydroxy-L-proline)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



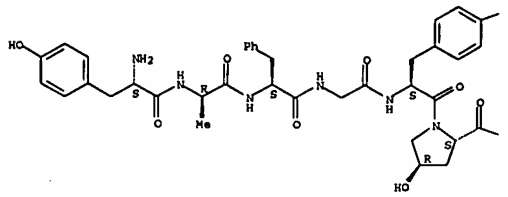
PAGE 1-B



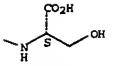
RN 80213-69-0 CAPLUS
CN Dermorphin, 6-(trans-4-hydroxy-L-proline)-7-L-serine-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



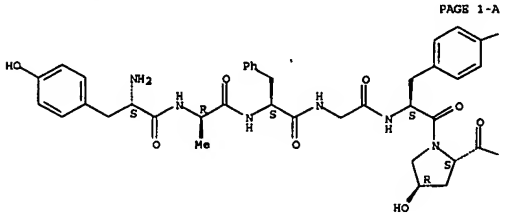
PAGE 1-B



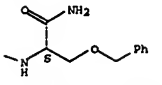
RN 84182-00-3 CAPLUS
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



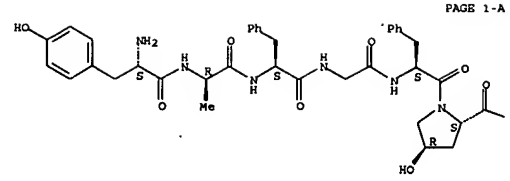
PAGE 1-B



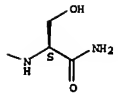
RN 84182-02-5 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



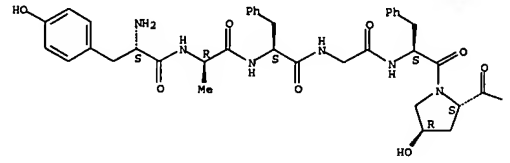
PAGE 1-B



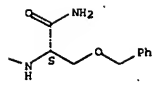
RN 84182-03-6 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

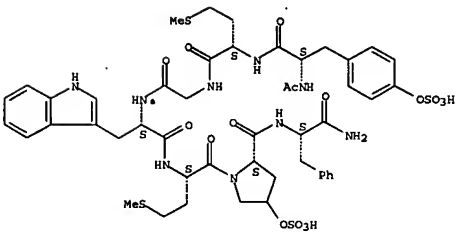


PAGE 1-B



L6 ANSWER 139 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:423928 CAPLUS
DOCUMENT NUMBER: 101:23928
TITLE: Synthesis of potent heptapeptide analogs of cholecystokinin
AUTHOR(S): Penke, Botond; Hajnal, Ferenc; Lonovics, Janos; Holzinger, Gabor; Kadar, Tibor; Telegdy, Gyula; Rivier, Jean
CORPORATE SOURCE: Inst. Med. Chem., Szeged Med. Univ., Szeged, Hung.
SOURCE: Journal of Medicinal Chemistry (1984), 27(7), 845-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Cholecystokinin (CCK) heptapeptide analogs Ac-Tyr(R)-Met-Gly-Trp-Met-X(SO3Na)-Phe-NH2 (I; R = H, SO3Na; X = Ser, Thr, Hyp) and Ac-Tyr(SO3Na)-Met-X1-X2-X3-Asp-Phe-NH2(II; X1-X2-X3 = D-Ala-Trp-Met, Gly-D-Trp-Met, Gly-Trp-D-Met) were prepared by the solid-phase method. Pyridinium acetyl sulfate was used for the introduction of the sulfate esters. I (R = SO3Na; X = Ser, Thr, Hyp) exhibited more potent in vitro cholecystokinetic activity than CCK-8. The above analogs were devoid of in vivo gastrin-like activity, but they had potent anticonvulsive activity. II were less potent than CCK-8 in in vitro cholecystokinetic activity.
IT 89596-96-3P 89596-97-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and cholecystokinetic and anticonvulsive activities of)
RN 89596-96-3 CAPLUS
CN L-Phenylalaninamide, N-acetyl-O-sulfo-L-tyrosyl-L-methionylglycyl-L-tryptophyl-L-methionyl-4-(sulfooxy)-L-prolyl-,disodium salt (9CI) (CA INDEX NAME)

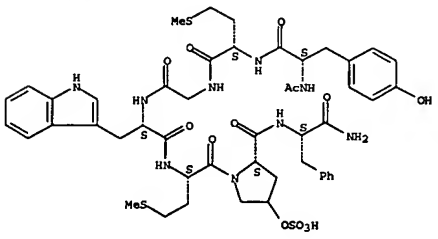
Absolute stereochemistry.



●2 Na

RN 89596-97-4 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-tyrosyl-L-methionylglycyl-L-tryptophyl-L-methionyl-4-(sulfooxy)-L-prolyl-,monosodium salt (9CI) (CA INDEX NAME)

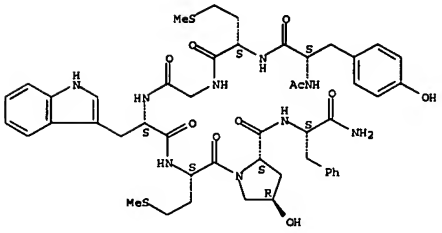
Absolute stereochemistry.



● Na

IT 89597-02-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and sulfation of)
RN 89597-02-4 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-tyrosyl-L-methionylglycyl-L-tryptophyl-L-methionyl-trans-4-hydroxy-L-prolyl-(9CI) (CA INDEX NAME)

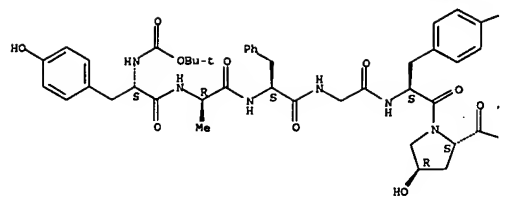
Absolute stereochemistry.



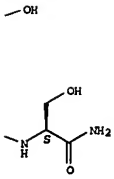
L6 ANSWER 140 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1984:79998 CAPLUS
DOCUMENT NUMBER: 100:79998
TITLE: Antinociceptive, prolactin releasing and intestinal motility inhibition activities of dermorphin and analogs after subcutaneous administration in the rat
AUTHOR(S): Rossi, Alessandro; Di Salle, E.; Briatico, G.; Arcari, G.; De Castiglione, R.; Perseo, G.
CORPORATE SOURCE: Farmitalia Carlo Erba S.p.A., Milan, 20159, Italy
SOURCE: Peptides (New York, NY, United States) (1983), 4(4), 577-80
CODEN: PPTDD5; ISSN: 0196-9781
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A series of analogs and shorter homologs of dermorphin (DM) [77614-16-5], a frog skin heptapeptide with potent morphine-like activity, were assayed in the rat after s.c. (SC) administration at the screening dose of 4 mg/kg. The effects examined were: analgesia (tail-pinch test), stimulation of prolactin (PRL) [9002-62-4] secretion, and inhibition of gastro-intestinal (GI) motility (charcoal meal transit). EDs were calculated for the most active compds. The potency of DM (H-Tyr-D-Ala-Phe-Gly-Tyr-Pro-Ser-NH2) [77614-17-6] in the different tests was: tail-pinch: ED50 - 0.83 mg/kg; PRL release: ED100 = 0.3 mg/kg; inhibition of GI motility; ED30 = 1.8 mg/kg. Structure-activity relations for the analgesic effect of the analogs is discussed.
IT 78331-24-5 80213-69-0 84182-00-3
84182-02-5 84182-03-6
RL: BIOL (Biological study)
(analgesic and intestinal motility-inhibiting and prolactin-releasing activity of, mol. structure in relation to)
RN 78331-24-5 CAPLUS
CN Dermorphin, N-[(1,1-dimethylethoxy)carbonyl]-6-(trans-4-hydroxy-L-proline)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



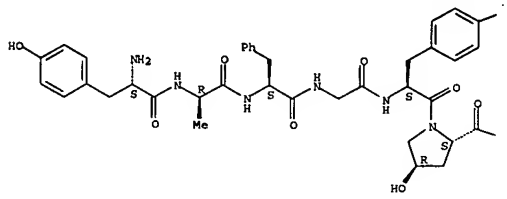
PAGE 1-B



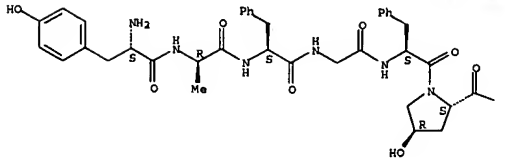
RN 80213-69-0 CAPLUS
CN Dermorphin, 6-(trans-4-hydroxy-L-proline)-7-L-serine-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

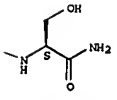
PAGE 1-A



PAGE 1-A



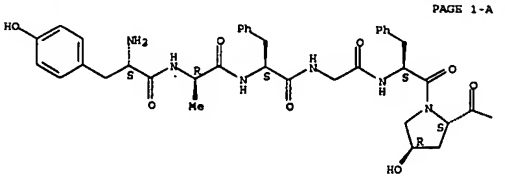
PAGE 1-B



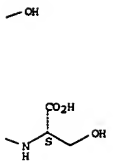
RN 84182-03-6 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



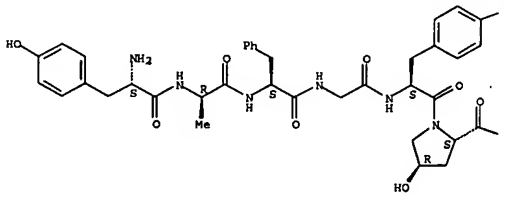
PAGE 1-B



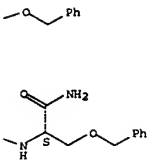
RN 84182-00-3 CAPLUS
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



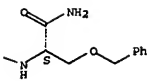
PAGE 1-B



RN 84182-02-5 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-(9CI) (CA INDEX NAME)

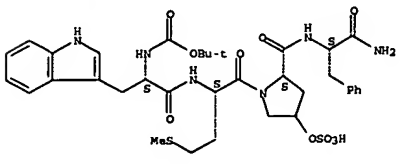
Absolute stereochemistry.

PAGE 1-B



L6 ANSWER 141 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:587938 CAPLUS
DOCUMENT NUMBER: 99:187938
TITLE: What is the minimum active center of gastrin?
AUTHOR(S): Zarandi, Marta; Penke, Botond; Varga, Janos; Kovacs, Kalman
CORPORATE SOURCE: Inst. Med. Chem., Szeged, H-6720, Hung.
SOURCE: Pept., Proc. Eur. Pept. Symp., 17th (1983), Meeting Date 1982, 577-81. Editor(s): Blaha, Karel; Malon, Petr. de Gruyter: Berlin, Fed. Rep. Ger.
CODEN: 50GFAA
DOCUMENT TYPE: Conference
LANGUAGE: English
AB The min. structural requirements for biol. activity from structure-activity studies on tetragastrin analogs in conscious dogs with gastric fistulae or perfused rat stomach prepns. were: hydrophobic interaction between the C-terminal and N-terminal part of the mol. which stabilizes a γ-turn-like steric structure, an ionic group in the right position of the aspartate β-carboxylic group, and a hydrophobic side chain in the methionine position. The C-terminal amide group was not necessary for biol. activity.
IT 87696-31-9
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study)
(biol. activity of, structure in relation to)
RN 87696-31-9 CAPLUS
CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-L-tryptophyl-L-methionyl-trans-4-(sulfooxy)-L-prolyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

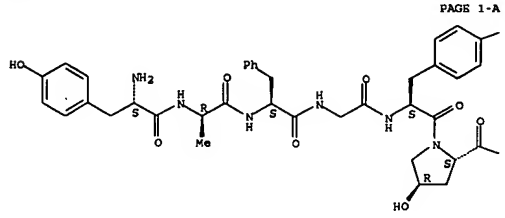


L6 ANSWER 142 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:198708 CAPLUS
DOCUMENT NUMBER: 98:198708
TITLE: Field desorption mass spectra of dermorphin and of

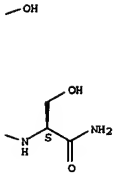
some related oligopeptides
AUTHOR(S): Gioia, B.; Arlandini, E.; Perseo, G.
CORPORATE SOURCE: Ric. Sviluppo Chim., Farmitalia Carlo Erba S.p.A., Milan, 20146, Italy
SOURCE: International Journal of Mass Spectrometry and Ion Physics (1983), 48, 205-8
CODEN: IJMIBY; ISSN: 0020-7381
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The mass spectra of dermorphin, H-Tyr-D-Ala-Phe-Gly-Tyr-Pro-Ser-NH2, and 8 related peptides were obtained using the field desorption ionization technique. All spectra recorded at the best anode temperature show the MH+ ion as base peak. Useful structural information is derived from some fragments which appear in the spectra by raising the emitter temperature
IT 77614-17-6
RL: PRP (Properties)
(field desorption mass spectrum of)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



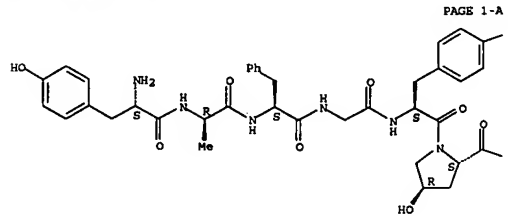
PAGE 1-B



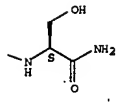
L6 ANSWER 143 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:139179 CAPLUS
DOCUMENT NUMBER: 98:139179
TITLE: Field desorption mass spectra of dermorphin and some related peptides
AUTHOR(S): Gioia, B.; Arlandini, E.; Perseo, G.; De Castiglione, R.
CORPORATE SOURCE: Farmitalia Carlo Erba S.p.A., Milan, 20146, Italy
SOURCE: Biopolymers (1983), 22(1), 487-91
CODEN: BIPMAA; ISSN: 0006-3525
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Field-desorption mass spectra were derived for dermorphin and 12 related peptides. The structures of the main fragments were determined from comparison of the spectra, and the fragmentation pattern discussed in terms of structural anal. of peptides.
IT 77614-17-6
RL: PRP (Properties)
(field-desorption mass spectrum of)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



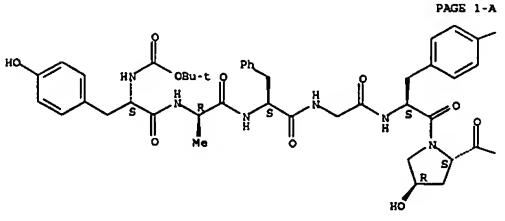
L6 ANSWER 144 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:89862 CAPLUS
DOCUMENT NUMBER: 98:89862
TITLE: Synthesis of dermorphin and Hyp6-dermorphin, two opiate-like peptides from amphibian skin
AUTHOR(S): De Castiglione, Roberto; Faoro, Fiorenzo; Perseo, Giuseppe; Piani, Silvano
CORPORATE SOURCE: Chem. Res. Dep., Farmitalia Carlo Erba, Milan, 20146, Italy
SOURCE: Pept., Proc. Eur. Pept. Symp., 16th (1981), Meeting Date 1980, 441-4. Editor(s): Brunfeldt, K. Scriptor: Copenhagen, Den.
CODEN: 48NWA3
DOCUMENT TYPE: Conference
LANGUAGE: English
GI

H-Tyr-X-Phe-Gly-Tyr-X1-Ser-NH2 I

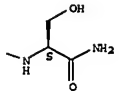
AB Dermorphin (I; X = D-Ala, X1 = Pro), Hyp6-dermorphin (I; X = D-Ala, X1 = Hyp), and L-Ala2-dermorphin (I; X = Ala, X1 = Pro) were prepared by conventional fragment condensations in solution
IT 78331-24-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and deblocking of)
RN 78331-24-5 CAPLUS
CN Dermorphin, N-[(1,1-dimethylethoxy)carbonyl]-6-(trans-4-hydroxy-L-proline)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



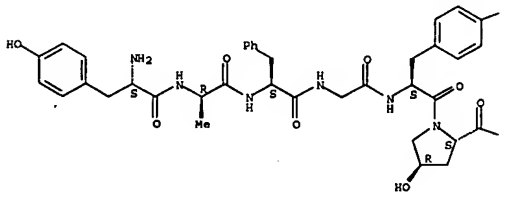
PAGE 1-B



IT 78331-27-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, by fragment condensations)
RN 78331-27-8 CAPLUS
CN Dermorphin, 6-(trans-4-hydroxy-L-proline)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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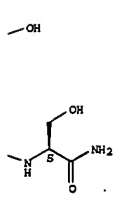
PAGE 1-B



L6 ANSWER 145 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1983:72746 CAPLUS
DOCUMENT NUMBER: 98:72746
TITLE: Biologically active peptides
INVENTOR(S): De Castiglione, Roberto; Faoro, Fiorenzo; Perseo, Giuseppe; Piani, Silvano; Santangelo, Francesco
PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.p.A., Italy
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 120,832, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4350627	A	19820921	US 1980-212586	19801203
ZA 8005789	A	19810930	ZA 1980-5789	19800918
AT 8302936	A	19860115	AT 1983-2936	19830816
AT 381099	B	19860825		
PRIORITY APPLN. INFO.:			GB 1979-32590 A	19790920
			US 1980-120832 A2	19800212
			GB 1980-15412 A	19800509
			AT 1980-4635 A	19800916

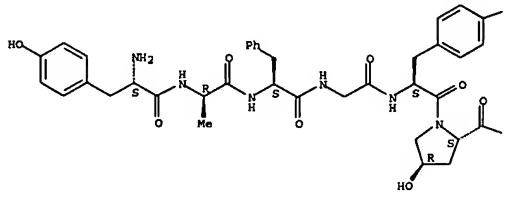
AB Peptides R-Tyr(R1)-X-Phe-X1-X2-R2 [R = H, N-protective group, amino acid or dipeptide moiety; R1 = H, phenolic OH-protective group; X = D-amino acid residue; X1 = Gly, L-amino acid residue, N-Me amino acid residue, di- or tripeptide residue; X2 = bond or amino acid or di- or tripeptide residue; R2 = OH, NH2, OR3, NHR3, NR32 (R3 = C1-7 alkyl, C1-7 cycloalkyl, C1-7 aralkyl), NHNHR4 (R4 = H, alkyl, cycloalkyl, alkenyl, aliphatic or aromatic urethane-type group, amino acid or peptide moiety)] were prepared as analgesics, antipsychotics, and neuroendocrinologicals (no data). Thus, Boc-Pro-OH (Boc = Me3CO2C) was coupled with H-Ser-NH2 by ClCO2Et in THF-DMF to give Boc-Pro-Ser-NH2, which was Boc-deblocked and then coupled with Boc-Tyr(CH2Ph)-OH by DCC/1-hydroxybenzotriazole to give Boc-Tyr(CH2Ph)-Ser-NH2, which was Boc-deblocked by CF3CO2H to give H-Tyr(CH2Ph)-Ser-NH2.CF3CO2H (I). Boc-Phe-OH was coupled with H-Gly-NHNHZ.HCl (Z = CO2CH2Ph) by ClCO2Et in THF-DMF containing N-methylmorpholine to give Boc-Phe-Gly-NHNHZ, which was Boc-deblocked and then coupled with Boc-D-Ala-OH to give Boc-D-Ala-Phe-Gly-NHNHZ, which was Boc-deblocked and then coupled with Boc-Tyr-OH to give Boc-Tyr-D-Ala-Phe-Gly-NHNHR5 (II, R5 = Z), which was Z-deblocked by hydrogenolysis to give II (R5 = H). The latter was coupled with I by the azide method to give Boc-Tyr-D-Ala-Phe-Gly-Tyr(CH2Ph)-Pro-Ser-NH2, which was deblocked by hydrogenolysis and acidolysis with CF3CO2H to give H-Tyr-D-Ala-Phe-Gly-Tyr-Pro-Ser-NH2.CF3CO2H.

IT 77614-17-6P 78331-24-5P 78331-27-8P
78700-88-6P 78700-93-3P 78700-94-4P
78700-95-5P 78717-73-4P 84168-90-1P
84169-08-4P 84169-09-5P 84182-00-3P
84182-02-5P 84182-03-6P 84236-29-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

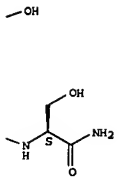
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



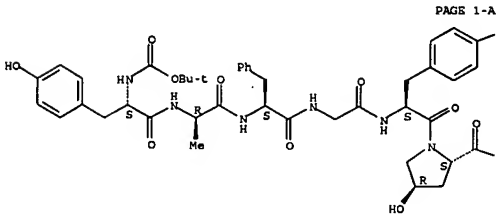
PAGE 1-B



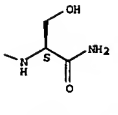
RN 78331-24-5 CAPLUS
CN Dermorphin, N-[(1,1-dimethylethoxy)carbonyl]-6-(trans-4-hydroxy-L-proline)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



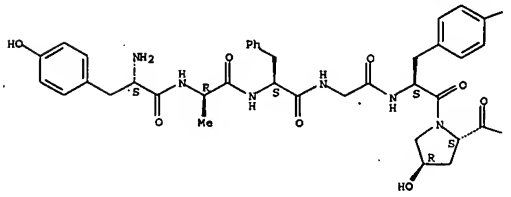
PAGE 1-B



RN 78331-27-8 CAPLUS
CN Dermorphin, 6-(trans-4-hydroxy-L-proline)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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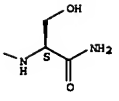
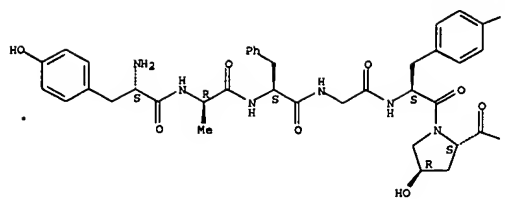
PAGE 1-B



RN 78700-88-6 CAPLUS
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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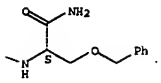
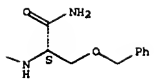
PAGE 1-B



RN 78700-93-3 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

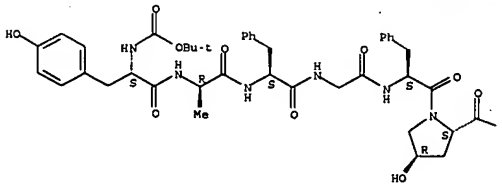
PAGE 1-B



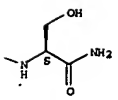
RN 78700-95-5 CAPLUS
CN Dermorphin, N-[(1,1-dimethylethoxy)carbonyl]-5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



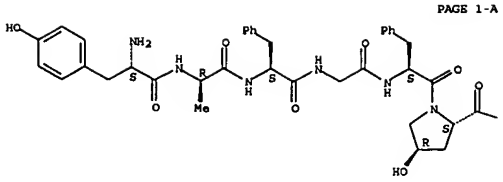
PAGE 1-B



RN 78717-73-4 CAPLUS
CN L-Serinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-D-alanyl-L-phenylalanylglycyl-L-phenylalanyl-trans-4-hydroxy-L-prolyl-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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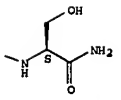
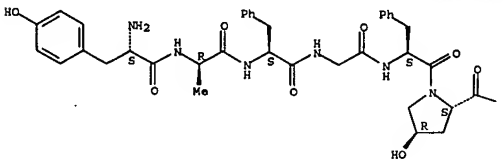
PAGE 1-B



RN 78700-94-4 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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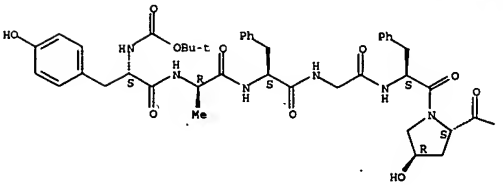
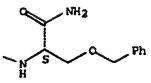
PAGE 1-A



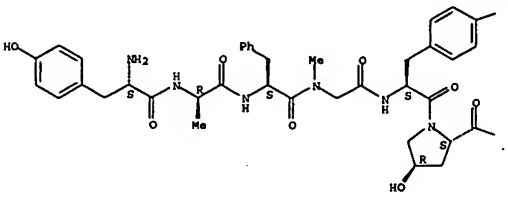
PAGE 1-B



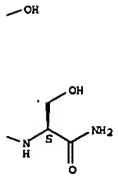
RN 84168-90-1 CAPLUS
CN Dermorphin, 4-(N-methylglycine)-6-[(4R)-4-hydroxy-L-proline)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



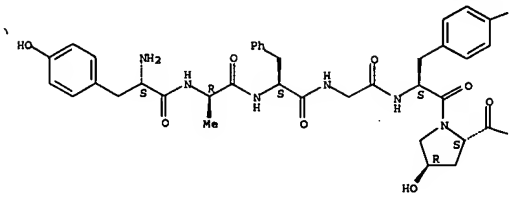
PAGE 1-B



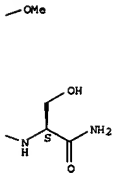
RN 84169-08-4 CAPLUS
CN Dermorphin, 5-(O-methyl-L-tyrosine)-6-(trans-4-hydroxy-L-proline)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

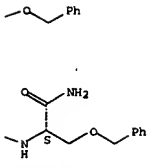


PAGE 1-B



RN 84169-09-5 CAPLUS
CN L-Serinamide, L-tyrosyl-D-alanyl-L-phenylalanyl-O-(phenylmethyl)-L-tyrosyl-cis-4-hydroxy-L-prolyl-O-(phenylmethyl)-(9CI) (CA INDEX NAME)

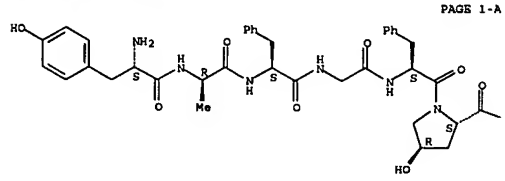
PAGE 1-B



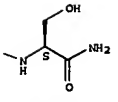
RN 84182-02-5 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

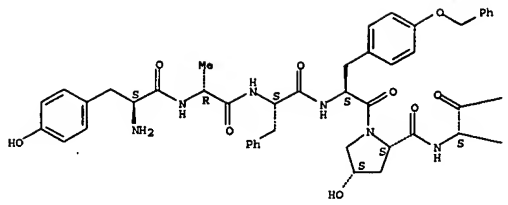


RN 84182-03-6 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-7-(O-(phenylmethyl)-L-serinamide)-(9CI) (CA INDEX NAME)

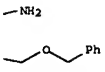
Absolute stereochemistry.

Absolute stereochemistry.

PAGE 1-A



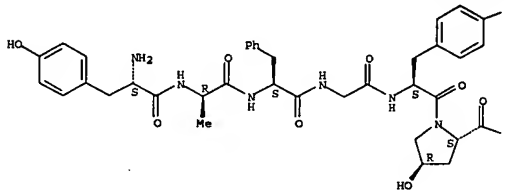
PAGE 1-B



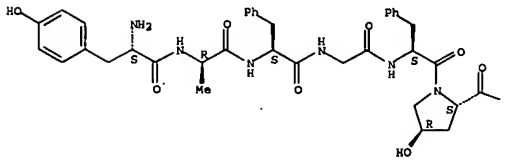
RN 84182-00-3 CAPLUS
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

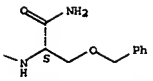
PAGE 1-A



PAGE 1-A



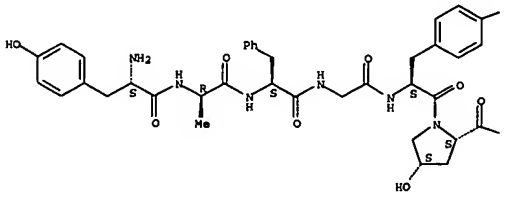
PAGE 1-B



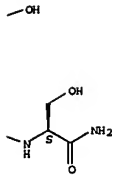
RN 84236-29-3 CAPLUS
CN Dermorphin, 6-(cis-4-hydroxy-L-proline)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



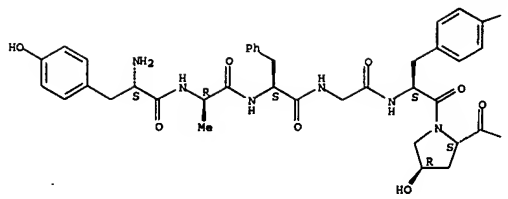
PAGE 1-B



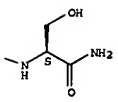
L6 ANSWER 146 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:593269 CAPLUS
DOCUMENT NUMBER: 97:193269
TITLE: Dermorphin and ceruletide, prototypes of two families of analgesic peptides
AUTHOR(S): De Castiglione, R.
CORPORATE SOURCE: Ric. Sviluppo Chim., Farmitalia-Carlo Erba, Milan, Italy
SOURCE: Farmaco, Edizione Pratica (1982), 37(10), 305-13
CODEN: FRPPAO; ISSN: 0430-0912
DOCUMENT TYPE: Journal
LANGUAGE: English
AB dermorphin [77614-16-5] is a heptapeptide that exerts analgesic activity via opiate receptors, and ceruletide [17650-98-5] is an unrelated sulfated decapeptide whose mechanism of analgesic action is unknown. Dermorphin contains a D-amino acid residue which is apparently essential for its opioid activity, since the L-analog [78331-28-9] is practically inactive. Ceruletide, its unsulfated derivative [20994-83-6], and various analogs were compared for their central and peripheral analgesic effects. Relative activities are also reported for dermorphin and a number of other natural opiate-like peptides.
IT 77614-17-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(analgesic activity of, dermorphin in relation to)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



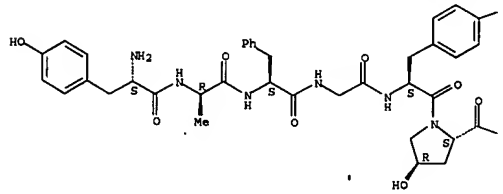
PAGE 1-B



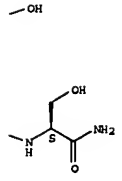
L6 ANSWER 147 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:488032 CAPLUS
DOCUMENT NUMBER: 97:88032
TITLE: The separation of natural active peptides from amphibian skins by reverse phase high-pressure liquid chromatography
AUTHOR(S): Gozzini, Luigia; Montecucchi, Pier Carlo
CORPORATE SOURCE: Chem. Res. Dep., Carlo Erba S.p.A, Milan, 20146, Italy
SOURCE: High Perform. Liq. Chromatogr. Protein Pept. Chem., Proc. Int. Symp. (1981), 349-64. Editor(s): Lottspeich, Friedrich; Henschen, Agnes; Hupe, Klaus-Peter. de Gruyter: Berlin, Fed. Rep. Ger.
CODEN: 48BDAM
DOCUMENT TYPE: Conference
LANGUAGE: English
AB The separation of dermorphins and other peptides from amphibian skins is described by using reversed-phase high-pressure liquid chromatog. with isocratic elution and UV detection. The system employed a μBondapak C18 or Nucleosil 10 C8 column. The isocratic elution profile of dermorphins from skins of Phyllomedusa sauvagei and P. rhodei is presented, as well as elution profiles of tryptophan-containing peptides from P. rhodei skin exts. and an opiate-like activity from P. burmeisteri skin exts.
IT 77614-17-6
RL: ANT (Analyte); ANST (Analytical study)
(chromatog. of, reversed-phase high-pressure liquid, of amphibian skins)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



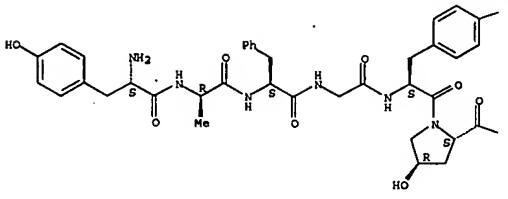
PAGE 1-B



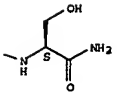
L6 ANSWER 148 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:174989 CAPLUS
DOCUMENT NUMBER: 96:174989
TITLE: The brain-gut-skin triangle: new peptides
AUTHOR(S): Erspamer, Vittorio; Melchiorri, Pietro; Broccardo, Maria; Erspamer, Giuliana Falconieri; Falaschi, Paolo; Improta, Giovanna; Negri, Lucia; Renda, Tindaro
CORPORATE SOURCE: Inst. Med. Pharmacol., Univ. Rome, Rome, 00100, Italy
SOURCE: Peptides (New York, NY, United States) (1982), Volume Date 1981, 2(Suppl. 2, Brain-Gut Axis: New Front.), 7-16
CODEN: PPTDD5; ISSN: 0196-9781
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Tachykinins and bombesins are discussed and the biol. effects of the novel amphibian skin peptides sauvagine [74434-59-6], and dermorphin [77614-16-5] are illustrated. The potent stimulant effect of sauvagine on ACTH [9002-60-2] and β-endorphin [60617-12-1] release was confirmed both in vivo and on columns of isolated and dispersed rat pituitary cells, as was the potent inhibitory effect on prolactin (PRL) [9002-62-4] and growth hormone [9002-72-6] release, both in the rat and man. Emphasis is laid on the occurrence of sauvagine-like immunoreactivity in fish urophysis and in amphibian nervous structures, including the retina. The long-searched corticotropin releasing factor and PRL release-inhibiting factor may be a sauvagine-like peptide. Dermorphin intracerebroventricular injection caused not only analgesia and catalepsy but also conspicuous EEG and behavioral changes in the rabbit and chick, as well as a sharp reduction in gastric emptying time and gastric acid output in the rat, together with marked stimulation of PRL release.
IT 77614-17-6
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(analgesic action of)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L6 ANSWER 149 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:85962 CAPLUS
DOCUMENT NUMBER: 96:85962
TITLE: Synthetic peptides related to the dermorphins. I. Synthesis and biological activities of the shorter homologs and of analogs of the heptapeptides
AUTHOR(S): De Castiglione, R.; Faoro, F.; Perseo, G.; Piani, S.; Santangelo, F.; Melchiorri, P.; Falconieri Erspamer,

G.; Erspamer, V.; Guglietta, A.
CORPORATE SOURCE: Ricerca Sviluppo Chim., Farmitalia Carlo Erba S.p.A., Milan, Italy
SOURCE: Peptides (New York, NY, United States) (1981), 2(3), 265-9
CODEN: PPTDD5; ISSN: 0196-9781
DOCUMENT TYPE: Journal
LANGUAGE: English

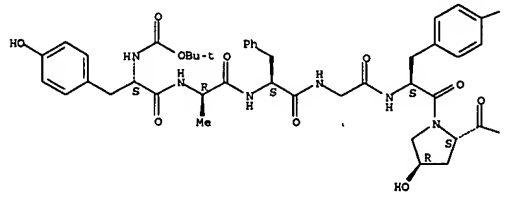
AB Dermorphin (H-Tyr-D-Ala-Phe-Gly-Tyr-Pro-Ser-NH2),36 heptapeptide analogs, and shorter homologs H-Tyr-D-Ala-Phe-Gly-Tyr-Pro-NH2, H-Tyr-D-Ala-Phe-Gly-Tyr-NH2, H-Tyr-D-Ala-Phe-OH, and H-Tyr-Pro-Ser-NH2 were prepared by solution or solid-phase methods. Peripheral opioid, central analgesic, and cataleptic activities of these peptides were determined, and structure-activity relationships were discussed.

IT 78331-24-5P 78331-27-8P 78700-88-6P
78700-93-3P 78700-94-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and analgesic and cataleptic and opioid activity of)

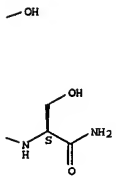
RN 78331-24-5 CAPLUS
CN Dermorphin, N-[(1,1-dimethylethoxy)carbonyl]-6-(trans-4-hydroxy-L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

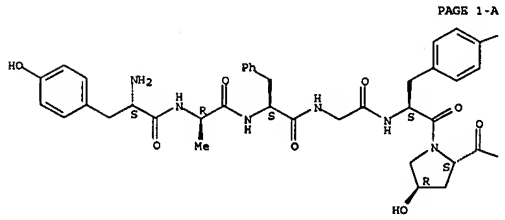


PAGE 1-B



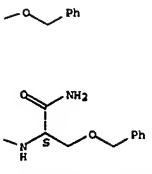
RN 78331-27-8 CAPLUS
CN Dermorphin, 6-(trans-4-hydroxy-L-proline)-, monohydrochloride (9CI) (CA INDEX NAME)

PAGE 1-A



● HCl

PAGE 1-B

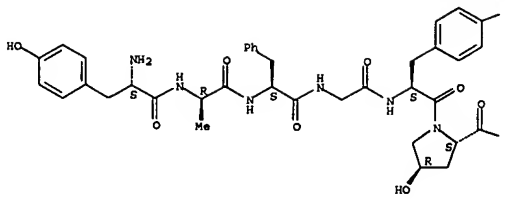


RN 78700-93-3 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

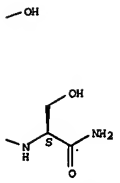
Absolute stereochemistry.

PAGE 1-A



● HCl

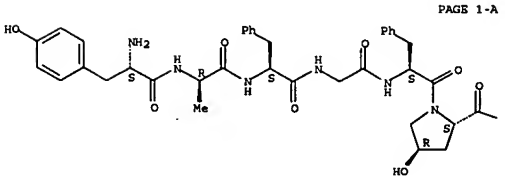
PAGE 1-B



RN 78700-88-6 CAPLUS
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-, monohydrochloride (9CI) (CA INDEX NAME)

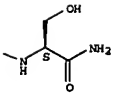
Absolute stereochemistry.

PAGE 1-A



● HCl

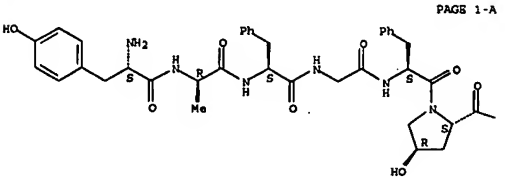
PAGE 1-B



RN 78700-94-4 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-, monohydrochloride (9CI) (CA INDEX NAME)

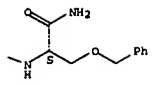
Absolute stereochemistry.

PAGE 1-A



● HCl

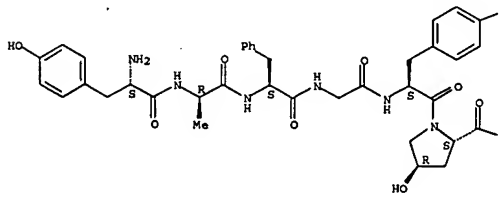
PAGE 1-B



IT 80852-27-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and analgesic and opioid activity of)
RN 80852-27-3 CAPLUS
CN Dermorphin, 6-(trans-4-hydroxy-L-proline)-7-L-serine-,monohydrochloride (9CI) (CA INDEX NAME)

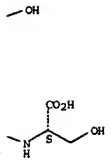
Absolute stereochemistry.

PAGE 1-A

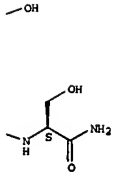


● HCl

PAGE 1-B



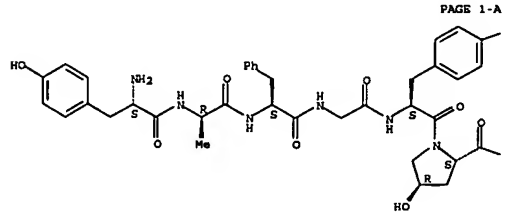
PAGE 1-B



L6 ANSWER 151 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:16815 CAPLUS
DOCUMENT NUMBER: 96:16815
TITLE: Reversed-phase high-performance liquid chromatography of dermorphins,.opiate-like peptides from amphibian skins
AUTHOR(S): Gozzini, Luigia; Montecucchi, Pier Carlo
CORPORATE SOURCE: Farmitalia Carlo Erba S.p.A., Milan, 20146, Italy
SOURCE: Journal of Chromatography (1981), 216, 355-60
CODEN: JOCRAM; ISSN: 0021-9673
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Reversed-phase high-performance liquid chromatog. with isocratic elution was used for separating dermorphins from the skin of the South American frogs Phyllomedusa sauvagei and P. rhodei. The chromatog. system employed a μBondapak C18 column or a Nucleosil 10 C8 column and a UV detector. The elution profile was in accordance with decreasing polarity from deamidated Hyp6-dermorphin to dermorphin. The system allows the separation of very closely related peptides as well as of stereoisomers. The MeOH-MeCN-NH4OAc system (16:20:64) optimized separation of the dermorphins.
IT 77614-17-6 80213-69-0
RL: ANT (Analyte); ANST (Analytical study)
(chromatog. of, high-performance reversed-phase, with isocratic elution)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

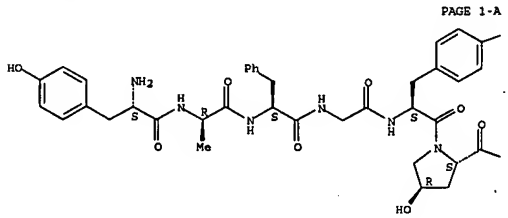
PAGE 1-A



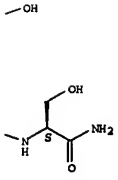
L6 ANSWER 150 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1982:63451 CAPLUS
DOCUMENT NUMBER: 96:63451
TITLE: Dermorphins, opioid peptides from amphibian skin, act on opioid receptors of mouse neuroblastoma × rat glioma hybrid cells
AUTHOR(S): Glaser, Thomas; Huebner, Karin; De Castiglione, Roberto; Hamprecht, Bernd
CORPORATE SOURCE: Physiologisch-Chem. Inst., Univ. Wuerzburg, Wuerzburg, Fed. Rep. Ger.
SOURCE: Journal of Neurochemistry (1981), 37(6), 1613-17
CODEN: JONRA9; ISSN: 0022-3042
DOCUMENT TYPE: Journal
LANGUAGE: English
AB dermorphin [77614-16-5] And its Hyp6 analog [77614-17-6] were assayed for their capacity to compete with 3H-labeled leucine-enkephalin [58822-25-6] for binding to opioid receptors in membranes of neuroblastoma × glioma hybrid cells. In the presence of 7 nM [3H]leucine-enkephalin, the concns. at which they caused 50% inhibition of [3H]enkephalin binding (IC50 values) are 0.1 μM and 0.3 μM, resp. In contrast, the synthetic L-alanine2-dermorphin [78331-28-9] shows very low affinity for the opioid receptors. In addition, like other opioid peptides, dermorphin and Hyp6-dermorphin inhibit the elevation by PGE1 [745-65-3] of the level of cyclic AMP [60-92-4] (IC50 values 0.2 μM and 0.4 μM, resp.). The inhibition is prevented by the opiate antagonist naloxone. L-Alanine2-dermorphin is at least 3 orders of magnitude less potent in inhibiting the PGE1-evoked increase in the level of cyclic AMP. Evidently, peptides with an amino acid sequence quite different from that of enkephalins can bind to opioid receptors of the hybrid cells.
IT 77614-17-6
RL: PROC (Process)
(opioid receptor binding of, in glioma-neuroblastoma hybrid cells)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



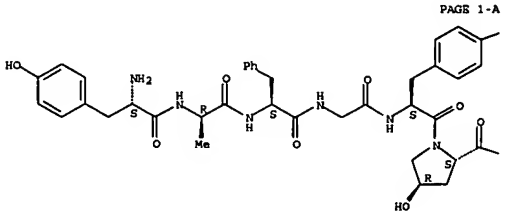
PAGE 1-B



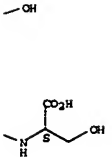
RN 80213-69-0 CAPLUS
CN Dermorphin, 6-(trans-4-hydroxy-L-proline)-7-L-serine-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B



L6 ANSWER 152 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1981:533363 CAPLUS
DOCUMENT NUMBER: 95:133363
TITLE: Biologically active peptides and their pharmaceutically acceptable salts
INVENTOR(S): De Castiglione, Roberto; Faoro, Fiorenzo; Perseo, Giuseppe; Piani, Silvano; Santangelo, Francesco
PATENT ASSIGNEE(S): Farmitalia Carlo Erba S.p.A., Italy
SOURCE: Ger. Offen., 37 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 3034897	A1	19810409	DE 1980-3034897	19800916
NL 8005121	A	19810324	NL 1980-5121	19800911
FI 8002876	A	19810321	FI 1980-2876	19800915
FI 73699	B	19870731		
FI 73699	C	19871109		
AU 8062403	A	19810326	AU 1980-62403	19800915
AU 535769	B2	19840405		
IL 61037	A	19840229	IL 1980-61037	19800915
FR 2465713	A1	19810327	FR 1980-19916	19800916
FR 2465713	B1	19840831		
AT 8004635	A	19860115	AT 1980-4635	19800916
AT 381100	B	19860825		
DK 8003942	A	19810321	DK 1980-3942	19800917
DK 149754	B	19860922		
DK 149754	C	19870323		
GB 2070618	A	19810909	GB 1980-29999	19800917
GB 2070618	B	19830602		
CA 1156221	A1	19831101	CA 1980-360511	19800917
BE 885283	A1	19810318	BE 1980-202142	19800918
JP 56068651	A	19810609	JP 1980-130567	19800918
ZA 8005789	A	19810930	ZA 1980-5789	19800918
SE 8006596	A	19810321	SE 1980-6596	19800919
SE 448879	B	19870323		
SE 448879	C	19870702		
HU 29081	A2	19840130	HU 1980-2306	19800919
HU 186749	B	19850930		
CH 645342	A5	19840928	CH 1980-7065	19800919
SU 1315656	A3	19870607	SU 1980-2983143	19800919
AT 8302936	A	19860115	AT 1983-2936	19830816
AT 381099	B	19860825		

PRIORITY APPLN. INFO.:

GB 1979-32590	A	19790920
GB 1980-15412	A	19800509
AT 1980-4635	A	19800916

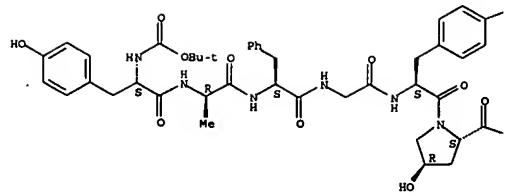
AB R-Tyr(R1)-X-Phe-X1-X2-R2 (R, R1 = H, protective group; R2 = OH, NH2; X = D-amino acid residue; X1 = neutral amino acid residue, N-methyl amino acid residue; X2 = bond, L-amino acid, D-amino acid, or peptide residues) were prepared as analgesics, tranquilizers, or stimulators for the the release of growth hormone or prolactin (no data). Thus, H-Tyr-D-Ala-Phe-Gly-Tyr-Pro-Ser-NH2.CF3CO2H was prepared by stepwise synthesis by the solution method.

IT 78331-24-5P 78331-27-8P 78700-88-6P
78700-93-3P 78700-94-4P 78700-95-5P
78717-73-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

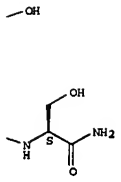
RN 78331-24-5 CAPLUS
CN Dermorphin, N-[(1,1-dimethylethoxy)carbonyl]-6-(trans-4-hydroxy-L-proline)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



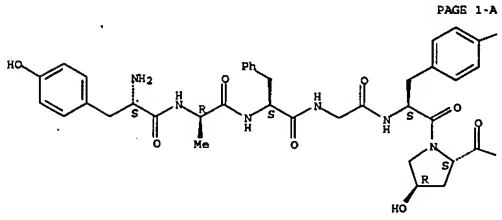
PAGE 1-B



RN 78331-27-8 CAPLUS
CN Dermorphin, 6-(trans-4-hydroxy-L-proline)-, monohydrochloride (9CI) (CA INDEX NAME)

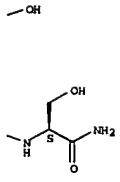
Absolute stereochemistry.

PAGE 1-A



● HCl

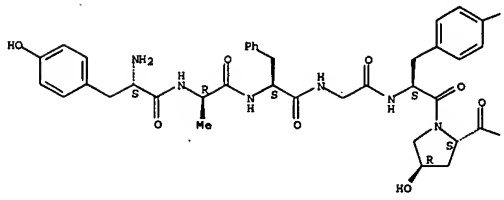
PAGE 1-B



RN 78700-88-6 CAPLUS
CN Dermorphin, 5-[O-(phenylmethyl)-L-tyrosine]-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-, monohydrochloride (9CI) (CA INDEX NAME)

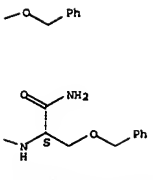
Absolute stereochemistry.

PAGE 1-A



● HCl

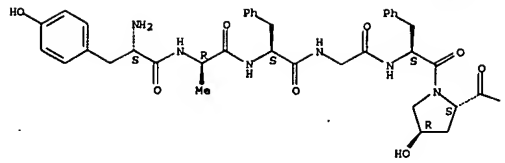
PAGE 1-B



RN 78700-93-3 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-, monohydrochloride (9CI) (CA INDEX NAME)

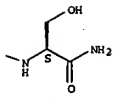
Absolute stereochemistry.

PAGE 1-A



● HCl

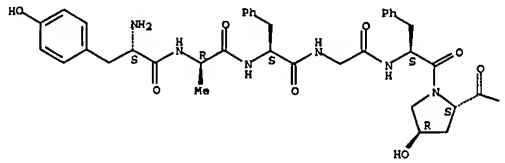
PAGE 1-B



RN 78700-94-4 CAPLUS
CN Dermorphin, 5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)-7-[O-(phenylmethyl)-L-serinamide]-, monohydrochloride (9CI) (CA INDEX NAME)

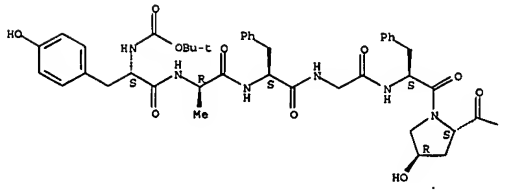
Absolute stereochemistry.

PAGE 1-A

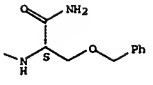


● HCl

PAGE 1-A



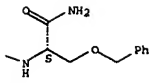
PAGE 1-B



L6 ANSWER 153 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:443634 CAPLUS
DOCUMENT NUMBER: 95:43634
TITLE: Synthesis of dermorphins, a new class of opiate-like peptides
AUTHOR(S): De Castiglione, Roberto; Faoro, Fiorenzo; Perseo, Giuseppe; Piani, Silvano
CORPORATE SOURCE: Farmitalia Carlo Erba, Milan, 20146, Italy
SOURCE: International Journal of Peptide & Protein Research (1981), 17(2), 263-72
CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Dermorphin, H-Tyr-X-Phe-Gly-Tyr-X1-Ser-NH2 (I; X = D-Ala, X1 = Pro), and Hyp6-dermorphin (I; X = D-Ala, X1 = Hyp), which are opiate-like peptides from amphibian skin, and L-Ala2-dermorphin (I; X = Ala, X1 = Pro) were prepared by fragment condensations in solution
IT 78331-24-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preperation and deblocking of)
RN 78331-24-5 CAPLUS
CN Dermorphin, N-[(1,1-dimethylethoxy)carbonyl]-6-(trans-4-hydroxy-L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

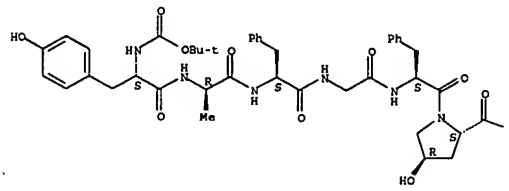
PAGE 1-B



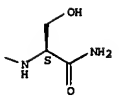
RN 78700-95-5 CAPLUS
CN Dermorphin, N-[(1,1-dimethylethoxy)carbonyl]-5-L-phenylalanine-6-(trans-4-hydroxy-L-proline)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



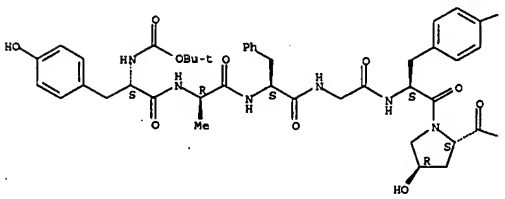
PAGE 1-B



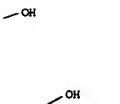
RN 78717-73-4 CAPLUS
CN L-Serinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-tyrosyl-D-alanyl-L-phenylalanylglycyl-L-phenylalanyl-trans-4-hydroxy-L-prolyl-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



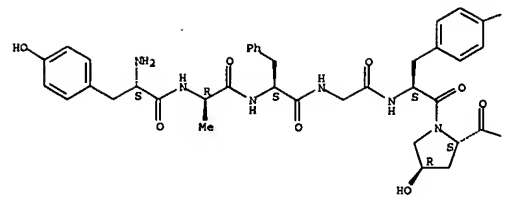
PAGE 1-B



IT 78331-27-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 78331-27-8 CAPLUS
CN Dermorphin, 6-(trans-4-hydroxy-L-proline)-, monohydrochloride (9CI) (CA INDEX NAME)

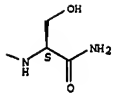
Absolute stereochemistry.

PAGE 1-A



● HCl

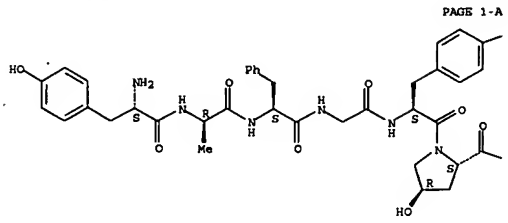
PAGE 1-B



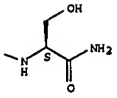
L6 ANSWER 154 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1981:188891 CAPLUS
DOCUMENT NUMBER: 94:188891
TITLE: Identification of dermorphin and Hyp6-dermorphin in skin extracts of the Brazilian frog Phyllomedusa rhodei
AUTHOR(S): Montecucchi, Pier Carlo; De Castiglione, Roberto; Erspamer, Vittorio
CORPORATE SOURCE: Chem. Res. Dev., Farmitalia-Carlo Erba, S.p.A., Milan, Italy
SOURCE: International Journal of Peptide & Protein Research (1981), 17(3), 316-21
CODEN: IJPPC3; ISSN: 0367-8377
DOCUMENT TYPE: Journal
LANGUAGE: English
AB MeOH exts. of the skin of P. rhodei contain approx. equal amts. of demorphin and its analog Hyp6-dermorphin, 2 opiate-like heptapeptides. A unique feature of their sequence is the presence of a D-amino acid residue at position 2. Hyp6-dermorphin possesses a spectrum of central and peripheral bioactivity very similar to that of dermorphin.
IT 77614-17-6
RL: BIOL (Biological study)
(of skin, of frog, amino acid sequence of)
RN 77614-17-6 CAPLUS
CN Dermorphin, 6-[(4R)-4-hydroxy-L-proline]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A

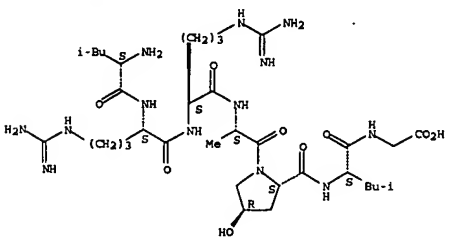


PAGE 1-B



L6 ANSWER 155 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1979:536176 CAPLUS
DOCUMENT NUMBER: 91:136176
TITLE: Phosphorylation of hydroxyproline in a synthetic peptide catalyzed by cyclic AMP-dependent protein kinase
AUTHOR(S): Feramisco, James R.; Kemp, Bruce E.; Krebs, Edwin G.
CORPORATE SOURCE: Sch. Med., Univ. California, Davis, CA, 95616, USA
SOURCE: Journal of Biological Chemistry (1979), 254(15), 6987-90
CODEN: JBCHA3; ISSN: 0021-9258
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Cyclic AMP-dependent protein kinase catalyzed the phosphorylation of hydroxyproline present in the heptapeptide, Leu-Arg-Arg-Ala-Hyp-Leu-Gly. The Km value for the reaction with this substrate was high (.apprx.18 mM) compared to the Km values reported for the analogous threonine and serine-containing peptides, which were 0.59 mM and 0.016 mM, resp. The Vmax with the hydroxyproline-containing peptide was 1 μmol min-1 mg-1 in contrast to Vmax values of 6 and 20 μmol min-1 mg-1 for the threonine- and serine-containing peptides, resp. Phosphate esterified to hydroxyproline present in the peptide was relatively stable in hot alkali, only 10% being released as inorg. phosphate within 30 min in 0.1N NaOH at 100°, whereas all of the phosphate was released from the phosphoserine peptide analog under these conditions. Phosphohydroxyproline in the peptide was also more stable to acid (5.7N HCl, 110°) than phosphoserine, the time for 50% release as inorg. phosphate being 15 h in contrast to 6 h for the latter.
IT 71552-55-1
RL: BIOL (Biological study)
(phosphorylation of, kinetics of)
RN 71552-55-1 CAPLUS
CN Glycine, N-[N-[trans-4-hydroxy-1-[N-[N2-(N2-L-leucyl-L-arginyl)-L-arginyl]-L-alanyl]-L-prolyl]-L-leucyl]-(9CI) (CA INDEX NAME)

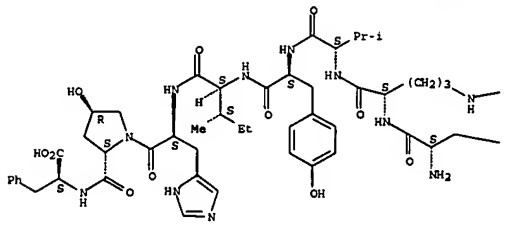
Absolute stereochemistry.



L6 ANSWER 156 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1975:165101 CAPLUS
DOCUMENT NUMBER: 82:165101
TITLE: Angiotensin II and its analogs. Comparative conformational studies
AUTHOR(S): Fermandjian, Serge; Greff, Daniel; Fromageot, Pierre
CORPORATE SOURCE: CEN Saclay, Gif-sur-Yvette, Fr.
SOURCE: Dyn. Aspects Conform. Changes Biol. Macromol., Proc. Annu. Meet. Soc. Chim. Phys., 23rd (1973), Meeting Date 1972, 493-509. Editor(s): Sadron, Charles. Reidel: Dordrecht, Neth.
CODEN: 29SZAM
DOCUMENT TYPE: Conference
LANGUAGE: French
AB The characteristics of angiotensin II were investigated by CD and by NMR. The mol. of angiotensin II had a tendency to fold on itself making a 1st turn at the level of valine in position 3 and of tyrosine in position 4, and a 2nd turn taking place at the histidylprolins linkage. A correlation existed between the conformation of the analogs obtained from 1 amino acid substitution and the associated biol. response. For instance, the loss of 50% of biol. activity for 3-Pro-5-Ile-angiotensin II [19729-16-9] fits very well with the greater rigidity introduced in the 1st turn which leads to a new orientation of the biol. very important tyrosine ring.
IT 10440-00-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(biol. activity of, conformation in relation to)
RN 10440-00-3 CAPLUS
CN Angiotensin II, 5-L-isoleucine-7-(4-hydroxy-L-proline)-(8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

L6 ANSWER 157 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1971:100397 CAPLUS
DOCUMENT NUMBER: 74:100397
TITLE: Components of the green deathcap toadstool, Amanita phalloides. XLII. Peptide syntheses. XLVIII. Synthesis of norphalloin and of a monocyclic compound with an 18-membered ring
AUTHOR(S): Fahrenholz, Falk; Faulstich, Heinz; Wieland, Theodor
CORPORATE SOURCE: Inst. Org. Chem., Univ. Frankfurt, Frankfurt/M., Fed. Rep. Ger.
SOURCE: Justus Liebigs Annalen der Chemie (1971), 743, 83-94
CODEN: JLACBF; ISSN: 0075-4617
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB Crude Boc-Ala-D-Thr-Cys in AcOH of 18° was added to N-chlorosuccinimide in AcOH and subsequently after 2.5 min reaction α-Hyp-Ala-Trp-Nva-OMe trifluoroacetate to give on gel chromatog. separation with Et3N-AcOH as eluent (A)69% β-Hyp-Ala-Trp-Nva(OMe)-(ind-

2)] [Boc-Ala-D-Thr-Ala-β-yl)] sulfide (I). [(Boc = tert-butoxycarbonyl) i-Boc = iaobutoxycarbonyl]. I was cyclized at the unprotected pyrrolidine and CO2H groupa by the anhydride method in 5% yield, the ester group hydrolyzed, the Boc group removed, and the product cyclized by the anhydride method to give 27% norphalloin (II). The chromatog. elution of I with NH4HCO3 solution instead of A led to a carboxamide, which was isolated as ita urethane. Saponification, removal of the Boc group, and peptide synthesis yielded 29% nontoxic cyclo-[[i-Boc-αHyp-Ala-Trp-Nva-(ind-2)] [Ala-D-Thr-Ala(NH2)-β-yl)] sulfide].

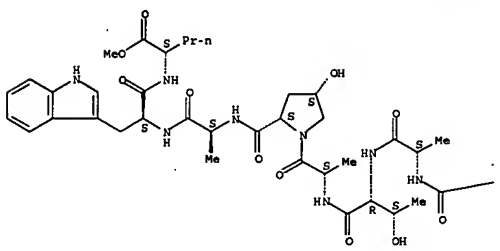
IT 31321-54-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, of Amanita phalloides)

RN 31321-54-7 CAPLUS

CN Norvaline, N-[N-[N-[1-[N-[N-(N-carboxy-L-alanyl)-D-threonyl]-L-alanyl]-4-allo-hydroxy-L-prolyl]-L-alanyl]-L-tryptophyl]-,N-tert-butyl methyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

—OBu-t

L6 ANSWER 158 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1971:19892 CAPLUS

DOCUMENT NUMBER: 74:19892
TITLE: Syntheaia and biological properties of angiotenain II analoga
AUTHOR(S): Bumpus, F. Merlin; Smeby, Robert R.; Khairallah, Philip A.
CORPORATE SOURCE: Res. Div., Cleveland Clin. Found., Cleveland, OH, USA
SOURCE: Peptides: Chem. Biochem., Proc. Amer. Peptide Symp., 1st (1970), Meeting Date 1968, 127-50. Editor(s): Weinstein, Boris. Marcel Dekker, Inc.: New York, N. Y.
CODEN: 17XJA8
DOCUMENT TYPE: Conference
LANGUAGE: English

AB Angiotensin II (Asp-Arg-Val-Tyr-Ile-His-Pro-Phe)analoga with modificationa at the C-terminus were synthesized by the Merrifield solid-phase procedures and purified either by paper electrophoresis (CM-cellulose or Sephadex column, AcOH gradient elution), paper chromtog., or TLC (Sephadex G-25 column, BuOH:AcOH:H2O elution). Yields were between 50-70% calculated on the amount of amino acid on the polymer. The biol. activity of some of the analogs waa reduced to 10.0% for 8-(3-amino, 4-phenyl-butyric acid)-angiotensin II; 0.1% for 8-DL(3-amino-3'-phenyl-isobutyric acid)-angiotensin II; 0.18% for 7-Pipecolic acid-angiotensin II; 0.83% for 6-Ala-angiotensin II; 1.0% for 6-TALa-angiotensin II; 7.5% for 5-Ala-angiotensin II; and 0.95% for 4-(OMe)Tyr-angio-tensin II. The relative position of the carboxyl group and the aromatic group on amino acid number 8 was considered extremely important. The analogs which were subetituted in the 8 position showed different inhibition of norepinephrine uptake.

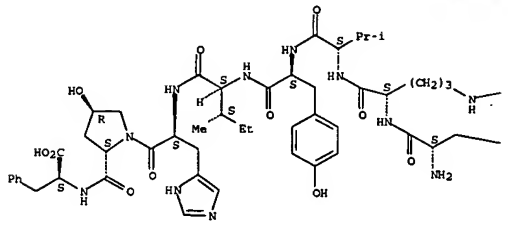
IT 10440-00-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclaaaified); BIOL (Biological atudy)
(biol. activity of)

RN 10440-00-3 CAPLUS

CN Angiotensin II, 5-L-iaoleucine-7-(4-hydroxy-L-proline)-(8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



L6 ANSWER 159 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1969:115554 CAPLUS
DOCUMENT NUMBER: 70:115554
TITLE: Synthesis and pharmacological activity of 9-β-alanine-lysine-vasopressin, 9-deamido-lysine-vasopressin, 7-L-hydroxyproline-lysinevasopressin, and 4-D-glutamine-lysine-vasopressin
AUTHOR(S): Dutta, A. S.; Anand, Nitya; Srimal, R. C.
CORPORATE SOURCE: Div. Med. Chem., Cent. Drug Rea. Inst., Lucknow, India
SOURCE: Indian Journal of Chemistry (1969), 7(1), 3-8
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English

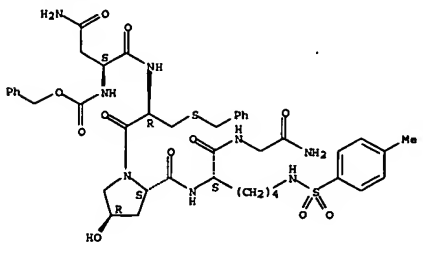
AB 9-(β-Alanine-lysine-vasopressin (I), 9-deamido-lyaine-vasopressin (II), 7-L-hydroxyproline-lyaine-vasopressin, and 4-D-glutamine-lysine-vasopressin were synthesized. Pharmacol. testing showa that none of the samples has significant vasopressor activity; I and II showed antivasopressin activity.

IT 22031-87-4P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 22031-87-4 CAPLUS

CN Glycinamide, N2-carboxy-L-asparaginyl-S-benzyl-L-cysteinyl-4-hydroxy-L-prolyl-N:-(p-tolylsulfonyl)-L-lysyl-, benzyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.



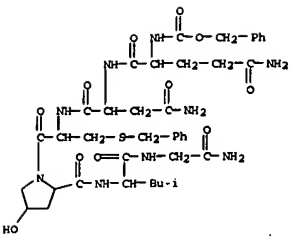
L6 ANSWER 160 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1967:422137 CAPLUS
DOCUMENT NUMBER: 67:22137
TITLE: Synthesis and pharmacological activity of 7-L-hydroxyproline-oxytocin, 9-β-alanine-oxytocin, 9-L-alanine-oxytocin, and 9-deamido-oxytocin
AUTHOR(S): Dutta, A. S.; Anand, Nitya; Kar, Karunamoy
CORPORATE SOURCE: Central Drug Res. Inst., Lucknow, India
SOURCE: Indian Journal of Chemistry (1966), 4(11), 488-92
CODEN: IJOCAP; ISSN: 0019-5103
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The title compds. were prepared as follows. (The analogs were purified by countercurrent distribution using 1000:1000:1 sec-BuOH-H2O-HOAc aa distribution aolvent (Jaquenoud and Boissonnas, CA 53: 21696g). Amino acid analysis of the purified aamplea was carried out as described earlier (CA 65: 3963c) and the amino acids are of L-configuration unless otherwise specified]. Et3N (2 g.) was added to a -5° aolution of 4 g. benzyloxycarbonylhydroxyprolinein 35 ml. CHCl3 and 15 ml. PhMe. The mixture was treated with 2 g. isobutyl chloroformate (I), stirred 1.5 hrs. at -5°, and mixed with a precooled aolution of 3.4 g. Et leucylglycinate in 50 ml. CHCl3. The reaction mixture was kept overnight in a refrigerator to yield 4.5 g. Et benzyloxycarbonylhydroxyprolylleucylglyc inate (II), m. 156° (dilute EtOH), [α]20D -69° (c 2, EtOH). NH3 was passed 2 hrs. at 0° through a solution of 4 g. II in 80 ml. MeOH, the mixture left overnight, solvent removed and the residua washed with EtOAc to yield 3.4 g. benzyloxycarbonylhydroxyprolylleucylglyc inamide (III), m. 176°, [α]20D -60° (c 2, MeOH). III (3 g.) was treated 30 min. at 20° with 12 ml. 2N HBr-HOAc. Ether was added to the aolution precipitating HBr aalts which were dissolved in 15 ml. HCONMe2 (DMF) and after treatment with Et3N (IV) condensed with 3.3 g. p-nitrophenyl N-benzyloxycarbonyl-S-benzylcyateinate(V). The mixture waa kept 48 hrs. to yield 3.8 g. N-benzyloxycarbonyl-S-benzylcyateinylhydroxyprolylleucylglycinamide(VI), m. 174° (EtOAc-petroleum ether), [α]20D -50° (c 2, DMF). Condensation of S-benzylcysteinylhydroxyprolylleucylglycinamide(obtained by HBr-HOAc treatment of 3 g. VI) with 1.9 g. p-nitrophenyl benzyloxycarbonylasparaginate(VII) in 50 ml. EtOAc after 2 days yielded 3 g. benzyloxycarbonylasparaginyl-S-benzylcysteinylhydroxyprolylleucylglycin amide (VIII), m. 198°, [α]31D -45° (c 1, DMF). IV (2.1 ml.) and 1.4 g. p-nitrophenyl benzyloxycarbonylglutaminate(IX) was added to a aolution of the HBr salt of VIII (obtained by treatment of 2.5 g. VIII with 45 ml. 2N HBr-HOAc). The aolution was stirred overnight at room temperature to yield 2.8 g. benzyloxycarbonylglutaminylasparaginyl-S-benzylcyateinylhydroxyprolylleucylglycinamide(X), m. 231°, [α]31D -40° (c 1, DMF). HCl (4N 1.5 ml.) and 0.2 ml. 5M NaNO2 was added at -5° to a cooled aolution of 0.65 g. N-benzyloxycarbonyl-S-benzylcyateinyltyrosylisoleucylhydrazida (XI) in 10 ml. DMF. The mixture waa atirred 5 min. at -5° and 0.8 ml. IV in 30 ml. EtOAc added. Separated IV.HCl waa filtered off, the filtrate dried (Na2SO4) and condensed with L-glutaminylasparagiyl-S-benzylcyateinylhydroxyprolylleucylglycinamide(prepared by HBr-HOAc treatment of X) to yield 0.53 g. N-benzyloxycarbonyl-S-benzylcyateinyltyrosyliaoleucylglutaminylaaparaginyl-S-benzylcyateinylhydroxyprolyllecucylglycinamide(XII), m. 238°, [α]31D -40° (c 1, DMF). Na waa added in amall portions to 0.4 g. XII in 125 ml. liquid NH3 until a blue color persisted for 15 min. The mixture was treated with NH4Cl until a clear colorleaa aolution waa obtained. NH3 waa removed in vacuo, rasidue diaaolved in 250 ml. H2O, pH adjuated to 6.5, and CO2 paaaed 4 hrs. through the aolution until the Na nitroprusaide teat waa neg. The aolution waa freeze-dried and the crude 7-hydroxyproline-oxytocin (XIII) purified by countercurrent diatribution

(450 transfers). I (4.1 g.) was added to a solution of 8 g. benzyloxycarbonylleucine and 4.3 ml. IV in 40 ml. CHCl3 and 40 ml. PhMe at -5°. After 1.5 hrs., a precooled solution of 4.2 g. Me alaninate hydrochloride and 4.3 g. IV in 70 ml. CHCl3 was added and the mixture left overnight in a refrigerator to yield 7 g. Me benzyloxycarbonylleucylalanin ate (XIV), m. 101° (dilute EtOH), [α]20D -38° (c 1, EtOH). Treatment of 5 g. XIV with HBr-HOAc and condensation with 5.6 g. p-nitrophenyl benzyloxycarbonylprolinate (as for VI) yielded 5 g. Me benzyloxycarbonylprolylleucylalaninate (XV), m. 147-8° (EtOAc-petroleum ether), [α]20D -72° (c 2, EtOH). XV was converted into the corresponding amide (XVI), m. 215°, [α]20D -78° (c 1, EtOH), as for II. Treatment of the HBr salt of XVI (from 3 g. XVI, prepared in the usual way) in 30 ml. EtOAc with 2 ml. IV and 3.5 g. V yielded 3 g. N-benzyloxycarbonyl-S-benzylcysteinylprolylleucylala ninamide (XVII), m. 147° (EtOAc-petroleum ether), [α]21D -35° (c 1, DMF). Condensation of the HBr salt of XVII (from 3 g. XVII) in 15 ml. DMF and 2 ml. IV with 1.8 g. VIII yielded 2.5 g. benzyloxycarbonylasparaginyl-S-benzylcysteinylprolylleucylalaninamide (XVIII), m. 206°, [α]20D -25° (c 1, DMF). Removal of the benzyloxycarbonyl group from XVIII (as in VIII) and condensation with IX yielded 81% benzyloxycarbonylglutaminylasparaginyl-S-benzylcysteinylprolylleucylalaninamide (XIX), m. 236°, [α]20D -40° (c 1, DMF). Treatment of XIX with HBr-HOAc and condensation with XI (as for XII) yielded 35% N-benzyloxycarbonyl-S-benzylcysteinyltyrosylisoleucylglutaminylasparaginyl- S - benzylcysteinylprolylleucylalaninamide, m. 249-50°, [α]20D -50° (c 1, DMF), which on treatment with Na-NH3 and subsequent oxidation by air (as for XIII) yielded 9-L-alanine-oxytocin (XX). Similarly, p-nitrobenzyl glycinate was condensed with p-nitrophenyl benzyloxycarbonylleucinate to yield 84% p-nitrobenzyl benzyloxycarbonylleucylglycinate, m. 94° (EtOAc-petroleum ether), [α]31D -20° (c 5, EtOH), which on HBr-HOAc treatment and condensation with p-nitrophenyl benzyloxycarbonylprolinate yielded 83% p-nitrobenzyl benzyloxycarbonylprolylleucylglycinate (XXI), m. 126°, [α]31D -55° (c 2, DMF). Removal of the benzyloxycarbonyl protecting group of XXI and condensation with V yielded 75% p-nitrobenzyl N-benzyloxycarbonyl-S-benzylcysteinylprolylleucylglycin ate (XXII), [α]31D -40° (c 1, DMF), which could not be crystallized. XXII was treated with HBr-HOAc and then with VIII to yield 87% p-nitrobenzyl benzyloxycarbonylasparaginyl-S-benzylcysteinylprolylleucylgly cinate (XXIII), m. 169°, [α]31D -45° (c) 1, DMF). Removal of the benzyloxycarbonyl group from XXIII and condensation with IX gave 78% p-nitrobenzyl benzyloxycarbonylglutaminylasparaginyl-S-benzylcysteinylprolylleucylglycinate (XXIV), m. 218°, [α]31D -50° (c 1, DMF). After removal of the benzyloxycarbonyl group, XXIV was treated with XI to give 43% p-nitrobenzyl N-benzyloxycarbonyl - S - benzylcysteinyltyrosylisoleucylglutaminylasparaginyl-S-benzylcysteinylprolylleucylglycinate (XXV), m. 247°, [α]30D -48° (c 1, DMF). XXV was converted into 9-deamido-oxytocin (XXVI) by Na-NH3 reduction and oxidation by air. The synthetic analogs were tested for their biological activity as described earlier (loc. cit.). Oxytocic and vasodepressor activities of XXVI, XX, and 9-β-alanine-oxytocin (XXVII) were very low whereas XIII was inactive in these tests. Cumulative dose response studies showed that XX and XXVII had intrinsic activity similar to that of oxytocin, while XXVI had greatly reduced intrinsic activity.

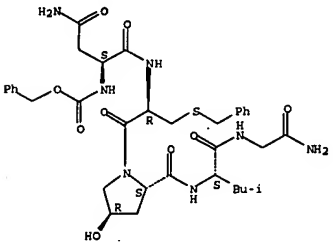
IT 14902-42-2P 15011-39-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 14902-42-2 CAPLUS
CN Glycinamide, N2-carboxy-L-glutaminyl-L-asparaginyl-S-benzyl-L-cysteinyl-4-hydroxy-L-prolyl-L-leucyl-, benzyl ester (8CI) (CA INDEX NAME)



RN 15011-39-9 CAPLUS
CN Glycinamide, N2-carboxy-L-asparaginyl-S-benzyl-L-cysteinyl-4-hydroxy-L-prolyl-L-leucyl-, benzyl ester (8CI) (CA INDEX NAME)

Absolute stereochemistry.



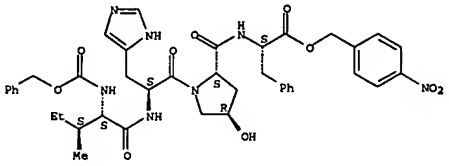
L6 ANSWER 161 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1966:405138 CAPLUS
DOCUMENT NUMBER: 65:5138
ORIGINAL REFERENCE NO.: 65:980g-h
TITLE: Synthesis of 5-isoleucine-8-tyrosine angiotensin II and 5-isoleucine-7-hydroxyproline angiotensin II
AUTHOR(S): Sivanandaiah, K. M.; Smeby, Robert R.; Bumpus, F. Merlin
CORPORATE SOURCE: Res. Div., Cleveland Clin. Found, Cleveland, OH, USA
SOURCE: Biochemistry (1966), 5(4), 1224-9
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 5-Isoleucine-8-tyrosine angiotensin II and 5-isoleucine-7-hydroxyproline angiotensin II were synthesized by azide condensation of 3 dipeptides to give the protected C-terminal hexapeptides. The octapeptides were obtained by successive mixed anhydride condensations of the final 2 amino acids. These peptides were homogenous by paper chromatog., gave correct amino acid composition, and C, H, and N anal. 5-Isoleucine-8-tyrosine angiotensin II possesses 83% and 5-isoleucine-7-hydroxyproline angiotensin II 7% of the pressor activity of 5-isoleucine angiotensin II.

IT 10439-79-9P, Alanine, N-[1-[N-(N-carboxy-L-isoleucyl)-L-histidyl]-4-hydroxy-L-prolyl]-3-phenyl-, N-benzyl p-nitrobenzyl ester, L- 10439-80-2P, Alanine, N-[4-hydroxy-1-(N-L-isoleucyl-L-histidyl)-L-prolyl]-3-phenyl-, p-nitrobenzyl ester, dihydrobromide, L- 13222-01-0P, Alanine, N-[1-[N-[N-[N-(N2-L-α-aspartyl-L-arginyl)-L-valyl]-L-tyrosyl]-L-isoleucyl]-L-histidyl]-4-hydroxy-L-prolyl]-3-phenyl-, acetate (salt), L- 886746-14-1P, Alanine, N-[1-[N-[N-[N-[N-(N2-L-α-aspartyl-L-arginyl)-L-valyl]-L-tyrosyl]-L-isoleucyl]-L-histidyl]-4-hydroxy-L-prolyl]-3-phenyl-L-
RL: PREP (Preparation)
(preparation of)

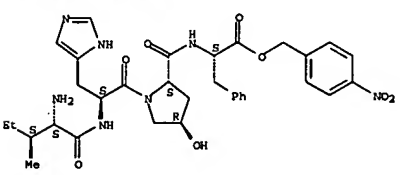
RN 10439-79-9 CAPLUS
CN Alanine, N-[1-[N-(N-carboxy-L-isoleucyl)-L-histidyl]-4-hydroxy-L-prolyl]-3-phenyl-, N-benzyl p-nitrobenzyl ester, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 10439-80-2 CAPLUS
CN Alanine, N-[4-hydroxy-1-(N-L-isoleucyl-L-histidyl)-L-prolyl]-3-phenyl-, p-nitrobenzyl ester, dihydrobromide, L- (8CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HBr

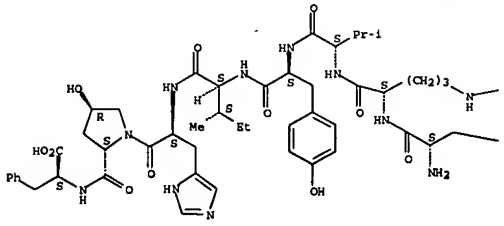
RN 13222-01-0 CAPLUS
CN Alanine, N-[1-[N-[N-[N-[N-(N2-L-α-aspartyl-L-arginyl)-L-valyl]-L-tyrosyl]-L-isoleucyl]-L-histidyl]-4-hydroxy-L-prolyl]-3-phenyl-, acetate (salt), L- (8CI) (CA INDEX NAME)

CM 1

CRN 10440-00-3
CMF C50 H71 N13 O13

Absolute stereochemistry.

PAGE 1-A



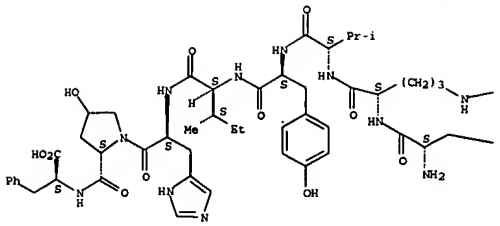
PAGE 1-B

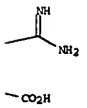
CM 2

CRN 64-19-7
CMF C2 H4 O2

RN 886746-14-1 CAPLUS
CN Alanine, N-[1-[N-[N-[N-[N-(N2-L-α-aspartyl-L-arginyl)-L-valyl]-L-tyrosyl]-L-isoleucyl]-L-histidyl]-4-hydroxy-L-prolyl]-3-phenyl-L- (7CI) (CA INDEX NAME)

Absolute stereochemistry.





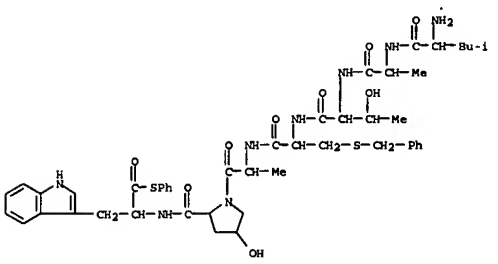
L6 ANSWER 162 OF 162 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:47036 CAPLUS
DOCUMENT NUMBER: 58:47036
ORIGINAL REFERENCE NO.: 58:8036g-h,8037a-h,8038a-h,8039a-d
TITLE: Peptide syntheses. XXV. Synthesis of tryptathionine peptides
AUTHOR(S): Wieland, Theodor; Sarges, Reinhard
CORPORATE SOURCE: Univ. Frankfurt/M., Germany
SOURCE: Ann. (1962), 658, 181-93
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 58:47036
GI For diagram(s), see printed CA Issue.

AB cf. CA 57, 15227d. Several tryptophan derivs. (I) and cysteine derivs., R4COCH(NHR3)CH2SH (II) were investigated as suitable intermediates for the thioether synthesis of tryptathionine deriv. (III) in the coupling of the indole 2-position with the cysteine HS group through the S-Cl group. Details for the synthesis of the requisite amino acid and peptide derivs. are given. All amino acids if not otherwise designated are L-form. Standard amino acid abbreviations are used. Carbobenzoxytryptophan I (R1 = PhCH2O2C, R2 = OH) (IV) in 50 ml. absolute tetrahydrofuran treated with 1.42 ml. Et3N and kept 15 min. at -15°, treated dropwise with 0.95 ml. ClCO2Et, and, after 30 min., shaken vigorously with 1.1 ml. PhSH with evolution of CO2, kept 10 min., and the residue on evaporation in vacuo taken up in 50-100 ml. EtOAc, the solution washed with 1.0N HCl and 5% aqueous KHCO3, dried over MgSO4, and evaporated gave an oily residue, recrystd. from EtOAc-petr. ether to yield 70-80% I (R1 = PhCH2O2C, R2 = SPh) (V), m. 76-8°, [α]22D -46.4 ± 1.1° (c 2.0, MeOH), treated with HBr-AcOH to give amorphous II (R1 = H, R2 = SPh) HBr salt. I (OR1 = H, R2 = OMe) HCl salt finely powdered and suspended in 50 parts CHCl3, cooled, and saturated with dry NH3, the filtered solution evaporated in vacuo, and the oily ester taken up in 20-30 parts Et2O, treated with a slight excess of solid CF3CO2H, refrigerated, and the crystalline product washed with Et2O yielded 60% I (R1 = H, R2 = OMe) CF3CO2H salt, m. 127° (decomposition). Dowex 50 kept 2 hrs. under 2N HCl and filtered, washed with H2O, and the acid-free material dried at 80° and in vacuo over CaCl2, stirred (2.3 g.) with 3.38 g. IV in 100 ml. MeOH under reflux, filtered, and the filtrate and MeOH washings evaporated yielded 68% I (R1 = PhCH2O2C, R2 = OMe). IV and ClCH2CN similarly gave non-crystalline I (R1 = PhCH2O2C, R2 = OCH2CN). Treatment of IV with p-O2NC6H4OH in tetrahydrofuran in the presence of C6H11N:C:NC6H11, (C6H11 = cyclohexyl) (VI) also gave non-crystalline I (R1 = PhCH2O2C, R2 = OC6H4NO2-p). N,N'-Dicarbobenzoxycystine (500 mg.) in 12 ml. alc. and 6 ml. 1.0N H2SO4 kept 3 hrs. with 2 g. Cu-treated Zn scales at 50° in a stream of O-free N, the filtered solution and alc. washings evaporated in vacuo, and the acid residue taken up rapidly in AcOEt with exclusion of air, shaken with H2O, and the dried extract evaporated gave oily material, kept several days in a desiccator to yield solid II (R3 = PhCH2O2C, R4 = OH) (VII). Cystine (2.4 g.) in 25 ml. CF3CO2H at 40° cooled and treated dropwise at -10° in 10 min. with 3.5 ml. (CF3CO)2O, kept 30 min. at 20°, and the residue on evaporation taken up in 200 ml. Et2O, the filtered solution evaporated, and the residue crystallized from EtOAc-petr. ether yielded 65-70% N,N'-bis(trifluoroacetyl)cystine, m. 166°, reduced with Cu-treated Zn to the pherographically pure crystalline II (R3 = CF3CO, R4 = OH). S-Benzylcysteine (21 g.), 18 g. MeO2CCN3, and 5 g. MgO stirred vigorously 20 hrs. at 50° in 300 ml. dioxane and 130 ml. H2O, the dioxane evaporated in vacuo at 40°, and the aqueous solution adjusted to pH 3-4 with citric acid, extracted with EtOAc, and the washed and dried filtered extract evaporated in vacuo yielded 71% N-tert-butoxycarbonyl-S-benzylcysteine, treated with Na in liquid NH3 according to Loring and du Vigneaud (CA 30, 808) to yield 70-80% oily II (R3 = Me3CO2C, R4 = OH) (VIII). Finely powdered D-threonine in absolute MeOH saturated with HCl and distilled with loss of H2O, the esterification repeated, and the solution evaporated in vacuo, the non-crystalline ester HCl salt taken up in 50 parts absolute CHCl3 and shaken (ice bath) with passage of dry NH3, filtered, and the CHCl3 evaporated in vacuo yielded 80% D-MeCH(OH)CH(NH2)CO2Me, m. 68.5° (EtOAc-petr. ether), [α]23D -3.2 ± 0.6° (c 5.0, MeOH). Conversion of MeCH(NHCO2CMe3)CO2H in 0.01 mole amts. according to the anhydride method as for IV yielded 70-80% MeCH(NHCO2CMe3)COSPh (IX), m. 117°. Hydroxyproline in absolute MeOH at 0° saturated in a stream of dry HCl and the solvent evaporated yielded 85% hydroxyproline Me ester HCl salt (X), m. 169°. Peptide synthesis by the anhydride and dicyclohexylcarbodiimide methods, and supplementary methods such as saponification of esters and cleavage of PhCH2O2C groups with Pd-C hydrogenation or with HBr-AcOH, were carried out according to Determann, et al. (CA 57, 9948c). Samples were chromatographed, hydrolyzed 16 hrs. at 110° in 6N HCl, and the components chromatographed on exchange resins prior to analysis. MeCH(NHCO2CH2Ph)CO2H (4.46 g.), 2.84 ml. Et3N, 1.90 ml. ClCO2Et, 3.63 g. X, and 2.83 ml. Et3N gave in the anhydride synthesis 60-80% carbobenzoxyalanylhydroxyprolineMe ester, hydrogenated with Pd-C in MeOH-HCl to amorphous alanylhydroxyproline Me ester HCl salt (XI). Synthesis from the components by the anhydride and carbodiimide methods yielded 75% N-carbobenzoxy-S-benzylcysteinylalanineMe ester, m. 133° (EtOAc-petr. ether), [α]22D -42 ± 2° (c 2.5, HCONMe2), cleaved with HBr-AcOH to pherographically pure amorphous hygroscopic S-benzylcysteinylalanine Me ester HBr salt, saponified at 20° with aqueous NaOH in MeOH-tetrahydrofuran, and the aqueous Na salt acidified to yield 80% N-carbobenzoxy-S-benzylcysteinylalanine (XII), m. 159-60°, [α]27D -36 ± 2° (c 2.0, HCONAMe2).

Synthesis from the components by the carbodiimide method in CH2Cl2 gave 75% carbobenzoxyalanyl-D-threonineMe ester, m. 108°, [α]22D 2.04 ± 1.0° (c 2.0, HCONMe2), cleaved by hydrogenation with Pd-C in HCl-MeOH, and the product crystallized from H2O-MeOH and Et2O to yield 80% alanyl-D-threonine Me ester HCl salt monohydrate (XIII), m. 50-1°, [α]20D 17.2 ± 1.1° (c 3.0, MeOH), converted by NH3 in CHCl3 to the free ester (XIV). IX (2.81 g.) in 20 ml. tetrahydrofuran and 1.32 g. hydroxyproline in 10 ml. 1.0N NaOH made homogeneous with MeOH and kept 4 hrs. at 65°, the residue on evaporation taken up in a min. of H2O and extracted with Et2O, the PhSH-free solution adjusted to pH 3-4 with citric acid, and saturated with NaCl, extracted with Et2O, and the dried (MgSO4) extract evaporated yielded 40-50% tert-butoxy-carbonylalanylhydroxyproline(XV), m. 161°, [α]22D -72.2 ± 3.4° (c 1.0, MeOH). XI (2.5 g.) and 2.8 g. Ph3CCl in 30 ml. absolute CHCl3 treated at 0° with 3 ml. Et3N and kept 20 hrs. at 20°, the residue on evaporation taken up in EtOAc, and the Et3N.HCl-free filtrate washed with 10% aqueous citric acid and aqueous NaHCO3, dried (MgSO4), and evaporated in vacuo yielded 80% oily tritylalanylhydroxyproline Me ester, saponified 16 hrs. at 20° with the calculated amount of 1.0N NaOH in dioxane, the solution freed from dioxane and diluted with H2O, adjusted to pH 3, and extracted with EtOAc yielded 30% tritylalanylhydroxyproline, m. 120-5° (Me2CO-H2O).

Carbobenzoxyleucine (0.01 mole) and 0.01 mole XIV in 100 ml. tetrahydrofuran treated with VI by the above-cited procedure yielded 70-80% carbobenzoxyleucylalanyl-D-threonineMe ester, m. 154-5°, which, hydrogenated over Pd-C in Me2CHOH and a little AcOH at 40°, gave amorphous leucylalanyl-D-threonine Me ester (XVI), crystallized as the CC13CO2H salt from MeOH-Et2O. Synthesis from tert-butoxycarbonylleucine (Schwyzer, et al., CA 54, 13009h) and XIII in tetrahydrofuran with carbodiimide, and isolation as above using 10% citric acid yielded 60% tert-butoxycarbonylleucylalanyl-D-threonineMe ester, m. 182-3° (EtOAc-petr. ether), [α]20D -36.6 ± 2.6° (c 1.0, MeOH), saponified 2 hrs. at 20° with 1.1 equivs. 1.0N NaOH in 50% MeOH and the aqueous phase extracted with EtOAc, acidified at 0° with citric acid, and filtered from crystalline tripeptide acid, the filtrate saturated with NaCl and extracted with EtOAc gave tert-butoxycarbonylleucylalanyl-D-threonine, m. 193° (decomposition) (MeOH-Et2O-petr. ether), [α]20D -46.9 ± 1.0° (c 1.0, MeOH). Crystalline XII and hydroxyproline Me ester submitted to the carbodiimide procedure in tetrahydrofuran yielded 80-90% N-carbobenzoxy-S-benzylcysteinylalanylhydroxyprolineMe ester, cleaved by HBr-AcOH to give S-benzylcysteinylalanylhydroxyprolineMe ester HBr salt. Similarly, 3.17 g. XVI and 3.38 g. IV with VI and 100 ml. tetrahydrofuran yielded 80-90% amorphous carbobenzoxytryptophylleucylalanyl-D-threonineMe ester, which, hydrogenated over Pd-C at 40° in Me2CHOH containing several drops of AcOH, gave tryptophylleucylalanyl-D-threonineMe ester (XVII), recovered from AcOH in vacuo to give a crystalline acetate, m. 133-7° (MeOH-Et2O). XVII and carbobenzoxyalanine with carbodiimide in tetrahydrofuran yielded 90% amorphous carbobenzoxyalanyltryptophylleucylalanyl-D-threonine Me ester, hydrogenated with Pd-C in Me2CHOH at 40° to give 80-95% powdery alanyltryptophylleucylalanyl-D-threonine Me ester, giving only a single ninhydrin-pos. spot on a thin layer chromatogram on silica gel in MeOH. Coupling of the 2 tripeptide moieties in tetrahydrofuran gave 50% tert-butoxycarbonylleucylalanyl-D-threonyl-S-benzylcysteinylalanylhydroxyprolineMe ester, purified by 36 stage distribution in 8:2:5:5 MeOH-H2O-CHCl3-CCl4, and cleaved by Na in liquid NH3 to neutral tert-butoxycarbonylleucylalanyl-D-threonylcysteinylalanylhydroxyprolineMe ester (XVIII). Saponification of the ester with NaOH in dioxane and precipitation from MeOH with Et2O gave tert-butoxycarbonylleucylalanyl-D-threonyl-S-benzylcysteinylalanylhydroxyproline, coupled by the aid of VI in tetrahydrofuran with I (R3 = H, R2 = PhS) to give 50% tert-butoxycarbonylleucylalanyl-D-threonyl-S-benzylcyateinylalanylhydroxyprolyltryptopharthiophenyl ester, converted by treatment with CF3CO2H to amorphous pherographically pure leucylalanyl-D-threonyl-S-benzylcysteinylalanylhydroxyprolyltryptophan thiophenyl ester. XV (3.02 g.), 5.64 g. XVII acetate, 1.42 ml. Et3N, and 2.3 g. VI in 100 ml. tetrahydrofuran kept 2 days at 20° and the isolated product recovered from AcOEt-petr. ether yielded 60-80% solid tert-butoxycarbonylalanylhydroxyprolyltryptophylleucylalanyl-D-threonine Me ester (XIX) cleaved (2.4 g.) in 40 ml. CF3CO2H in 2 hrs. to yield 90% alanylhydroxyprolyltryptophylleucylalanyl-D-threoninMe ester trifluoroacetate (XX), soluble in tetrahydrofuran. Treatment of the corresponding pentapeptide and carbobenzoxyhydroxyproline with VI in tetrahydrofuran with addition of a few drops of H2O yielded 65% carbobenzoxyhydroxyprolylalanyltryptophylleucylalanyl-D-threoninMe ester, hydrogenated over Pd-C at 40° in Me2CHOH containing a few drops of AcOH to give hydroxyprolylalanyltryptophylleucylalanyl-D-threoninMe ester (XXI), soluble in MeOH or HCONMe2, insol. in tetrahydrofuran. The thioether syntheses were carried out in CHCl3 or CCl4, and otherwise in CF3CO2H, CCl3CO2H, HCONMe2, or m-MeC6H4OH. CHCl3 (6 ml.) containing 300 mg. (CH2CO)2NCl stirred at -15° (ice-salt bath) 30 min. with dropwise addition (N atmospheric) of 2 millimoles II in 10 ml. 3:2 CHCl3-tetrahydrofuran and instantaneous addition of I in a suitable solvent, the mixture stirred 1 hr. at -15° and 1 hr. at 20°, and diluted with Et2O, filtered, and the product washed with Et2O gave III. I (R1 = H, R2 = OMe) and VII coupled and the product purified by paper electrophoresis in 1960 ml. H2O containing 10 ml. HCO2H and 30 ml. AcOH at pH 2 yielded 50% III (R1 = H, R2 = OMe, R3 = PhCH2O2C, R4 = OH), m. 190°. Similarly was synthesized from its components 40% III (R1 = R2 = PhCH2O2C, R2 = R4 = OH), showing a typical phalloin spectrum and giving a blue color with concentrated H2SO4 containing a ferric salt. The oily product from coupling of the appropriate components taken up in AcOEt and extracted with aqueous KHCO3, the aqueous phase acidified and extracted with EtOAc, gave on precipitation with Et2O 30% powdery III (R1 = R3 = PhCH2O2C, R2 = OMe, R4 = OH). Attempts to couple I (R1 = PhCH2O2C, R2 = SPh, OCH2CN, p-O2NC6H4O) with VII were unsuccessful, as were the efforts to treat I (R1 = H or PhCH2O2C, R2 = OH or OMe) with XVIII. VII in CHCl3-tetrahydrofuran treated with XIX in tetrahydrofuran and the mixture stirred 3 hrs. at 20°, extracted with aqueous KHCO3 and the extracted washed with EtOAc, acidified with citric acid, and saturated with NaCl, extracted with EtOAc, and the residue on evaporation diluted with Et2O yielded 50-80% III (R1 = Me3CO2C-Ala-Hypro, R2 = Leu-Ala-D-Thr-OMe, R3 = PhCH2O2C, R4 = OH), pherographically pure, Rf 0.7 on paper in 60:6:10 EtCOMe-Me2CO-H2O, giving blue colorations with concentrated H2SO4 containing ferric salt and with PhCH:CHCHO-HCl, and showing the same ultraviolet spectrum as phalloidin. Similarly from II (R3 = CF3CO, R4 = OH) and XIX was obtained 35% III (R1 = Me3CO2C-Ala-Hypro, R2 = Leu-Ala-D-Thr-OMe, R3 = CF3CO, R4 = OH). VII (2 millimoles) and 2 millimoles XX in CHCl3-tetrahydrofuran coupled as above, the product purified by preparative electrophoresis at pH 1.9, eluted with MeOH, and precipitated with Et2O, the powdery product purified by electrophoresis at pH 6.5, the residual zwitterionic material extracted with MeOH, and precipitated with Et2O yielded 60-5% III (R1 = Ala-Hypro, R2 = Leu-Ala-D-Thr-OMe, R3 = Me3CO2C, R = OH). XXI (690 mg.) in 20 ml. HCONMe2 containing 0.1 ml. CF3CO2H treated with HO2CCH(NHCO2CMe3)CH2SCl in CHCl3, and the product recovered repeatedly from H2O in vacuo, the HCONMe2-free product precipitated with Et2O, and chromatographed from 1:1 MeOH-H2O over silica gel yielded 50% powdery III (R1 = Hypro-Ala, R2 = Leu-Ala-D-Thr-OMe, R3 = Me3CO2C, R4 = OH). I containing bulky ester groups were unreactive although long peptide chains did not affect the activity. Highly hindered cysteine compds. failed to react

with NClS to form the requisite S-chlorides.
IT 98129-09-0P, Tryptophan, N-[1-[N-[3-(benzylthio)-N-[N-(N-L-leucyl-L-alanyl)-D-threonyl]-L-alanyl]-L-alanyl]-4-hydroxy-L-prolyl]thio-, S-phenyl ester, L-
RL: PREP (Preparation)
(preparation of)
RN 98129-09-0 CAPLUS
CN Tryptophan, N-[1-[N-[3-(benzylthio)-N-[N-(N-L-leucyl-L-alanyl)-D-threonyl]-L-alanyl]-L-alanyl]-4-hydroxy-L-prolyl]thio-,S-phenyl ester (7CI) (CA INDEX NAME)



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COST IN U.S. DOLLARS

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FULL ESTIMATED COST	563.68	749.58

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

	SINCE FILE ENTRY	TOTAL SESSION
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NEWS 5	NOV 03	JAPIO enhanced with IPC 8 features and functionality
NEWS 6	NOV 10	CA/CAplus P-Term thesaurus enhanced
NEWS 7	NOV 10	STN Express with Discover! free maintenance release Version 8.01c now available
NEWS 8	NOV 20	CA/CAplus to MARPAT accession number crossover limit increased to 50,000
NEWS 9	DEC 01	CAS REGISTRY updated with new ambiguity codes
NEWS 10	DEC 11	CAS REGISTRY chemical nomenclature enhanced
NEWS 11	DEC 14	WPIDS/WPINDEX/WPIX manual codes updated
NEWS 12	DEC 14	GBFULL and FRFULL enhanced with IPC 8 features and functionality
NEWS 13	DEC 18	CA/CAplus pre-1967 chemical substance index entries enhanced with preparation role
NEWS 14	DEC 18	CA/CAplus patent kind codes updated
NEWS 15	DEC 18	MARPAT to CA/CAplus accession number crossover limit increased to 50,000
NEWS 16	DEC 18	MEDLINE updated in preparation for 2007 reload
NEWS 17	DEC 27	CA/CAplus enhanced with more pre-1907 records
NEWS 18	JAN 08	CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 19	JAN 16	CA/CAplus Company Name Thesaurus enhanced and reloaded
NEWS 20	JAN 16	IPC version 2007.01 thesaurus available on STN
NEWS 21	JAN 16	WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 22	JAN 22	CA/CAplus updated with revised CAS roles
NEWS 23	JAN 22	CA/CAplus enhanced with patent applications from India
NEWS 24	JAN 29	PHAR reloaded with new search and display fields
NEWS 25	JAN 29	CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 26	FEB 13	CASREACT coverage to be extended
NEWS 27	Feb 15	PATDPASPC enhanced with Drug Approval numbers
NEWS 28	Feb 15	RUSSIAPAT enhanced with pre-1994 records

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FILE 'HOME' ENTERED AT 16:04:57 ON 20 FEB 2007

=> FILE REG
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

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DICTIONARY FILE UPDATES: 19 FEB 2007 HIGHEST RN 921921-74-6

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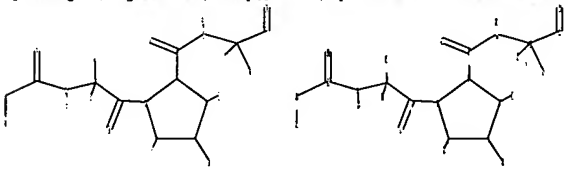

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6 7 8 9 11 12 13 14 15 19 20 21 22 23 24 25 26 27 28 29 30 31
ring nodes :
1 2 3 4 5
ring/chain nodes :
10
chain bonds :
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ring bonds :
1-2 1-5 2-3 3-4 4-5
exact/norm bonds :
1-2 2-3 2-6 5-31 6-9 7-8 8-12 12-14 13-15 19-20 19-21 21-24 24-27 25-28
exact bonds :
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isolated ring systems :
containing 1 :

G1:C,O,S,N

G2:C,O,S

G3:C,H,O,N

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS

L1 STRUCTURE UPLOADED

=>
Uploading C:\Program Files\Stnexp\Queries\HepC PROTEASE INHs SEARCH 2.str

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ring/chain nodes :
5 10 19
chain bonds :
1-2 1-3 1-7 3-4 3-5 3-14 4-6 4-15 7-8 7-9 9-10 9-11 9-13 11-12 11-32 15-16 15-17 17-18 17-19 20-21 20-22 22-23 22-24 25-26 25-27 26-28 32-33 32-34
exact/norm bonds :
1-3 1-7 4-6 4-15 7-8 9-11 11-32 15-17 17-18 20-21 25-27 26-28 32-33 32-34
exact bonds :
1-2 3-4 3-5 3-14 7-9 9-10 9-13 11-12 15-16 17-19 20-22 22-23 22-24 25-26

G1:S,P,B,[*1],[*2]

G2:CH,Cy

G3:H,Cy

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS 23:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 32:CLASS 33:CLASS 34:CLASS

L2 STRUCTURE UPLOADED

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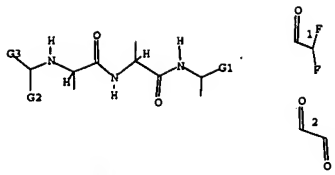
L2 HAS NO ANSWERS
L2 STR



G1 S,P,B,[@1],[@2]
G2 CH,Cy
G3 H,Cy

Structure attributes must be viewed using STN Express query preparation.

=> S L2
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SAMPLE SCREEN SEARCH COMPLETED - 1277 TO ITERATE

100.0% PROCESSED 1277 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 23397 TO 27683
PROJECTED ANSWERS: 0 TO 0

L3 0 SEA SSS SAM L2

=> S L2 SSS FULL
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FULL SCREEN SEARCH COMPLETED - 24811 TO ITERATE

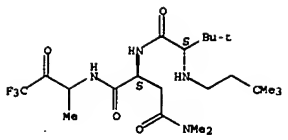
100.0% PROCESSED 24811 ITERATIONS 1 ANSWERS
SEARCH TIME: 00.00.03

L4 1 SEA SSS FUL L2

=> D

L4 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2007 ACS on STN
RN 198956-02-4 REGISTRY
ED Entered STN: 24 Dec 1997
CN L-Aspartamide, N-(3,3-dimethylbutyl)-3-methyl-L-valyl-N4,N4-dimethyl-N1-(3,3,3-trifluoro-1-methyl-2-oxopropyl)-(9CI) (CA INDEX NAME)
FS STEREOSEARCH
MF C22 H39 F3 N4 O4
SR CA
LC STN Files: CA, CAPLUS, USPATFULL

Absolute stereochemistry.



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2 REFERENCES IN FILE CA (1907 TO DATE)
2 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> FILE CAPLUS

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=> S L4
L5 2 L4

=> D 1-2 IBIB ABS HITSTR

L5 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:485077 CAPLUS
DOCUMENT NUMBER: 129:122872
TITLE: Peptidomimetic inhibitors of the human cytomegalovirus protease
INVENTOR(S): Bailey, Murray; Fazal, Gulrez; Lavallee, Pierre; Ogilvie, William; Poupart, Marc-Andre
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9829435	A1	19980709	WO 1997-CA1004	19971223
W: CA, JP, MX, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 948523	A1	19991013	EP 1997-951048	19971223
EP 948523	B1	20040317		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001508418	T	20010626	JP 1998-529511	19971223
CA 2276109	C	20031118	CA 1997-2276109	19971223
CA 2276109	A1	19980709		
AT 261988	T	20040415	AT 1997-951048	19971223
US 6291640	B1	20010918	US 1998-171554	19981019

PRIORITY APPLN. INFO.:
US 1996-34041P P 19961227
US 1997-52860P P 19970717
US 1997-59806P P 19970923
WO 1997-CA1004 W 19971223

OTHER SOURCE(S): MARPAT 129:122872
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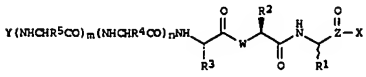
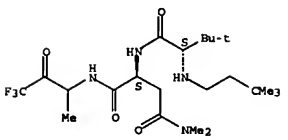


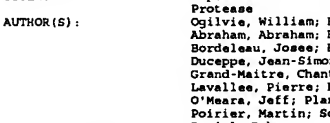
AB Compds. I [Z = C or P; X = CF3, C2F5, benzothiazole, CF2CONHR6, CONHR6 [R6 = alkyl, (un)substituted Ph or cyclohexyl], etc.; R1 = H, Me, Et; R2 = CH2SO2NH2, alkyl, arylalkyl, etc.; R3 = alkyl, carboxyalkyl, adamantyl; R4 = alkyl, arylalkyl; R5 = H, CH2OH; W = NH, CH2, CHMe; Y = H, t-BuCH2CH2, acyl; m, n = 0, 1] were prepared as inhibitors of the human cytomegalovirus (HCMV) protease. Thus, N1-(3,3,3-trifluoro-1-methyl-2-oxopropyl)-(2S)-2-[(1S)-2-methyl-1-([(1S)-2-methyl-1-[(methylcarboxamido)methyl]carboxamidopropyl]carboxamido)propylcarboxamido]butanediamide,prepared by the solid-phase method, showed IC50 = 1.8±0.3 μM for inhibition of HCMV No protease.
IT 198956-02-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptidomimetic inhibitors of the human cytomegalovirus protease)
RN 198956-02-4 CAPLUS
CN L-Aspartamide, N-(3,3-dimethylbutyl)-3-methyl-L-valyl-N4,N4-dimethyl-N1-(3,3,3-trifluoro-1-methyl-2-oxopropyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:727371 CAPLUS
DOCUMENT NUMBER: 128:13422
TITLE: Peptidomimetic Inhibitors of the Human Cytomegalovirus Protease
AUTHOR(S): Ogilvie, William; Bailey, Murray; Poupart, Marc-Andre; Abraham, Abraham; Bhavsar, Amit; Bonneau, Pierre; Bordeleau, Josee; Bousquet, Yves; Chabot, Catherine; Duceppe, Jean-Simon; Fazal, Gulrez; Goulet, Sylvie; Grand-Maitre, Chantal; Guse, Ingrid; Halmos, Ted; Lavallee, Pierre; Leach, Michael; Malenfant, Eric; O'Meara, Jeff; Plante, Raymond; Plouffe, Celine; Poirier, Martin; Soucy, Francois; Yoakim, Christiane; Deziel, Robert
CORPORATE SOURCE: Bio-Mega Research Division, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.
SOURCE: Journal of Medicinal Chemistry (1997), 40(25), 4113-4135
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



AB The development of peptidomimetic inhibitors of the human cytomegalovirus (HCMV) protease showing sub-micromolar potency in an enzymic assay is described. Selective substitution of the amino acid residues of these inhibitors led to the identification of tripeptide inhibitors showing improvements in inhibitor potency of 27-fold relative to inhibitor I based upon the natural tetrapeptide sequence. Small side chains at P1 were well tolerated by this enzyme, a fact consistent with previous observations. The S2 binding pocket of HCMV protease was very permissive, tolerating lipophilic and basic residues. The substitutions tried at P3 indicated that a small increase in inhibitor potency could be realized by the substitution of a tert-leucine residue for valine. Substitutions of the N-terminal capping group did not significantly affect inhibitor potency. Pentafluoroethyl ketones, α,α-difluoro-β-keto amides, phosphonates and α-keto amides were all effective substitutions for

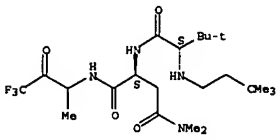
the activated carbonyl component and gave inhibitors which were selective for HCMV protease. A slight increase in potency was observed by lengthening the P1' residue of the α-keto amide series of inhibitors. This position also tolerated a variety of groups making this a potential site for future modifications which could modulate the physicochem. properties of these mols.

IT 198956-02-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure-activity of peptidomimetic inhibitors of the human cytomegalovirus protease)

RN 198956-02-4 CAPLUS

CN L-Aspartamide, N-(3,3-dimethylbutyl)-3-methyl-L-valyl-N4,N4-dimethyl-N1-(3,3,3-trifluoro-1-methyl-2-oxopropyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> FILE REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	19.47	194.18
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.56	-1.56

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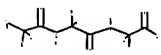

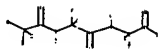

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ring/chain nodes :
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chain bonds :
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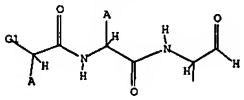
exact/norm bonds :
1-2 1-9 2-8 3-4 3-7 4-15 9-10 11-12 11-13 17-18
exact bonds :
1-5 2-3 2-20 4-6 9-11 11-22 15-16 15-17 15-21 17-19

G1:H,Cy

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 8:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS 15:CLASS 16:CLASS 17:CLASS 18:CLASS 19:CLASS 20:CLASS 21:CLASS 22:CLASS

L6 STRUCTURE UPLOADED

=> D L6
L6 HAS NO ANSWERS
L6 STR



G1 H,Cy

Structure attributes must be viewed using STN Express query preparation.

=> S L6
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SAMPLE SCREEN SEARCH COMPLETED - 62269 TO ITERATE

3.2% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:	ONLINE **INCOMPLETE**
	BATCH **COMPLETE**
PROJECTED ITERATIONS:	1230512 TO 1260248
PROJECTED ANSWERS:	0 TO 0

L7 0 SEA SSS SAM L6

=> S L7 SSS FULL
FULL SEARCH INITIATED 16:21:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1244940 TO ITERATE

59.7% PROCESSED 743436 ITERATIONS 1223 ANSWERS

79.3% PROCESSED 987196 ITERATIONS 1393 ANSWERS

80.3% PROCESSED 1000000 ITERATIONS 1393 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.35

FULL FILE PROJECTIONS:	ONLINE **INCOMPLETE**
	BATCH **COMPLETE**
PROJECTED ITERATIONS:	1244940 TO 1244940
PROJECTED ANSWERS:	1610 TO 1858

L8 1393 SEA SSS FUL L6

=> FILE CAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	180.20	374.38
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-1.56

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FILE COVERS 1907 - 20 Feb 2007 VOL 146 ISS 9
FILE LAST UPDATED: 19 Feb 2007 (20070219/ED)

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=> S L8
L9 501 L8

=> D 501

L9 ANSWER 501 OF 501 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1932:36465 CAPLUS
DN 26:36465
OREF 26:3778d-f
TI Synthesis of peptide-like substances from amino sugars and amino acids. II. N-Dialanylglucosamine
AU Bertho, A.; Maier, J.
SO Ann. (1932), 495, 113-21
DT Journal
LA Unavailable

=> D 501 ABS HITSTR

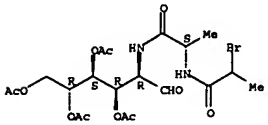
L9 ANSWER 501 OF 501 CAPLUS COPYRIGHT 2007 ACS on STN
AB Glucosamine-HCl and dl-α-azidopropionyl chloride (I) in N NaOH give N-α-azidopropionylglucosamine, decomps. 188°, [α]D20 (in H2O) 60° → 23.3° (24 hrs.), reduced catalytically (Adams) or by At-Hg in H2O to impure N-alanylglucosamine, which, when heated with a little NaOH in EtOH, passes into N-alanyldehydroglucosamine anhydride (Bertho et al., C. A. 25, 1805). Tetraacetylglucosamine and I in CHCl3-pyridine give tetraacetyl-N-α-azidopropionylglucosamine, m. 146° (slight decompmn.), [α]D20 13.6° in CHCl3, reduced catalytically (Adams) in AcOEt to tetraacetyl-N-alanylglucosamine, m. 180° (decomposition), [α]D20 3.0° in CHCl3, converted by MeCHBrCOCl in CHCl3-pyridine into tetraacetyl-N-α,α'-bromopropionamidopropionyl)glucosamine, m. 156-162°, [α]D20 18.7° and 26.4° in CHCl3 (according to solvent used for crystallization). This with MeOH-NH3 at room temperature for 4 days gives N-(α,α'-aminopropionamidopropionyl)-glucosamine (N-dialanylglucosamine), decomps. about 125°.

IT 908577-17-3P, Glucosamine, tetraacetyl-N-α-bromopropionylalanyl)-
RL: PREP (Preparation)
(preparation of)

RN 908577-17-3 CAPLUS

CN Glucosamine, tetraacetyl-N-α-bromopropionylalanyl]-(3CI) (CA INDEX NAME)

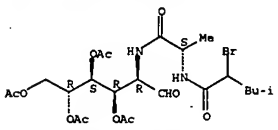
Absolute stereochemistry.



=> D 500 IBIB ABS HITSTR

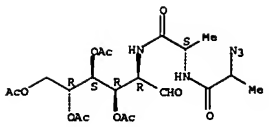
L9 ANSWER 500 OF 501 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1934:8282 CAPLUS
DOCUMENT NUMBER: 28:8282
ORIGINAL REFERENCE NO.: 28:1024d-h
TITLE: Nitrogenous sugars. V. Synthesis of peptide-like substances from amino sugars and amino acids. III. Acetylated glucopeptides
AUTHOR(S): Bertho, Alfred; Maier, Joseph
SOURCE: Z. physiol. Chem. (1933), 222, 139-47
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB cf. C. A. 26, 3778, 5910. A peptide linkage between the NH2 of glucosamine and the CO2H of amino acids is effected by condensation of the tetraacylglucosamine, in which the NH2 is unsubstituted, with an azidoacyl halide, and catalytic hydrogenation of the product. Tetraacetylglucosamine in CHCl3 when treated with N3CH2COCl and pyridine yielded 75-8% of tetraacetyl(azidoacetyl-N)-glucosamine,m. 131°, [α]D20 6.1°, which was hydrogenated in AcOEt by PrO2 catalyst to 70-5% of tetraacetyl(glycyl-N-)glucosamine(I), m. 161-2° (decomposition). The latter reacted with NH3 in MeOH to form AcNH2 and a hygroscopic amorphous product which easily reduced Fehling solution and could not have been a cyclic anhydride such as that previously obtained with the corresponding alanyl derivative Condensation of I with MeCHBrCOCl in the presence of pyridine yielded 60% of tetraacetyl(α-bromopropionylglycyl-N)-glucosamine,m. 162°. Similarly, I and Me2CHCH2CHBrCOCl gave 50% of tetraacetyl(α-bromoisocaproylglycyl-N)-glucosamine, m. 174-5°. Treatment of the latter with NH3 in MeOH converted it into leucylglycyl-N-glucosamine, decomposition 132°. Tetraacetyl-(alanyl-N)-glucosamine(II) and Me2CHCH2CHBrCOCl yielded tetraacetyl(α-bromoisocaproylalanyl-N)-glucosamine,m. 169-70°, [α]D20 10.7°. II and MeCHN3COCl gave tetraacetyl(α-azidopropionylalanyl-N)-glucosamine,m. 139° (evolution of gas), which was hydrogenated to tetraacetyl(dialanyl-N)-glucosamine, m. 212° (decomposition). Chondrosamine condensed with MeCHBrCOCl to α-bromopropionyl-N-chondrosamine,m. 181.5°, a mutarotating substance representing the α-form, which yielded with NH3 a viscous sirup lacking the properties of a glucopeptide anhydride.
IT 908575-12-2P, Glucosamine, tetraacetyl-N-(α-bromoisocaproylalanyl)- 908575-19-9P, Glucosamine, tetraacetyl-N-(α-azidopropionylalanyl)-
RL: PREP (Preparation)
(preparation of)
RN 908575-12-2 CAPLUS
CN Glucosamine, tetraacetyl-N-(α-bromoisocaproylalanyl)-(3CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 908575-19-9 CAPLUS
CN Glucosamine, tetraacetyl-N-(α-azidopropionylalanyl)-(3CI) (CA INDEX NAME)

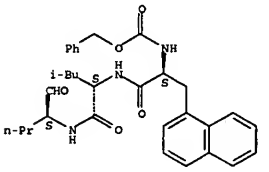
Absolute stereochemistry.



=> D 499 IBIB ABS HITSTR

L9 ANSWER 499 OF 501 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1951:1399 CAPLUS
DOCUMENT NUMBER: 45:1399
ORIGINAL REFERENCE NO.: 45:253a-c
TITLE: Antihyaluronidase activity in vivo and in vitro of hydro P2
AUTHOR(S): Montorsi, W.; Pezzuoli, G.; Ponzoni, R.; Tusini, G.
CORPORATE SOURCE: Univ., Milan, Italy
SOURCE: Bollettino - Societa Italiana di Biologia Sperimentale (1949), 25, 1243-6
CODEN: BSIBAC; ISSN: 0037-8771
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
AB Hydro P2, 4-methylesculetin disulfonate (I), and the analogous monosulfonate, Mg 142 (II) (Cavallini, C.A. 42, 8900d) are water-soluble compds. with vitamin P activity. The action of testicular extract on mucin was little affected by presence of I at 1:8000, but considerably retarded at 1:800. I without the extract has a weak mucinolytic action. Hyaluronidase and China ink injected intradermally in rabbits 0.5 hr. after intravenous injection of I or II showed slower diffusion than controls.
IT 170589-73-6, Mg 142
(antihyaluronidase activity of)
RN 170589-73-8 CAPLUS
CN L-Leucinamide, 3-(1-naphthalenyl)-N-[(phenylmethoxy)carbonyl]-L-alanyl-N-[(1S)-1-formylbutyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



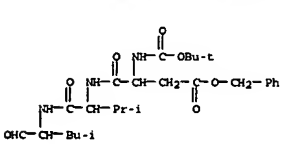
=> D 498 IBIB ABS HITSTR

L9 ANSWER 498 OF 501 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:102476 CAPLUS

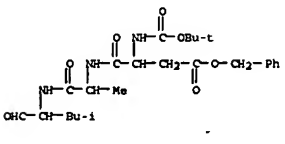
DOCUMENT NUMBER: 118:102476
TITLE: Preparation of tripeptide aldehyde derivatives as protease inhibitors.
INVENTOR(S): Tanami, Toru; Yokoo, Chihiro; Hatayama, Katsuo
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04202170	A	19920722	JP 1990-332085	19901129

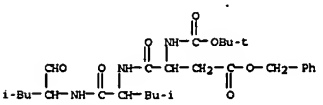
PRIORITY APPLN. INFO.: JP 1990-332085 19901129
AB RNHCH(CH2R1)CONR2(CH2)nCR3R4CONHCHR5CHO[R = H, protecting group; R1 = (protected) CO2H, H2NCO; R2, R3 = H, alkyl; R2R3 = (CH2)3; R4 = H, alkyl, PhCH2, etc., R3R4 = (CH2)4; R5 = isobutyl; n = 0, 1], useful as cysteine protease inhibitors for treating muscular dystrophy, etc., were prepared Boc-Asp(OBzl)-OSu [Su = succinimidyl] was stirred with valylleucinol in EtOAc under cooling to give coupling product which in Et3N/Me2SO was treated with pyridine-SO3 under cooling to give Boc-Asp(OBzl)-Val-Leu-H. Boc-Asp(OBzl)-Ser(Bzl)-Leu-H showed IC50 of 987, 95, and 987 (no units given) against Ca-dependent neutral protease, papain, and cathepsin b, resp., vs. 2000, 30,000, and 7300, resp., with a reference compound
IT 145997-23-5P 145997-27-9P 145997-28-0P
145997-29-1P 145997-30-4P 145997-31-5P
145997-32-6P 145997-33-7P 145997-35-9P
145997-36-0P 145997-37-1P 145997-40-6P
145997-41-7P 145997-42-8P 145997-43-9P
145997-44-0P 145997-45-1P 146026-90-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cysteine protease inhibitor)
RN 145997-23-5 CAPLUS
CN L-Valinamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



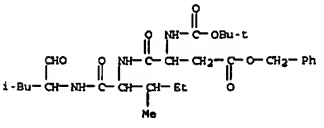
RN 145997-27-9 CAPLUS
CN L-Alaninamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



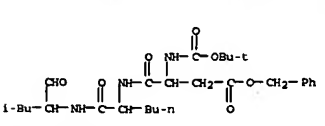
RN 145997-28-0 CAPLUS
CN L-Leucinamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



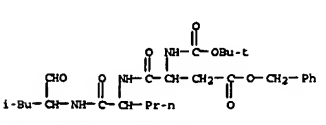
RN 145997-29-1 CAPLUS
CN L-Isoleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



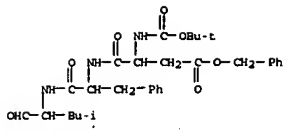
RN 145997-30-4 CAPLUS
CN L-Norleucinamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



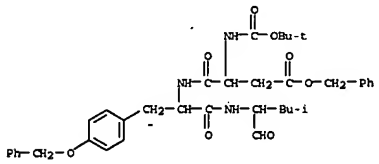
RN 145997-31-5 CAPLUS
CN L-Norvalinamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



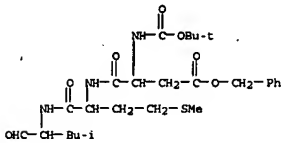
RN 145997-32-6 CAPLUS
CN L-Phenylalaninamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



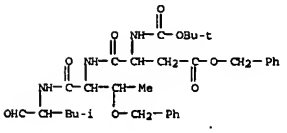
RN 145997-33-7 CAPLUS
CN L-Tyrosinamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-O-(phenylmethyl)-,phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



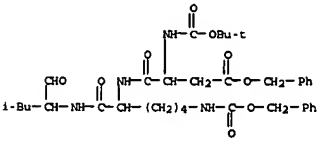
RN 145997-35-9 CAPLUS
CN L-Methioninamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



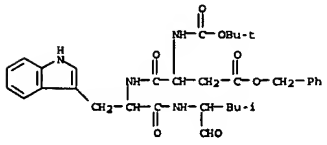
RN 145997-36-0 CAPLUS
CN L-Cysteinamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-S-[(acetylamino)methyl]-N-(1-formyl-3-methylbutyl)-,phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



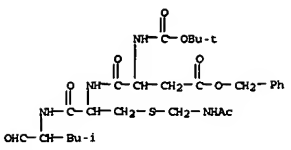
RN 145997-42-8 CAPLUS
CN L-Lysinamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-N6-[(phenylmethoxy)carbonyl]-,phenylmethyl ester, (S)- (9CI) (CA INDSX NAME)



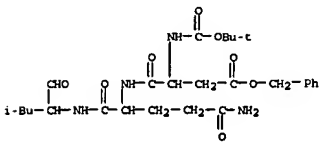
RN 145997-43-9 CAPLUS
CN L-Tryptophanamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



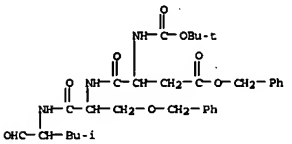
RN 145997-44-0 CAPLUS
CN L-Ornithinamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-N5-[imino(nitroamino)methyl]-,phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



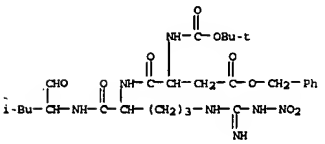
RN 145997-37-1 CAPLUS
CN L-Glutamamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N1-(1-formyl-3-methylbutyl)-, phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



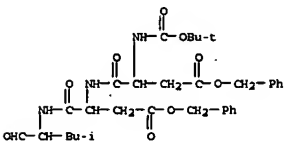
RN 145997-40-6 CAPLUS
CN L-Serinamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-O-(phenylmethyl)-,phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



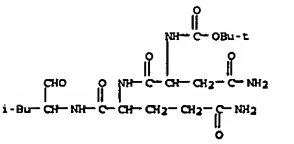
RN 145997-41-7 CAPLUS
CN L-Threoninamide, N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl-N-(1-formyl-3-methylbutyl)-O-(phenylmethyl)-,phenylmethyl ester, (S)- (9CI) (CA INDEX NAME)



RN 145997-45-1 CAPLUS
CN L-α-Asparagine, N2-[N-[(1,1-dimethylethoxy)carbonyl]-Lα-aspartyl]-N-(1-formyl-3-methylbutyl)-,bis(phenylmethyl) ester, (S)- (9CI) (CA INDEX NAME)



RN 146026-90-6 CAPLUS
CN L-Glutamamide, N2-[(1,1-dimethylethoxy)carbonyl]-L-asparaginyl-N1-(1-formyl-3-methylbutyl)-, (S)- (9CI) (CA INDEX NAME)



=> D 497 IBIB ABS HITSTR

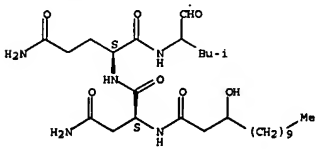
L9 ANSWER 497 OF 501 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:148069 CAPLUS
DOCUMENT NUMBER: 118:148069
TITLE: Preparation of tripeptide aldehyde derivatives as cysteine protease inhibitors
INVENTOR(S): Tanami, Toru; Yokoo, Chihiro; Hatayama, Katsuo
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04273896	A	19920930	JP 1991-117055	19910227

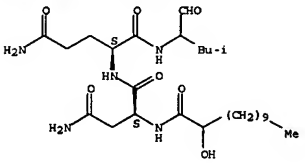
PRIORITY APPLN. INFO.: JP 1991-117055 19910227
OTHER SOURCE(S): MARPAT 118:148069
AB Me(CH2)9CH(OH)(CH2)nCONHCH(CH2CONH2)CONHCH(CH2CH2CONH2)CONHCH(CHO)CH2CHMe2 (I; n = 0, 1), useful for treatment of muscle degenerative diseases such as muscular dystrophy and vacuole-type distal myopathy, are prepared Deprotection of 1.00 g Boc-Asn-Gln-NHCH(CH2CHMe2)CH:CHCO2Et (preparation given) (Boc = CO2CMe3) by HCl/dioxane and condensation with 622 mg (±)-3-hydroxytridecanoic acid N-hydroxysuccinimide ester in Et3N/DMF gave 648 mg (±)-Me(CH2)9CH(OH)CH2CO-Asn-Gln-NHCH(CH2CHMe2)CH:CHCO2Et, 576 mg of which was treated with O3 in CHCl3/MeOH at -50° for 20 min and stirred with Me2S for 2 h to give 513 mg (±)-I (n = 1) (II). II in vitro inhibited calpain I, papain, and cathepsin B with IC50 of 510, 40,400, and 14,900 nM, resp.
IT 146508-95-4P 146508-97-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cysteine protease inhibitor)
RN 146508-95-4 CAPLUS
CN L-Glutamamide, N2-(3-hydroxy-1-oxotridecyl)-L-asparaginyl-N1-(1-formyl-3-methylbutyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

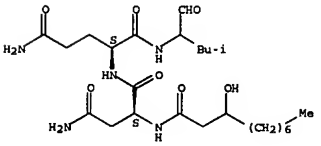


RN 146508-97-6 CAPLUS
CN L-Glutamamide, N2-(2-hydroxy-1-oxododecyl)-L-asparaginyl-N1-(1-formyl-3-methylbutyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

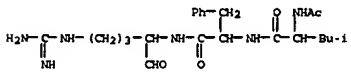


=> D 496 IBIB ABS HITSTR



=> D 495 IBIB ABS HITSTR

L9 ANSWER 495 OF 501 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:234466 CAPLUS
DOCUMENT NUMBER: 118:234466
TITLE: Inhibition studies of some serine and thiol proteinases by new leupeptin analogs
AUTHOR(S): McConnell, Rose M.; York, J. Lyndal; Frizzell, Donna; Ezell, Carole
CORPORATE SOURCE: Univ. Arkansas, Monticello, AR, 71655, USA
SOURCE: Journal of Medicinal Chemistry (1993), 36(8), 1084-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Fifteen tripeptide analogs of leupeptin containing either a C-terminal argininal or lysinal were synthesized. The synthetic analogs were tested, using spectrophotometric assay techniques, as inhibitors of trypsin, kallikrein, thrombin, plasmin, and cathepsin B. The lysinal analogs were fairly selective as inhibitors of cathepsin B activity. Ac-Leu-Val-Lys-H showed a stronger inhibition of cathepsin B (IC50 = 4 nanomolar) than leupeptin. Ac-Phe-Val-Arg-H was a good inhibitor of cathepsin B (IC50 = 0.039 μM), thrombin (IC50 = 1.8 μM), and plasmin (IC50 = 2.2 μM).
IT 147492-11-3P 147492-12-4P 147492-13-5P
147492-14-6P 147492-15-7P 147492-16-8P
147492-17-9P 147492-18-0P 147492-19-1P
147600-30-4P 147600-31-5P 147600-32-6P
147600-33-7P 147600-34-8P 147600-35-9P
147600-36-0P 147600-37-1P 147600-38-2P
147600-39-3P 147600-40-6P 147600-41-7P
147600-42-8P 147600-43-9P 147648-37-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and inhibition by, of serine and thiol proteinases)
RN 147492-11-3 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-leucyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)



● HCl

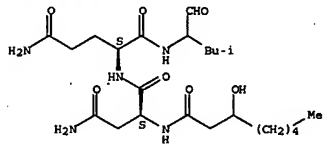
RN 147492-12-4 CAPLUS

L9 ANSWER 496 OF 501 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:148070 CAPLUS
DOCUMENT NUMBER: 118:148070
TITLE: Preparation of tripeptide aldehyde derivatives as cysteine protease inhibitors
INVENTOR(S): Tanami, Toru; Yokoo, Chihiro; Hatayama, Katsuo
PATENT ASSIGNEE(S): Taisho Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 11 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 04273897	A	19920930	JP 1991-117056	19910227

PRIORITY APPLN. INFO.: JP 1991-117056 19910227
OTHER SOURCE(S): MARPAT 118:148070
AB Me(CH2)nCH(OH)CH2CONHCH(CH2CONH2)CONHCH(CH2CH2CONH2)CONHCH(CHO)CH2CHMe2 (I; n = 4-6), useful for treatment of muscle degenerative diseases such as muscular dystrophy and vacuole-type distal myopathy, are prepared Deprotection of 1.00 g Boc-Asn-Gln-NHCH(CH2CHMe2)CH:CHCO2Et (preparation given) (Boc = CO2CMe3) by HCl/dioxane and condensation with 489 mg (±)-3-hydroxyoctanoic acid N-hydroxysuccinimide ester in Et3N/DMF gave 632 mg (±)-Me(CH2)4CH(OH)CH2CO-Asn-Gln-NHCH(CH2CHMe2)CH:CHCO2Et, 570 mg of which was treated with O3 in CHCl3/MeOH at -50° for 20 min and stirred with Me2S for 2 h to give 500 mg (±)-I (n = 4) (II). II in vitro inhibited calpain I, papain, and cathepsin B with IC50 of 1200, 12,400, and 1800 nM, resp.
IT 146508-91-0P 146508-93-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as cysteine protease inhibitor)
RN 146508-91-0 CAPLUS
CN L-Glutamamide, N2-(3-hydroxy-1-oxooctyl)-L-asparaginyl-N1-(1-formyl-3-methylbutyl)- (9CI) (CA INDEX NAME)

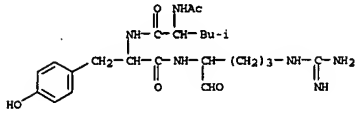
Absolute stereochemistry.



RN 146508-93-2 CAPLUS
CN L-Glutamamide, N2-(3-hydroxy-1-oxodecyl)-L-asparaginyl-N1-(1-formyl-3-methylbutyl)- (9CI) (CA INDEX NAME)

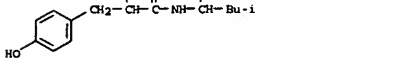
Absolute stereochemistry.

CN L-Tyrosinamide, N-acetyl-L-leucyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)



● HCl

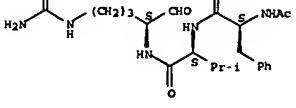
RN 147492-13-5 CAPLUS
CN L-Leucinamide, N-acetyl-L-tyrosyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)



● HCl

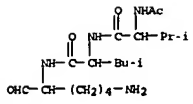
RN 147492-14-6 CAPLUS
CN L-Valinamide, N-acetyl-L-phenylalanyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

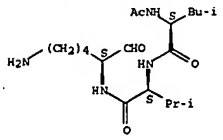
RN 147492-15-7 CAPLUS
CN L-Leucinamide, N-acetyl-L-valyl-N-(5-amino-1-formylpentyl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)



● HCl

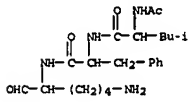
RN 147492-16-8 CAPLUS
CN L-Valinamide, N-acetyl-L-leucyl-N-(5-amino-1-formylpentyl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



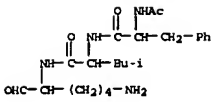
● HCl

RN 147492-17-9 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-leucyl-N-(5-amino-1-formylpentyl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)



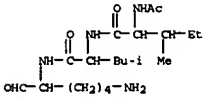
● HCl

RN 147492-18-0 CAPLUS
CN L-Leucinamide, N-acetyl-L-phenylalanyl-N-(5-amino-1-formylpentyl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)



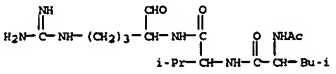
● HCl

RN 147492-19-1 CAPLUS
CN L-Leucinamide, N-acetyl-L-isoleucyl-N-(5-amino-1-formylpentyl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)



● HCl

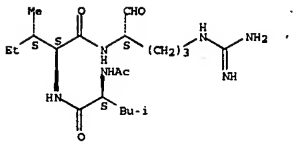
RN 147600-30-4 CAPLUS
CN L-Valinamide, N-acetyl-L-leucyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)



● HCl

RN 147600-31-5 CAPLUS
CN L-Isoleucinamide, N-acetyl-L-leucyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

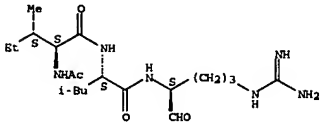
Absolute stereochemistry.



● HCl

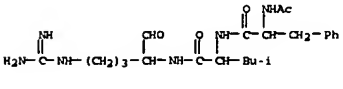
RN 147600-32-6 CAPLUS
CN L-Leucinamide, N-acetyl-L-isoleucyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



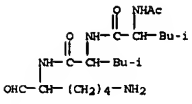
● HCl

RN 147600-33-7 CAPLUS
CN L-Leucinamide, N-acetyl-L-phenylalanyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)



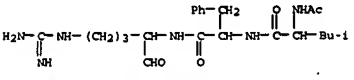
● HCl

RN 147600-34-8 CAPLUS
CN L-Leucinamide, N-acetyl-L-leucyl-N-(5-amino-1-formylpentyl)-, monohydrochloride, (S)- (9CI) (CA INDEX NAME)

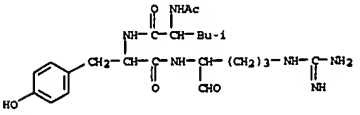


● HCl

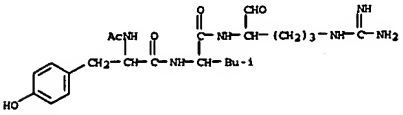
RN 147600-35-9 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-leucyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, (S)- (9CI) (CA INDEX NAME)



RN 147600-36-0 CAPLUS
CN L-Tyrosinamide, N-acetyl-L-leucyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, (S)- (9CI) (CA INDEX NAME)

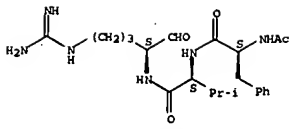


RN 147600-37-1 CAPLUS
CN L-Leucinamide, N-acetyl-L-tyrosyl-N-[4-[(aminoiminomethyl)amino]-1-formylbutyl]-, (S)- (9CI) (CA INDEX NAME)

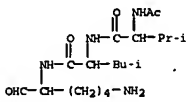


RN 147600-38-2 CAPLUS
CN L-Valinamide, N-acetyl-L-phenylalanyl-N-[(1S)-4-[(aminoiminomethyl)amino]-1-formylbutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

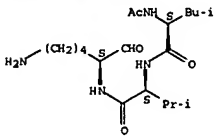


RN 147600-39-3 CAPLUS
CN L-Leucinamide, N-acetyl-L-valyl-N-(5-amino-1-formylpentyl)-,(S)- (9CI) (CA INDEX NAME)

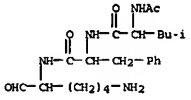


RN 147600-40-6 CAPLUS
CN L-Valinamide, N-acetyl-L-leucyl-N-[(1S)-5-amino-1-formylpentyl]-(9CI) (CA INDEX NAME)

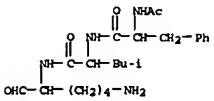
Absolute stereochemistry.



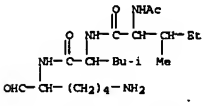
RN 147600-41-7 CAPLUS
CN L-Phenylalaninamide, N-acetyl-L-leucyl-N-(5-amino-1-formylpentyl)-,(S)- (9CI) (CA INDEX NAME)



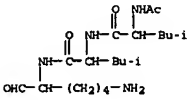
RN 147600-42-8 CAPLUS
CN L-Leucinamide, N-acetyl-L-phenylalanyl-N-(5-amino-1-formylpentyl)-,(S)- (9CI) (CA INDEX NAME)



RN 147600-43-9 CAPLUS
CN L-Leucinamide, N-acetyl-L-isoleucyl-N-(5-amino-1-formylpentyl)-,(S)- (9CI) (CA INDEX NAME)



RN 147648-37-1 CAPLUS
CN L-Leucinamide, N-acetyl-L-leucyl-N-(5-amino-1-formylpentyl)-,(S)- (9CI) (CA INDEX NAME)

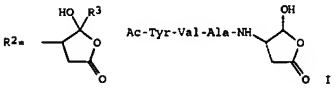


=> D 494 IBIB ABS HITSTR

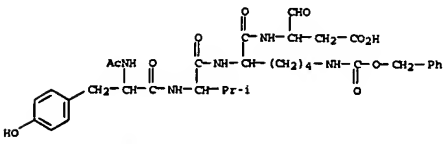
L9 ANSWER 494 OF 501 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:255358 CAPLUS
DOCUMENT NUMBER: 118:255358
TITLE: Peptidyl derivatives as inhibitors of interleukin-1β converting enzyme
INVENTOR(S): Chapman, Kevin T.; Thornberry, Nancy A.; Bull, Herb G.; Weidner, Jeffrey R.; Maccoss, Malcolm; Mjalli, Adnan M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: Eur. Pat. Appl., 54 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 519748	A2	19921223	EP 1992-305670	19920619
EP 519748	A3	19930505		
EP 519748	B1	19980902		
R: CH, DE, FR, GB, IT, LI, NL				
CA 2071674	A1	19921222	CA 1992-2071674	19920619
CA 2071674	C	20030819		
JP 05255218	A	19931005	JP 1992-204213	19920622
JP 06102642	B	19941214		
US 5434248	A	19950718	US 1993-70483	19930602
PRIORITY APPLN. INFO.:			US 1991-718892	A 19910621
			US 1991-811157	A 19911219
			US 1992-889555	A 19920527

OTHER SOURCE(S): CASREACT 118:255358; MARPAT 118:255358
GI

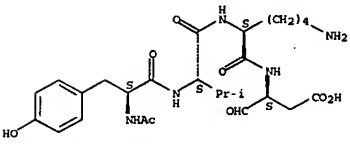


AB RCO-X-X1-X2-NHR1 [X-X2 = bond, amino acid; R = (un)substituted alkyl, aryl; R1 = R2, CH(COR3)CH2CO2H, CH[CR3(OH)2]CH2CO2H; R3 = H, D, (un)esterified CO2H, acyl, fluoroalkyl, hydroxyalkyl] were prepared Thus, H-Asp(CMe3)-OH was reduced to the alc., then oxidized to the aldehyde, which was converted to its di-Me acetal, deblocked, and coupled with Ac-Tyr-Val-Ala-OH, followed by ester and acetal hydrolysis to give the hemiacetal I.
IT 147821-00-9P 147821-01-0P 147837-39-6P
147837-40-9P 147837-41-0P 147837-42-1P
147837-43-2P 147837-44-3P 147837-45-4P
147837-46-5P 147837-48-7P 147837-49-8P
147837-50-1P 147837-52-3P 147837-54-5P
147859-92-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 147821-00-9 CAPLUS
CN L-Lysinamide, N-acetyl-L-tyrosyl-L-valyl-N-(2-carboxy-1-formylethyl)-N6-[(phenylmethoxy)carbonyl]-,(S)- (9CI) (CA INDEX NAME)

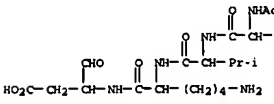


RN 147821-01-0 CAPLUS
CN L-Lysinamide, N-acetyl-L-tyrosyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-(9CI) (CA INDEX NAME)

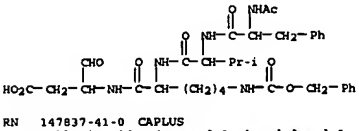
Absolute stereochemistry.



RN 147837-39-6 CAPLUS
CN L-Lysinamide, N-acetyl-L-phenylalanyl-L-valyl-N-(2-carboxy-1-formylethyl)-, (S)- (9CI) (CA INDEX NAME)

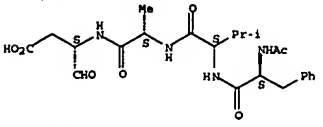


RN 147837-40-9 CAPLUS
CN L-Lysinamide, N-acetyl-L-phenylalanyl-L-valyl-N-(2-carboxy-1-formylethyl)-N6-[(phenylmethoxy)carbonyl]-,(S)- (9CI) (CA INDEX NAME)

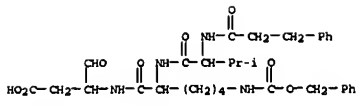


RN 147837-41-0 CAPLUS
CN L-Alaninamide, N-acetyl-L-phenylalanyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]- (9CI) (CA INDEX NAME)

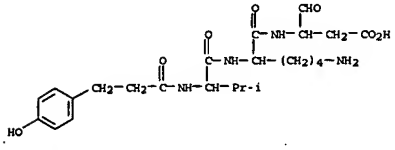
Absolute stereochemistry.



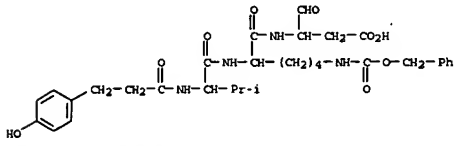
RN 147837-42-1 CAPLUS
CN L-Lysinamide, N-(1-oxo-3-phenylpropyl)-L-valyl-N-(2-carboxy-1-formylethyl)-N6-[(phenylmethoxy)carbonyl]-,(S)- (9CI) (CA INDEX NAME)



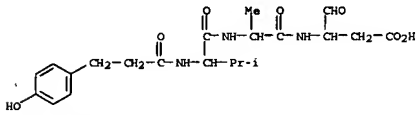
RN 147837-43-2 CAPLUS
CN L-Lysinamide, N-[3-(4-hydroxyphenyl)-1-oxopropyl]-L-valyl-N-(2-carboxy-1-formylethyl)-, (S)- (9CI) (CA INDEX NAME)



RN 147837-44-3 CAPLUS
CN L-Lysinamide, N-[3-(4-hydroxyphenyl)-1-oxopropyl]-L-valyl-N-(2-carboxy-1-formylethyl)-N6-[(phenylmethoxy)carbonyl]-,(S)- (9CI) (CA INDEX NAME)

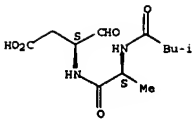


RN 147837-45-4 CAPLUS
CN L-Alaninamide, N-[3-(4-hydroxyphenyl)-1-oxopropyl]-L-valyl-N-(2-carboxy-1-formylethyl)-, (S)- (9CI) (CA INDEX NAME)

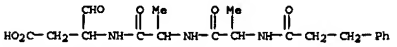


RN 147837-46-5 CAPLUS
CN Butanoic acid, 3-[[2-[(3-methyl-1-oxobutyl)amino]-1-oxopropyl]amino]-4-oxo-, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

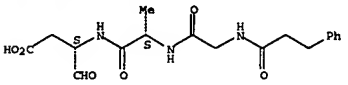


RN 147837-48-7 CAPLUS
CN L-Alaninamide, N-(1-oxo-3-phenylpropyl)-L-alanyl-N-(2-carboxy-1-formylethyl)-, (S)- (9CI) (CA INDEX NAME)

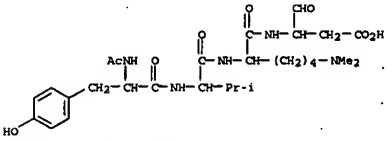


RN 147837-49-8 CAPLUS
CN L-Alaninamide, N-(1-oxo-3-phenylpropyl)glycyl-N-(2-carboxy-1-formylethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

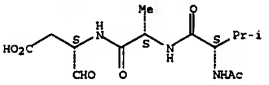


RN 147837-50-1 CAPLUS
CN L-Lysinamide, N-acetyl-L-tyrosyl-L-valyl-N-(2-carboxy-1-formylethyl)-N6,N6-dimethyl-, (S)- (9CI) (CA INDEX NAME)

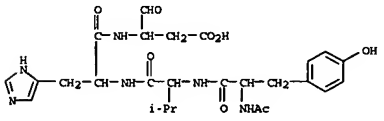


RN 147837-52-3 CAPLUS
CN L-Alaninamide, N-acetyl-L-valyl-N-[(1S)-2-carboxy-1-formylethyl]-(9CI) (CA INDEX NAME)

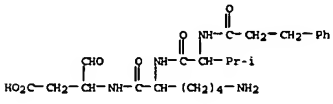
Absolute stereochemistry.



RN 147837-54-5 CAPLUS
CN L-Histidinamide, N-acetyl-L-tyrosyl-L-valyl-N-(2-carboxy-1-formylethyl)-, (S)- (9CI) (CA INDEX NAME)



RN 147859-92-5 CAPLUS
CN L-Lysinamide, N-(1-oxo-3-phenylpropyl)-L-valyl-N-(2-carboxy-1-formylethyl)-, (S)- (9CI) (CA INDEX NAME)

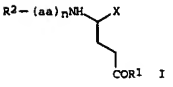


=> D 493 IBIB ABS HITSTR

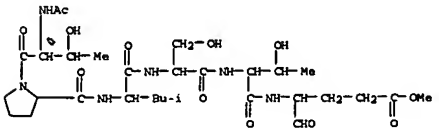
L9 ANSWER 493 OF 501 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:496180 CAPLUS
DOCUMENT NUMBER: 119:96180
TITLE: Inhibitors of picornavirus proteases
INVENTOR(S): Malcolm, Bruce; Yang, Chi Ching
PATENT ASSIGNEE(S): Chiron Corp., USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9222570	A1	19921223	WO 1992-US5167	19920612
W: AU, CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
AU 9222518	A	19930112	AU 1992-22518	19920612
JP 06510986	T	19941208	JP 1992-501114	19920612
EP 668870	A1	19950830	EP 1992-914531	19920612
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, SE				
PRIORITY APPLN. INFO.:			US 1991-714908 A	19910614
			WO 1992-US5167 A	19920612

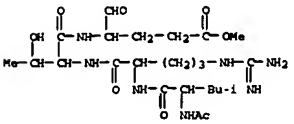
OTHER SOURCE(S): MARPAT 119:96180
GI



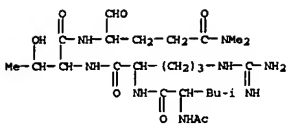
AB Peptide derivs. I [R1 = OR3 or NR3R4 (R3 = alkyl, OH, alkoxy, aralkyl; R4 = H, alkyl); R2 = H, acyl; n = an integer from 2 to 40; X = CHO, CN, COCH2F, COCH2Cl, COCH2N2, CH:NHC(:S)NH2, COCOR5 (R5 = alkyl, alkoxy, aryl, aralkyl, aralkoxy); aa indicates an amino acid wherein (aa)n is an amino acid sequence recognized by a selected protease] were prepared as inhibitors of picornavirus proteases. Thus, Boc-Glu(OMe)-OH (Boc = Me3CO2C) was esterified with EtSH by ClCO2Et in the presence of Et3N and DMAP and then Boc-deblocked by trifluoroacetic acid (TFA) to give the corresponding Et glutamate thioester. The latter was coupled with protected peptide Ac-T(tert-Bu)-P-L-S(tert-Bu)-T(tert-Bu)-OHby BOP reagent in the presence of 1-hydroxybenzotriazole in DMF and the resulting product was de-tert-butylated by TFA to give the peptide thioester Ac-TPLSTE(OMe)-SEt, which was reduced by Et3N and Pd in CH2Cl2 to give peptide aldehyde Ac-TPLSTE(OMe)-CHO (II). II inhibited hepatitis A virus protease with an IC55 = 0.3 μM.
IT 149125-83-7P 149125-87-1P 149125-89-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as inhibitor of hepatitis A virus protease)
RN 149125-83-7 CAPLUS
CN L-Threoninamide, N-acetyl-L-threonyl-L-prolyl-L-leucyl-L-seryl-N-(1-formyl-4-methoxy-4-oxobutyl)-, (S)- (9CI) (CA INDEX NAME)



RN 149125-87-1 CAPLUS
CN L-Threoninamide, N-acetyl-L-leucyl-L-arginyl-N-(1-formyl-4-methoxy-4-oxobutyl)-, (S)- (9CI) (CA INDEX NAME)



RN 149125-89-3 CAPLUS
CN L-Threoninamide, N-acetyl-L-leucyl-L-arginyl-N-[4-(dimethylamino)-1-formyl-4-oxobutyl]-, (S)- (9CI) (CA INDEX NAME)



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 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  OCT 23  The Derwent World Patents Index suite of databases on STN
                  has been enhanced and reloaded
 NEWS  4  OCT 30  CHEMLIST enhanced with new search and display field
 NEWS  5  NOV 03  JAPIO enhanced with IPC 8 features and functionality
 NEWS  6  NOV 10  CA/CAplus F-Term thesaurus enhanced
 NEWS  7  NOV 10  STN Express with Discover! free maintenance release Version
                  8.01c now available
 NEWS  8  NOV 20  CA/CAplus to MARPAT accession number crossover limit increased
                  to 50,000
 NEWS  9  DEC 01  CAS REGISTRY updated with new ambiguity codes
 NEWS 10  DEC 11  CAS REGISTRY chemical nomenclature enhanced
 NEWS 11  DEC 14  WPIDS/WPINDEX/WPIX manual codes updated
 NEWS 12  DEC 14  GBFULL and FRFULL enhanced with IPC 8 features and
                  functionality
 NEWS 13  DEC 18  CA/CAplus pre-1967 chemical substance index entries enhanced
                  with preparation role
 NEWS 14  DEC 18  CA/CAplus patent kind codes updated
 NEWS 15  DEC 18  MARPAT to CA/CAplus accession number crossover limit increased
                  to 50,000
 NEWS 16  DEC 18  MEDLINE updated in preparation for 2007 reload
 NEWS 17  DEC 27  CA/CAplus enhanced with more pre-1907 records
 NEWS 18  JAN 08  CHEMLIST enhanced with New Zealand Inventory of Chemicals
 NEWS 19  JAN 16  CA/CAplus Company Name Thesaurus enhanced and reloaded
 NEWS 20  JAN 16  IPC version 2007.01 thesaurus available on STN
 NEWS 21  JAN 16  WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
 NEWS 22  JAN 22  CA/CAplus updated with revised CAS roles
 NEWS 23  JAN 22  CA/CAplus enhanced with patent applications from India
 NEWS 24  JAN 29  PHAR reloaded with new search and display fields
 NEWS 25  JAN 29  CAS Registry Number crossover limit increased to 300,000 in
                  multiple databases
 NEWS 26  FEB 13  CASREACT coverage to be extended
 NEWS 27  Feb 15  PATDPASPC enhanced with Drug Approval numbers
 NEWS 28  Feb 15  RUSSIAPAT enhanced with pre-1994 records

 NEWS EXPRESS  NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding.STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that
specific topic.

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FILE 'HOME' ENTERED AT 20:25:41 ON 20 FEB 2007

=> FILE REG
COST IN U.S. DOLLARS                                SINCE FILE     TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                      0.21      0.21

FILE 'REGISTRY' ENTERED AT 20:25:53 ON 20 FEB 2007
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STRUCTURE FILE UPDATES:   19 FEB 2007  HIGHEST RN 921921-74-6
DICTIONARY FILE UPDATES:  19 FEB 2007  HIGHEST RN 921921-74-6

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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predicted properties as well as tags indicating availability of
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http://www.cas.org/ONLINE/UG/regprops.html

=>
Uploading C:\Program Files\Stnexp\Queries\HepC PROTEASE INHs SEARCH 4.str
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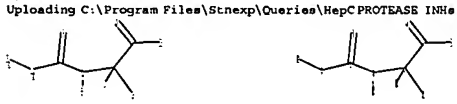

```
chain nodes :
1  2  3  4  5  6  7  9  10  11  12  13
chain bonds :
1-2  2-3  2-4  3-12  4-5  4-13  5-6  5-10  5-11  6-7  6-9
exact/norm bonds :
1-2  2-4  4-5  6-7
exact bonds :
2-3  3-12  4-13  5-6  5-10  5-11  6-9

G1:H,CH2

Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  9:CLASS  10:CLASS
11:CLASS  12:CLASS  13:CLASS


L1      STRUCTURE UPLOADED

=> D L1
L1 HAS NO ANSWERS
L1              STR
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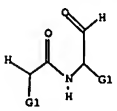
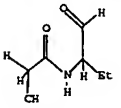


```
G1 Ak,Cb

Structure attributes must be viewed using STN Express query preparation.

=> S L1
SAMPLE SEARCH INITIATED 20:26:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    95757 TO ITERATE

  2.1% PROCESSED     2000 ITERATIONS                          3 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      1896773 TO  1933507
PROJECTED ANSWERS:            2153 TO     3591

L2             3 SEA SSS SAM L1
```

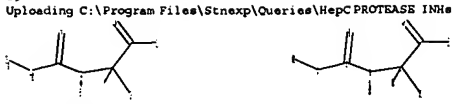
```
=>
Uploading C:\Program Files\Stnexp\Queries\HepC PROTEASE INHs SEARCH 4.str
```

```
chain nodes :
1  2  3  4  5  6  7  9  10  11  12  13
chain bonds :
1-2  2-3  2-4  3-12  4-5  4-13  5-6  5-10  5-11  6-7  6-9
exact/norm bonds :
1-2  2-4  4-5  6-7
exact bonds :
2-3  3-12  4-13  5-6  5-10  5-11  6-9

G1:H,CH2

Match level :
1:CLASS  2:CLASS  3:CLASS  4:CLASS  5:CLASS  6:CLASS  7:CLASS  9:CLASS  10:CLASS
11:CLASS  12:CLASS  13:CLASS


L3      STRUCTURE UPLOADED

=> D L3
L3 HAS NO ANSWERS
L3              STR
```



```
G1 Ak,Cb

Structure attributes must be viewed using STN Express query preparation.

=> S L3
SAMPLE SEARCH INITIATED 20:27:43 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -    75980 TO ITERATE

  2.6% PROCESSED     2000 ITERATIONS                          0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **INCOMPLETE**
                        BATCH   **INCOMPLETE**
PROJECTED ITERATIONS:      1503202 TO  1535998
PROJECTED ANSWERS:               0 TO        0

L4             0 SEA SSS SAM L3
```

=>
Uploading C:\Program Files\Stnexp\Queries\HepC PROTEASE INHs SEARCH 4.str



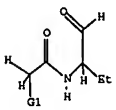
chain nodes :
1 2 3 4 5 6 7 9 10 11 12 13
chain bonds :
1-2 2-3 2-4 3-12 4-5 4-13 5-6 5-10 5-11 6-7 6-9
exact/norm bonds :
1-2 2-4 4-5 6-7
exact bonds :
2-3 3-12 4-13 5-6 5-10 5-11 6-9

G1:H,CH2

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS

L5 STRUCTURE UPLOADED

=> D L5
L5 HAS NO ANSWERS
L5 STR



G1 Me,Et,n-Pr,i-Pr,n-Bu,i-Bu,s-Bu,t-Bu,Ph

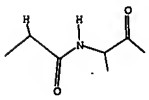
Structure attributes must be viewed using STN Express query preparation.

=> S L5
SAMPLE SEARCH INITIATED 20:29:29 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 75974 TO ITERATE

2.6% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 1503083 TO 1535877
PROJECTED ANSWERS: 0 TO 0

L6 0 SEA SSS SAM L5



Structure attributes must be viewed using STN Express query preparation.

=> S L10
SAMPLE SEARCH INITIATED 20:37:22 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 34388 TO ITERATE

5.8% PROCESSED 2000 ITERATIONS 35 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 676677 TO 698843
PROJECTED ANSWERS: 10564 TO 13506

L11 35 SEA SSS SAM L10

=> FILE CAPLUS
COST IN U.S. DOLLARS SINCE FILE ENTRY 195.05 TOTAL SESSION 195.26

FULL ESTIMATED COST

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FILE LAST UPDATED: 19 Feb 2007 (20070219/ED)

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=> S L11
L12 50 L11

=> D 1-50 IBIB ABS HITSTR

L12 ANSWER 1 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1319038 CAPLUS

=> S L5 SSS FULL
FULL SEARCH INITIATED 20:30:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1519666 TO ITERATE

65.8% PROCESSED 1000000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.08

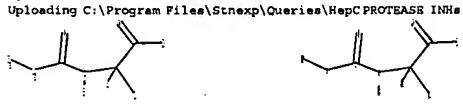
FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 1519666 TO 1519666
PROJECTED ANSWERS: 0 TO 0

L7 0 SEA SSS FUL L5

=> S PROPIONAMIDE
L8 12555 PROPIONAMIDE

=> S L8 AND N-FORMYLETHYL
7278977 N
1558 FORMYLETHYL
5 N-FORMYLETHYL
(N(W)FORMYLETHYL)
L9 0 L8 AND N-FORMYLETHYL

=>
Uploading C:\Program Files\Stnexp\Queries\HepC PROTEASE INHs SEARCH 4.str



chain nodes :
1 2 3 4 5 6 7 9 10 11 12 13
chain bonds :
1-2 2-3 2-4 3-12 4-5 4-13 5-6 5-10 5-11 6-7 6-9
exact/norm bonds :
1-2 2-4 4-5 6-7
exact bonds :
2-3 3-12 4-13 5-6 5-10 5-11 6-9

G1:H,CH2

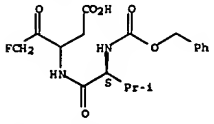
Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS

L10 STRUCTURE UPLOADED

=> D L10
L10 HAS NO ANSWERS
L10 STR

DOCUMENT NUMBER: 146:78309
TITLE: A transgenic zebrafish model of neutrophilic inflammation
AUTHOR(S): Renshaw, Stephen A.; Loynes, Catherine A.; Trushell, Daniel M. I.; Elworthy, Stone; Ingham, Philip W.; Whyte, Moira K. B.
CORPORATE SOURCE: MRC Centre for Developmental and Biomedical Genetics, the Academic Unit of Respiratory Medicine, School of Medicine and Biomedical Sciences, University of Sheffield, Sheffield, UK
SOURCE: Blood (2006), 108(13), 3976-3978
CODEN: BLOOAW; ISSN: 0006-4971
PUBLISHER: American Society of Hematology
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The authors have established an in vivo model for genetic anal. of the inflammatory response by generating a transgenic zebrafish line that expresses GFP under the neutrophil-specific myeloperoxidase promoter. The authors show that inflammation is induced after transection of the tail of zebrafish larvae and that this inflammation subsequently resolves over a similar time course to mammalian systems. Quant. data can be generated from this model by counting of fluorescent cells or by digital image anal. In addition, the authors show that the resolution of exptl. induced inflammation can be inhibited by the addition of a pancaspase inhibitor, zVD.fmk, demonstrating that exptl. manipulation of the resolution of inflammation is possible in this model.
IT 582316-00-5
RL: BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pancaspase inhibitor Z-VD-FMK attenuates neutrophilic inflammation in zebrafish model)
RN 582316-00-5 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:1202275 CAPLUS
DOCUMENT NUMBER: 145:485081
TITLE: Imaging of neural and organ injury or damage
INVENTOR(S): Wang, Kevin Ka-Wang; Hayes, Ronald L.; Baxter, Lewis R.; Prokai, Laszlo
PATENT ASSIGNEE(S): University of Florida Research Foundation, Inc., USA
SOURCE: PCT Int. Appl., 46pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006122237	A2	20061116	WO 2006-US18222	20060511

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2005-680282P P 20050511

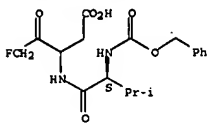
AB In vivo determination of regional damage with neural and organ injury specific imaging agents. Rapid, and non-invasive imaging compns. and methods for assessment of the extent of neurotoxic cell loss or nervous system damage resulting from nervous system injury due to ischemia, stroke, trauma, chemical or elec. insult, acute drug overdose or exposure to substance abuse (such as "recreational drugs") infection or other insults. Neural and organ damage is detected via protease inhibitor-based radionuclide-labeled imaging ligand binding to overactivated proteases (calpains, caspases, cathepsins, proteasome, metalloproteases, granzyme B or other proteases) that are specific to neural or organ injury or damage.

IT 582316-00-5
RL: DGN (Diagnostic use); BIOL (Biological study); USES (Uses)
(calpain and caspase inhibitors and radionuclides as imaging agents for nerve and organ damage)

RN 582316-00-5 CAPLUS

CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 3 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1167403 CAPLUS

DOCUMENT NUMBER: 146:155285

TITLE: A novel QSAR model for evaluating and predicting the inhibition activity of dipeptidyl aspartyl fluoromethylketones

AUTHOR(S): Afantitis, Antreas; Melagraki, Georgia; Sarimveis, Haralambos; Koutentis, Panayiotis A.; Markopoulos, John; Igglessi-Markopoulou, Olga

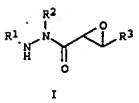
CORPORATE SOURCE: School of Chemical Engineering, National Technical University of Athens, Athens, Greece

SOURCE: QSAR & Combinatorial Science (2006), 25(10), 928-935
CODEN: QCSSAU; ISSN: 1611-020X

PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA

DOCUMENT TYPE: Journal

LANGUAGE: English



I

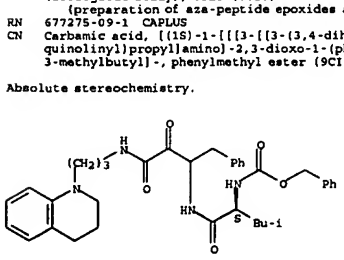
AB The disclosure relates to aza-peptide epoxide I [R1 is M1, M2-AA1, M2-AA2-AA1, or M2-AA3-AA2-AA1, where M1 is NH2CO, NH2CS, NH2SO2, etc.; M2 is H or a group given for M1; AA1, AA2, and AA3 are side chain-blocked or unblocked amino acids with the L- or D-configuration or no chirality; R2 is (un)substituted alkyl, Ph, or naphthyl; R3 is (un)substituted (cyclo)alkyl, CO2H or esters, carboxamido groups, including amino acid derivs.] and their pharmaceutically-acceptable salts, which as caspase inhibitors can be used for the treatment and/or prevention of nerve degeneration in mammals. The compds. can be used in combination with calpain inhibitors to treat disease or pathol. conditions related to the activity of caspases and calpain associated with a specific disease or condition. Synthetic and biol. activity examples are provided. A bar graph shows a quant. measure of relative protection of calpain inhibitor AK295 [Cbz-Leu-Abu-CONH(CH2)3-4-morpholinyl(Cbz is benzyloxycarbonyl, Abu is γ-aminobutyric acid residue)], aza-peptide epoxide JG36 [Cbz-Asp-Glu-Val-AAsp-EP-CO2Et(AAsp is NHN(CH2CONH2)CO, EP is oxirane residue)] , and a combination of AK295 and JG36 against vincristine-induced axonal degeneration at 6 days after treatment.

IT 677275-09-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of aza-peptide epoxides as protease inhibitors)

RN 677275-09-1 CAPLUS

CN Carbamic acid, [(1S)-1-[[[3-[[3-(3,4-dihydro-1(2H)-quinolinyl]propyl]amino]-2,3-dioxo-1-(phenylmethyl]propyl]amino]carbonyl]-3-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 5 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:150046 CAPLUS

DOCUMENT NUMBER: 142:392651

TITLE: Development of α-keto-based inhibitors of cruzain, a cysteine protease implicated in Chagas disease

AUTHOR(S): Choe, Youngchool; Brinen, Linda S.; Price, Mark S.; Engel, Juan C.; Lange, Meinolf; Grisostomi, Corinna; Weston, Scott G.; Pallai, Peter V.; Cheng, Hong; Hardy, Larry W.; Hartsough, David S.; McMakin, Marsha; Tilton, Robert F.; Baldino, Carmen M.; Craik, Charles S.

CORPORATE SOURCE: Department of Pharmaceutical Chemistry, University of California at San Francisco, San Francisco, CA, 94143-2280, USA

SOURCE: Bioorganic & Medicinal Chemistry (2005), 13(6), 2141-2156
CODEN: BMECEP; ISSN: 0968-0896

PUBLISHER: Elsevier Ltd.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:392651

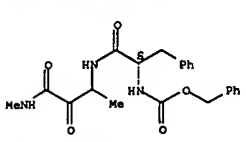
AB Trypanosoma cruzi, a protozoan parasite, is the causative agent of Chagas disease, a major cause of cardiovascular disease in many Latin American countries. There is an urgent need to develop an improved therapy due to the toxicity of existing drugs and emerging drug resistance. Cruzain, the primary cysteine protease of T. cruzi, is essential for the survival of the parasite in host cells and therefore is an important target for the development of inhibitors as potential therapeutics. A novel series of α-ketoamide-, α-keto acid-, α-keto ester-, and aldehyde-based inhibitors of cruzain has been developed. The inhibitors were identified by screening protease targeted small mol. libraries and systematically optimizing the P1, P2, P3, and P1' residues using specific structure-guided methods. A total of 20 compds. displayed picomolar potency in in vitro assays and three inhibitors representing different α-keto-based inhibitor scaffolds demonstrated anti-trypanosomal activity in cell culture. A 2.3 Å crystallog. structure of cruzain bound with one of the α-ketoester analogs is also reported. The structure and kinetic assay data illustrate the covalent binding, reversible inhibition mechanism of the inhibitor. Information on the compds. reported here will be useful in the development of new lead compds. as potential therapeutic agents for the treatment of Chagas disease and as biol. probes to study the role that cruzain plays in the pathol. This study also demonstrates the validity of structure-guided approaches to focused library design and lead compound optimization.

IT 850159-21-6, AO 665184
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(peptidyl α-keto-based inhibitors of cruzain, a cysteine protease implicated in chagas disease)

RN 850159-21-6 CAPLUS

CN Carbamic acid, [(1S)-2-[[1-methyl-3-(methylamino)-2,3-dioxopropyl]amino]-2-oxo-1-(phenylmethyl)ethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 6 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:130294 CAPLUS

DOCUMENT NUMBER: 142:392641

TITLE: Dipeptidyl aspartyl fluoromethylketones as potent caspase inhibitors: peptidomimetic replacement of the P2 α-amino acid by a α-hydroxy acid

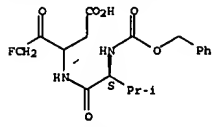
AB A linear quant. structure activity relation model is obtained using Multiple Linear Regression (MLR) anal. as applied to a series of 49 dipeptidyl aspartyl fluoromethylketone derivs. with inhibitory activity of the caspase enzyme. For the selection of the best descriptors, the elimination selection stepwise regression method is utilized. The accuracy of the proposed MLR model is illustrated using the following evaluation techniques: croas validation, validation through an external test set, and Y-randomization. Furthermore, the domain of applicability which indicates the area of reliable predictions is defined.

IT 582316-00-5
RL: PAC (Pharmacological activity); PRP (Properties); BIOL (Biological study)
(QSAR model for evaluating and predicting inhibition activity of dipeptidyl aspartyl fluoromethylketones)

RN 582316-00-5 CAPLUS

CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 4 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:769186 CAPLUS

DOCUMENT NUMBER: 145:211345

TITLE: Preparation of aza-peptide epoxides as protease inhibitors

INVENTOR(S): Powers, James C.; Glass, Jonathan D.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 41pp., Cont.-in-part of U.S. Ser. No. 603,054.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 2006172952	A1	20060803	US 2006-338147		20060124
US 2004048327	A1	20040311	US 2003-603054		20030624
US 7056947	B2	20060606			
PRIORITY APPLN. INFO.:			US 2002-394023P	P	20020705
			US 2002-394024P	P	20020705
			US 2002-394221P	P	20020705
			US 2003-603054	A2	20030624

OTHER SOURCE(S): MARPAT 145:211345

GI

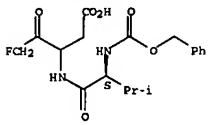
AUTHOR(S): Wang, Yan; Guan, Lufeng; Jia, Shaojuan; Tseng, Ben; Drewe, John; Cai, Sui Xiong
CORPORATE SOURCE: Maxim Pharmaceuticals, San Diego, CA, 92121, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(5), 1379-1383
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:392641

AB As a continuation of our SAR (structure activity relationship) studies of dipeptidyl aspartyl-fmk as caspase inhibitors, we explored the replacement of the P2 α-amino acid by a peptidomimetic α-hydroxy acid. These α-carbamoyl-alkylcarbonyl-aspartylfluoromethylketones were found to be potent caspase inhibitors, and the SAR of these compds. is similar to the corresponding dipeptidyl aspartyl-fmk. MX1153, (S)-3-methyl-2-(phenylcarbamoyl)butanoyl-Asp-fmk,is identified as a potent broad-spectrum caspase inhibitor, and is selective for caspases vs. other proteases. MX1153 also has good activity in the cell apoptosis protection assays and is active in the mouse liver apoptosis model.

IT 582316-00-5
RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation and structure-activity relationship of dipeptidyl aspartyl fluoromethylketones as potent caspase inhibitors)

RN 582316-00-5 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 31 THERE ARE 31 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 7 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:1019987 CAPLUS
DOCUMENT NUMBER: 141:411229
TITLE: Preparation of peptidyl protease inhibitors for coronaviruses and SARS-CoV
INVENTOR(S): Cai, Sui Xiong; Kemnitzer, William E.; Zhang, Hong; Zhang, Han-Zhong
PATENT ASSIGNEE(S): Cytovia, Inc, USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004101742	A2	20041125	WO 2004-US14068	20040506
WO 2004101742	A3	20050616		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2524882	A1	20041125	CA 2004-2524882	20040506
EP 1628674	A2	20060301	EP 2004-760886	20040506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR				
CN 1784239	A	20060607	CN 2004-80012163	20040506
PRIORITY APPLN. INFO.:			US 2003-468098P	P 20030506
			US 2003-470881P	P 20030516
			US 2003-512845P	P 20031021
			US 2004-536701P	P 20040116
			US 2004-551362P	P 20040310
			WO 2004-US14068	W 20040506

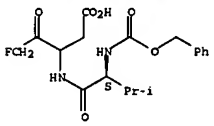
OTHER SOURCE(S): MARPAT 141:411229

AB The invention relates to peptides R5-A-L-NHCH(Y)COR2 [Y is H, alkyl, CH2(CH2)nCONR1R3 or CH2(CH2)nNR16CONR1R3, where n is 0-2, R1, R3, R16 are independently H or (un)substituted alkyl or combine to form heterocyclyl; R2 is H or (un)substituted alkyl; L is a bond or -ZCR6R7CO-, where R6 and R7 are independently H, (un)substituted aryl, heterocyclyl, carbocyclyl, heteroaryl, alkyl, alkenyl or alkynyl and Z is a bond, O, (un)substituted methylene, imino-2-oxopyridin-3,1-diylor imino-6-oxopyrimidin-5,1-diyl;A is a peptide of 1-2 amino acids or a bond; R5 is an acyl, 2-oxoacyl or sulfonyl group, 2(1H)-pyridinone, 4(3H)- or 2(1H)-pyrimidinone or 2(5H)-pyrrolone moieties attached at N and which may be substituted], which are protease inhibitors for coronaviruses and SARS-CoV, or picornaviruses, and the use of these protease inhibitors for preventing, reducing, ameliorating and treating a disease or condition caused by these viruses. Thus, Cbz-Leu-NHCH(CH2CH2CONH2)COCH2F (Cbz = benzyloxycarbonyl) was prepared by coupling of H2NCH(CH2CH2CONH2)CH(OH)CH2F(4) with Z-Leu-OH, followed by Dess-Martin oxidation Intermediate compound 4 was obtained from Me 4-nitrobutyrate by amidation, reaction with fluoroacetaldehyde formed by Swern oxidation of fluoroethanol, and catalytic hydrogenation. Compds. of the invention were tested for inhibition of SARS coronavirus-induced cell death. 3-(Cbz-Val-amido)-5-fluoro-4-oxopentanoicacid dimethylamide, prepared by amidation reaction, was found to have EC50 = 0.0021 mg/mL and TC50 > 0.050 mg/mL, which give an SI value of >24.

IT 582316-00-5
RL: RCT (Reactant); RACT (Reactant or reagent) (preparation of peptidyl protease inhibitors for coronaviruses and SARS-CoV)

RN 582316-00-5 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 8 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

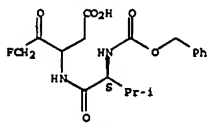
ACCESSION NUMBER: 2004:791932 CAPLUS
DOCUMENT NUMBER: 142:6800
TITLE: Dipeptidyl aspartyl fluoromethylketones as potent caspase inhibitors: SAR of the N-protecting group
AUTHOR(S): Cai, Sui Xiong; Guan, Lufeng; Jia, Shaojuan; Wang, Yan; Yang, Wu; Tseng, Ben; Drewe, John
CORPORATE SOURCE: Maxim Pharmaceuticals, San Diego, CA, 92121, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(21), 5295-5300
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:6800

AB This article describes the synthesis by peptide coupling, following by Dess-Martin oxidation, and biol. evaluation of a group of N-protected Val-Asp-CH2F as caspase inhibitors. The protecting group was found to contribute to caspase-3 inhibiting activity, and compds. with a large group such as Cbz are more active than compds. with a small group such as Ac. Compds. with more hydrophobic protecting groups were found to be more active in cell apoptosis protection assays, probably due to increased cell permeability. MX1122, 2,4-di-Cl-Cbz-Val-Asp-CH2F, is identified as a potent broad-spectrum caspase inhibitor and is selective for caspases vs. other proteases, with good activity in the cell apoptosis protection assays as well as good efficacy in the mouse liver apoptosis model.

IT 582316-00-5
RL: BSU (Biological study, unclassified); BIOL (Biological study) (preparation of protected dipeptidyl aspartyl fluoromethylketones as caspase inhibitors and caspase-inhibiting structure-activity relationship of N-protecting group)

RN 582316-00-5 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

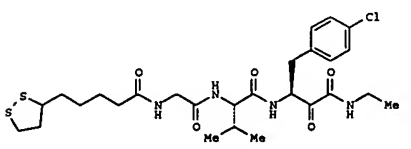
L12 ANSWER 9 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:732208 CAPLUS
DOCUMENT NUMBER: 141:225843
TITLE: Preparation of α-keto peptides as calpain inhibitors
INVENTOR(S): Henneboehle, Marco; Herzner, Holger; Lescop, Cyrille; Siendt, Herbe; Weyermann, Philipp; Von Sprecher, Andreas
PATENT ASSIGNEE(S): Myocontract Ltd., Switz.
SOURCE: Eur. Pat. Appl., 56 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1454627	A1	20040908	EP 2003-4910	20030306
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
AU 2004218294	A1	20040916	AU 2004-218294	20040303
CA 2518020	A1	20040916	CA 2004-2518020	20040303
WO 2004078908	A2	20040916	WO 2004-EP2142	20040303
WO 2004078908	A3	20060713		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1664269	A2	20060607	EP 2004-716583	20040303
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK				
JP 2006526571	T	20061124	JP 2006-500045	20040303
US 2006258598	A1	20061116	US 2006-548239	20060213
PRIORITY APPLN. INFO.:			EP 2003-4910	A 20030306
			WO 2004-EP2142	A 20040303

OTHER SOURCE(S): MARPAT 141:225843
GI



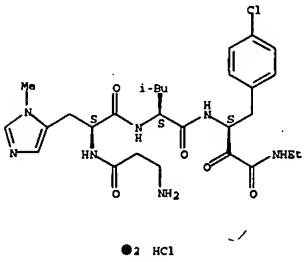
I

AB The invention relates to novel α-keto carbonyl calpain inhibitors for the treatment of diseases such as neurodegenerative, neuromuscular, and mitochondrial disorders. The compds. may also inhibit other thiol proteases such as cathepsins B, H, and L and papain. α-Keto carbonyl compds. T-L-NHCHR3CONHCHR2COCO-X-R1 [R1 is H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylalkyl, alkyl- or arylsulfonyl, alkyl- or arylsulfonylalkyl, heterocyclyl or heterocyclylalkyl; R2 is H, alkyl, cycloalkyl, cycloalkylalkyl, aryl or arylalkyl; R3 is H, alkyl, cycloalkyl or cycloalkylalkyl; X is O or NH; L is a bond, CO, CO(CH2)1-6CO, NH(CH2)1-6CO, CO-cycloalkylene-CO, NH-cycloalkylene-CO, CO-arylene-CO or NH-arylene-CO; T is an amino acid or related residues of defined structure] or their pharmaceutically-acceptable salts are claimed. Thus, peptide I was prepared by condensation of Boc-protected p-chlorophenylalanine with Et isocyanide, followed by coupling/deprotection reactions, and Dess-Martin oxidation

IT 748143-65-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-keto peptides as calpain inhibitors)

RN 748143-65-9 CAPLUS
CN L-Leucinamide, β-alanyl-3-methyl-L-histidyl-N-[(1S)-1-[(4-chlorophenyl)methyl]-3-(ethylamino)-2,3-dioxopropyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



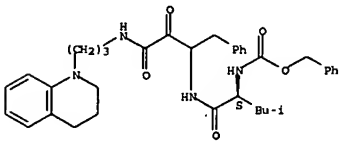
●2 HCl

L12 ANSWER 10 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:290471 CAPLUS
DOCUMENT NUMBER: 140:315086
TITLE: Peptide ketoamide inhibitors for the treatment of neuropathies and hyperproliferative disorders
INVENTOR(S): Powers, James C.; Glass, Jonathan D.
PATENT ASSIGNEE(S): Georgia Tech Research Corp., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004028466	A2	20040408	WO 2003-US30449	20030925
WO 2004028466	A3	20041007		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003299084	A1	20040419	AU 2003-299084	20030925
US 2004127427	A1	20040701	US 2003-671360	20030925
EP 1553964	A2	20050720	EP 2003-756875	20030925
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2006503069	T	20060126	JP 2004-539997	20030925
PRIORITY APPLN. INFO.:			US 2002-413506P	P 20020925
			WO 2003-US30449	W 20030925

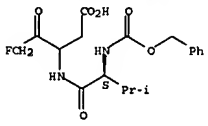
OTHER SOURCE(S): MARPAT 140:315086
AB Compns. and methods for treating neural pathologies are provided. In particular, compns. and methods for treating neural pathologies including axonal degeneration are provided. The compns. include peptide α-ketoamides optionally in combination with a second therapeutic agent. Another aspect of the invention provides compns. and methods for treating hyperproliferative disorders. Exemplary compns. for treating hyperproliferative disorders include an antiproliferative agent such as paclitaxel, in combination with a calpain inhibitor such as AK295.
IT 677275-09-1
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(peptide ketoamide inhibitors for treatment of neuropathies and hyperproliferative disorders)
RN 677275-09-1 CAPLUS
CN Carbamic acid, [(1S)-1-[[[3-[[3-(3,4-dihydro-1(2H)-quinolinyl]propyl]amino]-2,3-dioxo-1-(phenylmethyl]propyl]amino]carbonyl]-3-methylbutyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 11 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:153595 CAPLUS
DOCUMENT NUMBER: 140:321707
TITLE: Dipeptidyl aspartyl fluoromethylketones as potent caspase-3 inhibitors: SAR of the P2 amino acid
AUTHOR(S): Wang, Yan; Huang, Jin-Chen; Zhou, Zhang-lin; Yang, Wu; Guastella, John; Drewe, John; Cai, Sui Xiong
CORPORATE SOURCE: Maxim Pharmaceuticals, San Diego, CA, 92121, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2004), 14(5), 1269-1272
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB This work describes the synthesis and biol. evaluation of a series of dipeptidyl aspartyl fluoromethylketones as caspase-3 inhibitors. Structure-activity relationship (SAR) studies showed that valine is the best P2 amino acid for caspase-3 inhibition. The SAR studies also showed that aspartyl free carboxylic acid in P1 is important for caspase-inhibiting activities, as well as for selectivity over other proteases.
IT 582316-00-5P
RL: BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and biol. activity of dipeptidyl aspartyl fluoromethylketones as inhibitors of proteases such as caspase-3)
RN 582316-00-5 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 29 THERE ARE 29 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

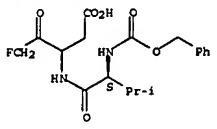
L12 ANSWER 12 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2004:20425 CAPLUS
DOCUMENT NUMBER: 140:73250
TITLE: Caspase inhibitors for the treatment of diseases and conditions caused by exposure to radionuclides, biological agents, or chemical agents
INVENTOR(S): Cai, Sui Xiong; Tseng, Ben Y.
PATENT ASSIGNEE(S): Cytovia, Inc., USA
SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004002401	A2	20040108	WO 2003-US10645	20030407
WO 2004002401	A3	20040401		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003272189	A1	20040119	AU 2003-272189	20030407
EP 1494700	A2	20050112	EP 2003-754361	20030407
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2005171023	A1	20050804	US 2003-510104	20030407
PRIORITY APPLN. INFO.:			US 2002-369806P	P 20020405
			WO 2003-US10645	W 20030407

OTHER SOURCE(S): MARPAT 140:73250
AB The use of caspase inhibitors for treating cell death induced by radionuclides, biol. agents, or chemical agents is disclosed. In particular, treatment of diseases or conditions caused by exposure to radionuclides, biol. agents, or chemical agents, spread of radionuclides, biol. agents, or chemical agents, explosion of radionuclides, biol. agents, or chemical agents by terrorists or accidental exposure to radionuclides, biol. agents, or chemical agents from a nuclear power plant, manufacturing or processing plant, research facility, or hospital is disclosed. In an example provided, caspase inhibitor Cbz-Val-Asp-CH2F was effective in protecting mice from death caused by exposure to γ-radiation.

IT 582316-00-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(caspase inhibitors for treatment of diseases and conditions caused by exposure to radionuclides, biol. agents, or chemical agents)
RN 582316-00-5 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 13 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:855766 CAPLUS
DOCUMENT NUMBER: 139:345913
TITLE: Identification of tumor necrosis factor α (TNF-α) modulator compounds, and use for treatment of TNF-mediated diseases
INVENTOR(S): Miller, Karen; Diu-Hercend, Anita; Hercend, Thierry; Lang, Paul; Weber, Peter; Golec, Julian; Mortimore, Michael
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003088917	A2	20031030	WO 2003-US12262	20030417
WO 2003088917	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003225088	A1	20031103	AU 2003-225088	20030417
US 2004048797	A1	20040311	US 2003-419327	20030417
EP 1499898	A2	20050126	EP 2003-721795	20030417
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRIORITY APPLN. INFO.:			US 2002-374434P	P 20020419
			WO 2003-US12262	W 20030417

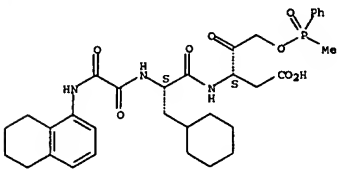
AB The invention discloses methods for identifying compds. useful for regulating TNF-α levels and/or activity. The invention also discloses methods for decreasing TNF-α levels and/or activity. Compds. and compns. of the invention are useful for treating TNF-mediated

diseases. The invention further discloses kits comprising the compds. and compns. herein and a tool for measuring TNF-α activity and/or levels. Preparation of selected compds., e.g. [3S/R,(2S)]-5-fluoro-4-oxo-3-[(1-(phenothiazine-10-carbonyl)piperidine-2-carbonyl)amino]pentanoic acid, is described.

IT 254750-21-5 294858-88-1 363154-94-3
582316-00-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(TNF-α modulator compound identification methods, and use for treatment of TNF-mediated diseases)

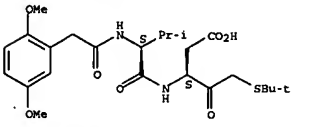
RN 254750-21-5 CAPLUS
CN L-Alaninamide, 2-oxo-N-(5,6,7,8-tetrahydro-1-naphthalenyl)glycyl-N-[(1S)-1-(carboxymethyl)-3-[(methylphenylphosphinyl)oxy]-2-oxopropyl]-3-cyclohexyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



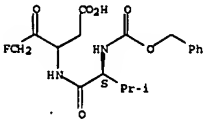
RN 294858-88-1 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[(2,5-dimethoxyphenyl)acetyl]amino]-3-methyl-1-oxobutyl]amino]-5-[(1,1-dimethylethyl)thio]-4-oxo-,(3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 363154-94-3 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]propylester (9CI) (CA INDEX NAME)

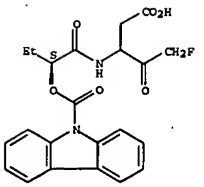
Absolute stereochemistry.



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

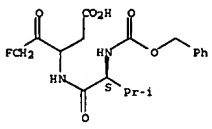
L12 ANSWER 15 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:656594 CAPLUS
DOCUMENT NUMBER: 139:191460
TITLE: Phospholipids as caspase inhibitor prodrugs
INVENTOR(S): Mortimore, Michael; Golec, Julian M. C.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 256 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003068242	A1	20030821	WO 2003-US4457	20030211
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003211052	A1	20030904	AU 2003-211052	20030211
US 2004019017	A1	20040129	US 2003-366192	20030211
EP 1485107	A1	20041215	EP 2003-739810	20030211



RN 582316-00-5 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 14 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2003:817551 CAPLUS
DOCUMENT NUMBER: 140:35882
TITLE: MX1013, a dipeptide caspase inhibitor with potent in vivo antiapoptotic activity
AUTHOR(S): Yang, Wu; Guastella, John; Huang, Jin-Cheng; Wang, Yan; Zhang, Li; Xue, Dong; Tran, Minhtam; Woodward, Richard; Kasibhatla, Shailaja; Tseng, Ben; Drewe, John; Cai, Sui Xiong
CORPORATE SOURCE: Cytovia, Inc., San Diego, CA, 92121, USA
SOURCE: British Journal of Pharmacology (2003), 140(2), 402-412
CODEN: BJPCEM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Caspases play a critical role in apoptosis, and are considered to be key targets for the design of cytoprotective drugs. As part of our antiapoptotic drug-discovery effort, we have synthesized and characterized Z-VD-fmk, MX1013, as a potent, irreversible dipeptide caspase inhibitor. MX1013 inhibits caspases 1, 3, 6, 7, 8, and 9, with IC50 values ranging from 5 to 20 nM. MX1013 is selective for caspases, and is a poor inhibitor of noncaspase proteases, such as cathepsin B, calpain I, or Factor Xa (IC50 values > 10 μM). In several cell culture models of apoptosis, including caspase 3 processing, PARP cleavage, and DNA fragmentation, MX1013 is more active than tetrapeptide- and tripeptide-based caspase inhibitors, and blocked apoptosis at concns. as low as 0.5 μM. MX1013 is more aqueous soluble than tripeptide-based caspase inhibitors such as Z-VAD-fmk. At a dose of 1 mg kg-1 i.v., MX1013 prevented liver damage and the lethality caused by Fas death receptor activation in the anti-Fas mouse-liver apoptosis model, a widely used model of liver failure. At a dose of 20 mg kg-1 (i.v. bolus) followed by i.v. infusion for 6 or 12 h, MX1013 reduced cortical damage by approx. 50% in a model of brain ischemia/reperfusion injury. At a dose of 20 mg kg-1 (i.v. bolus) followed by i.v. infusion for 12h, MX1013 reduced heart damage by approx. 50% in a model of acute myocardial infarction. Based on these studies, we conclude that MX1013, a dipeptide pan-caspase inhibitor, has a good combination of in vitro and in vivo properties. It has the ability to protect cells from a variety of apoptotic insults, and is systemically active in three animal models of apoptosis, including brain ischemia.

IT 582316-00-5, MX 1013
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dipeptide caspase inhibitor MX1013 with potent antiapoptotic activity)

RN 582316-00-5 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.:	US 2002-355889P	P	20020211
	WO 2003-US4457	W	20030211

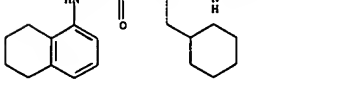
OTHER SOURCE(S): MARPAT 139:191460

AB The invention relates to compds. which are prodrugs of caspase inhibitors and pharmaceutically acceptable salts thereof. The invention further relates to the release of caspase inhibitors from these compds. through selective bond cleavage. The invention further relates to pharmaceutical compns. comprising these compds., which are particularly well-suited for treatment of caspase-mediated diseases, including inflammatory and degenerative diseases. The invention further relates to methods for preparing compds. of this invention.

IT 254750-21-5 294858-88-1 363154-94-3
582316-00-5
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(phospholipids as caspase inhibitor prodrugs)

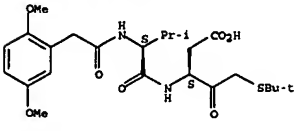
RN 254750-21-5 CAPLUS
CN L-Alaninamide, 2-oxo-N-(5,6,7,8-tetrahydro-1-naphthalenyl)glycyl-N-[(1S)-1-(carboxymethyl)-3-[(methylphenylphosphinyl)oxy]-2-oxopropyl]-3-cyclohexyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



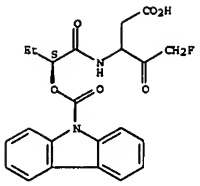
RN 294858-88-1 CAPLUS
CN Pentanoic acid, 3-[[(2S)-2-[[(2,5-dimethoxyphenyl)acetyl]amino]-3-methyl-1-oxobutyl]amino]-5-[(1,1-dimethylethyl)thio]-4-oxo-,(3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



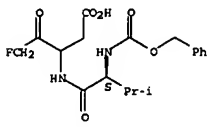
RN 363154-94-3 CAPLUS
CN 9H-Carbazole-9-carboxylic acid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]propylester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 582316-00-5 CAPLUS
CN Pentanoic acid, 5-fluoro-3-[[(2S)-3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-4-oxo-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

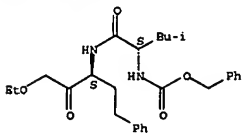


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 16 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:732393 CAPLUS
DOCUMENT NUMBER: 138:170500
TITLE: Novel route to the synthesis of peptides containing 2-amino-1'-hydroxymethyl ketones and their application as cathepsin K inhibitors
AUTHOR(S): Mendonca, Rohan V.; Venkatraman, Shankar; Palmer, James T.
CORPORATE SOURCE: Celera, South San Francisco, CA, 94080, USA
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(20), 2887-2891
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 138:170500
AB Cathepsin K is highly expressed in human osteoclasts and is implicated in bone resorption. This makes it an attractive target for the treatment of osteoporosis. Peptides containing 2-amino-1'-hydroxymethyl ketones and 2-amino-1'-alkoxymethyl ketones were discovered as potent inhibitors of cathepsin K. A novel synthetic route was devised to facilitate rapid elucidation of the SAR of these inhibitors. The synthesis and SAR of hydroxymethyl ketones are presented.
IT 294871-25-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis of hydroxymethyl ketone peptides as cathepsin K inhibitors)
RN 294871-25-3 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(1S)-3-ethoxy-2-oxo-1-(2-phenylethyl)propyl]amino]carbonyl]-3-methylbutyl]-,phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 17 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:276520 CAPLUS
DOCUMENT NUMBER: 136:310189
TITLE: Preparation of C-terminal modified oxamyl dipeptides as inhibitors of the ICE/ced-3 family of cysteine proteases
INVENTOR(S): Karanewsky, Donald S.; Ternansky, Robert J.; Linton, Steven D.; Dinh, Thang
PATENT ASSIGNEE(S): Idun Pharmaceuticals, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 59 pp., Cont.-in-part of U.S. Ser. No. 745,204.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002042376	A1	20020411	US 2001-765105	20010116
US 7053056	B2	20060530		
US 6197750	B1	20010306	US 1998-177549	19981022
WO 2000001666	A1	20000113	WO 1999-US15074	19990701
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 2002028774	A1	20020307	US 2000-745204	20001219
US 6544951	B2	20030408		
ZA 2001000023	A	20020102	ZA 2001-23	20010102
CA 2433879	A1	20020725	CA 2002-2433879	20020116
WO 2002057298	A2	20020725	WO 2002-US1538	20020116
WO 2002057298	A3	20030515		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH,				

CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

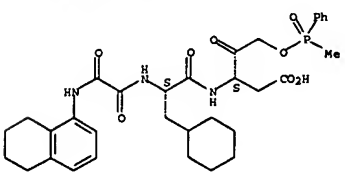
EP 1351975	A2	20031015	EP 2002-705856	20020116
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004521107	T	20040715	JP 2002-557974	20020116
CN 1525978	A	20040901	CN 2002-805278	20020116
US 2005020504	A1	20050127	US 2004-926800	20040825

PRIORITY APPLN. INFO.:

US 1998-91689P	P	19980702
US 1998-177549	A2	19981022
WO 1999-US15074	A2	19990701
US 2000-745204	A2	20001219
US 2001-765105	A	20010116
WO 2002-US1538	W	20020116

OTHER SOURCE(S): MARPAT 136:310189
AB Oxamyl dipeptides R1R1'NCOCO-A-NHCH(CO-B)CH2CO2R2 [A is a natural or unnatural amino acid; B = H, D, alkyl, cycloalkyl, (un)substituted Ph or naphthyl, 2-benzoxazolyl, substituted 2-oxazolyl, (CH2)ncycloalkyl, (CH2)nphenyl, (CH2)n(1- or 2-naphthyl), (CH2)nheteroaryl (n = 1-4), etc.; R1 = alkyl, cycloalkyl, cycloalkylalkyl, (un)substituted Ph, phenylalkyl, or naphthyl, etc. or R1R1'N form a heterocycle; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, (un)substituted Ph, phenylalkyl, naphthyl, or naphthylalkyl] were prepared as inhibitors of the ICE/ced-3 family of cysteine proteases (ICE = interleukin-1β converting enzyme). Thus, (3S)-3-[[N-(1-naphthyloxamyl)leucinyl]amino]-4-oxobutanoic acid was prepared via coupling of 1-naphthyloxamic acid with (3S)-3-(leucinylamino)-4-oxobutanoic acid tert-Bu ester semicarbazone.
IT 254750-21-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of C-terminal modified oxamyl dipeptides as inhibitors of ICE/ced-3 family of cysteine proteases)
RN 254750-21-5 CAPLUS
CN L-Alaninamide, 2-oxo-N-(5,6,7,8-tetrahydro-1-naphthalenyl)glycyl-N-[(1S)-1-(carboxymethyl)-3-[(methylphenylphosphinyl)oxy]-2-oxopropyl]-3-cyclohexyl-(9CI) (CA INDEX NAME)

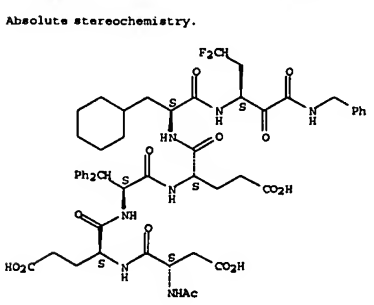
Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 18 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:116981 CAPLUS
DOCUMENT NUMBER: 137:149812
TITLE: A designed P1 cysteine mimetic for covalent and non-covalent inhibitors of HCV NS3 protease
AUTHOR(S): Narjes, Frank; Koehler, Konrad F.; Koch, Uwe; Gerlach, Benjamin; Colarusso, Stefania; Steinkuhler, Christian; Brunetti, Mirko; Altamura, Sergio; De Francesco, Raffaele; Matassa, Victor G.
CORPORATE SOURCE: Department of Chemistry, MRL Rome, IRBM, Rome, Pomezia, 00040, Italy
SOURCE: Bioorganic & Medicinal Chemistry Letters (2002), 12(4), 701-704
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The difluoromethyl group was designed by computational chemical methods as a mimetic of the canonical P1 cysteine thiol for inhibitors of the hepatitis C virus NS3 protease. This modification led to the development of competitive, non-covalent inhibitor AcAspGlu-NHCH(CHPH2)CO-Glu-NHCH(CH2C6H11)CONHCH(CH2CHF2)R (I, R = CHO) Ki 30 nM and reversible covalent inhibitors (I, R = CO2H) Ki 0.5 nM; and (I, R = COCO2H) Ki* 10 pM.
IT 444990-67-4
RL: PAC (Pharmacological activity); BIOL (Biological study)
(designed P1 cysteine mimetic for covalent and non-covalent inhibitors of HCV NS3 protease)
RN 444990-67-4 CAPLUS
CN L-Alaninamide, N-acetyl-L-α-aspartyl-L-α-glutamyl-β-phenyl-L-phenylalanyl-L-α-glutamyl-3-cyclohexyl-N-[(1S)-1-(2,2-difluoroethyl)-2,3-dioxo-3-[(phenylmethyl)amino]propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



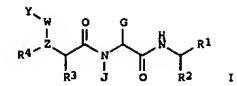
REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 19 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2002:90007 CAPLUS
DOCUMENT NUMBER: 136:151439
TITLE: Preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus
INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Bogen, Stephane L.; Lovey, Raymond G.; Jao, Edwin S.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Liu, Yi-Tsung; Chan, Tin-Yau; Zhu, Zhaoning; Arasappan, Ashok; Chen, Kevin X.; Venkatraman, Srikanth; Parekh, Tejal N.; Pinto, Patrick A.; Santhanam, Bama; Njoroge, F. George;

Ganguly, Ashit K.; Vaccaro, Henry A.; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.
PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.
SOURCE: PCT Int. Appl., 188 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008187	A1	20020131	WO 2001-US22813	20010719
WO 2002008187	A9	20030103		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LU, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2410682	A1	20020131	CA 2001-2410682	20010719
US 2002160962	A1	20021031	US 2001-909012	20010719
US 7169760	B2	20070130		
EP 1303487	A1	20030423	EP 2001-959041	20010719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001012666	A	20030610	BR 2001-12666	20010719
HU 2003003358	A2	20040128	HU 2003-3358	20010719
JP 2004513881	T	20040513	JP 2002-514094	20010719
NZ 523781	A	20041029	NZ 2001-523781	20010719
ZA 2002010311	A	20040319	ZA 2002-10311	20021219
IN 2003CN00088	A	20050408	IN 2003-CN88	20030116
NO 2003000271	A	20030318	NO 2003-271	20030120
US 2005176648	A1	20050811	US 2005-89192	20050324
PRIORITY APPLN. INFO.:			US 2000-220107P P	20000721
			US 2001-909012 A3	20010719
			WO 2001-US22813 W	20010719

OTHER SOURCE(S): MARPAT 136:151439
GI



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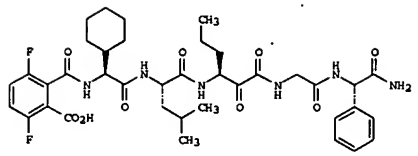
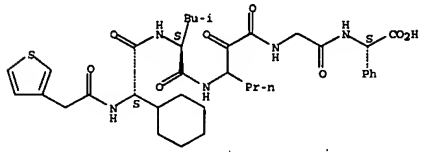


II

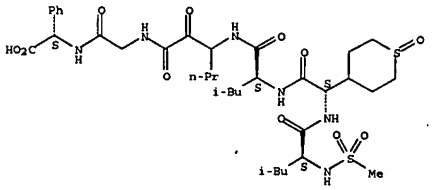
AB Novel peptides I [G, J, Y = independently H, alkyl, alkyl-aryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkyl-heteroaryl, cycloalkyl, alkoxy, alkyl-aryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkyl-arylamino, arylamino, heteroarylamino, cycloalkylamino, and heterocycloalkylamino; Z = O, N, CH; W = null, CO, CS, SO2; R1 = COR5, B(OR)2; R5 = H, OH, OR8, NR9R10, CF3, C2F5, C3F7, CF2R6, R6, COR7; R7 = H, OH, OR8, CHR9R10, NR9R10; R6, R8-10 = independently H, alkyl, aryl, heteroalkyl, cycloalkyl, arylalkyl, peptide derivative, etc.; R, R2-4 = independently H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, etc.] and their pharmaceutically salts which have hepatitis C virus (HCV) protease inhibitory activity were prepared via solution or solid-phase peptide coupling methods. Thus, peptide II was prepared using solid-phase methods and showed a Ki value in the range of 0-100 nM for HCV protease inhibitory activity. This invention also discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease.
IT 393580-88-6P 394203-68-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel peptides as NS3-serine protease inhibitors of hepatitis C virus)
RN 393580-88-6 CAPLUS
CN Glycine, (2S)-2-cyclohexyl-N-(3-thienylacetyl)glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 394203-68-0 CAPLUS
CN Glycine, N-(methylsulfonyl)-L-leucyl-(2S)-2-(tetrahydro-1-oxido-2H-thiopyran-4-yl)glycyl-L-leucyl-3-amino-2-oxohexanoylglycyl-2-phenyl-, (2S)- (9CI) (CA INDEX NAME)

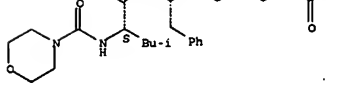
Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 20 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:936133 CAPLUS
DOCUMENT NUMBER: 136:210042
TITLE: Identification of Potent and Selective Mechanism-Based Inhibitors of the Cysteine Protease Cruzain Using Solid-Phase Parallel Synthesis
AUTHOR(S): Huang, Lily; Lee, Alice; Sllman, Jonathan A.
CORPORATE SOURCE: Department of Chemistry, University of California, Berkeley, CA, 94720, USA
SOURCE: Journal of Medicinal Chemistry (2002), 45(3), 676-684
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 136:210042
AB Targeted libraries of ketone-based cysteine protease inhibitors were synthesized and screened against cruzain, a cysteine protease implicated in Chagas' disease. A number of single digit nanomolar, low mol. weight inhibitors were identified and optimized for solubility and potency. Specifically, the best inhibitors identified have Ki values of 0.9-10 nM and mol. wts. between 499 and 609 Da. The most effective inhibitor was also greater than 1000-fold selective for cruzain relative to cathepsin B and 100-fold selective for cruzain relative to cathepsin L.
IT 401917-88-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure activity relationships of mercaptomethyl ketones as cruzain inhibitors)
RN 401917-88-2 CAPLUS
CN Propanoic acid, 3-[[(3S)-3-[[(2S)-4-methyl-2-[(4-morpholinylcarbonyl)amino]-1-oxopentyl]amino]-2-oxo-4-phenylbutyl]thio]-, ethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



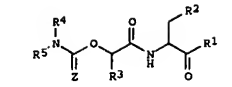
REFERENCE COUNT: 26 THERE ARE 26 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 21 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:730702 CAPLUS
DOCUMENT NUMBER: 135:273216
TITLE: Preparation of carbamate caspase inhibitors
INVENTOR(S): Bebbington, David; Charrier, Jean-Damien; Kay, David; Knegtel, Ronald; Golec, Julian; Mortimore, Michael; Studley, John
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Incorporated, USA
SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001072707	A2	20011004	WO 2001-US10182	20010329
WO 2001072707	A3	20020523		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2403959	A1	20011004	CA 2001-2403959	20010329
US 2002028803	A1	20020307	US 2001-821161	20010329
US 6689784	B2	20040210		
EP 1268425	A2	20030102	EP 2001-922868	20010329
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009588	A	20030204	BR 2001-9588	20010329
HU 2003001472	A2	20030828	HU 2003-1472	20010329
JP 2003528855	T	20030930	JP 2001-570620	20010329
EE 200200550	A	20040216	EE 2002-550	20010329
NZ 521639	A	20040528	NZ 2001-521639	20010329
ZA 2002007483	A	20030918	ZA 2002-7483	20020918
IN 2002KN01176	A	20050311	IN 2002-KN1176	20020918
BG 107136	A	20030530	BG 2002-107136	20020923
NO 2002004661	A	20021126	NO 2002-4661	20020927
US 2004053920	A1	20040318	US 2003-645043	20030821
US 7074782	B2	20060711		
PRIORITY APPLN. INFO.:			US 2000-192826P P	20000329
			US 2001-821161 A3	20010329
			WO 2001-US10182 W	20010329

OTHER SOURCE(S): MARPAT 135:273216

GI



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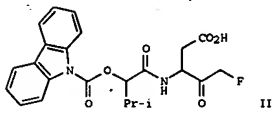


II

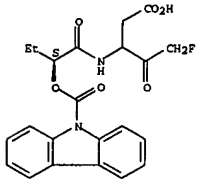
AB Carbamate derivs. I [Z is O, S; R1 is H, CHN2, R (R is C1-12 aliphatic, aryl, aralkyl, heterocyclyl, orheterocyclylalkyl), CH2OR, CH2SR, or CH2Y (Y is an electroneg. leaving group); R2 is CO2H, CH2CO2H or esters, amides or isosteres; R3 is a group capable of fitting into the S2 subsite of a caspase enzyme; R4R5N is a mono-, bi- or tricyclic heterocyclic ring system] were prepared as caspase inhibitors. The compds. are effective inhibitors of apoptosis and IL-1β secretion. Thus, compound II was prepared by amidation of (S)-3-methyl-2-(carbazole)carbamoyloxybutyricacid (preparation given) with 3-amino-5-fluoro-4-hydroxypentanoicacid tert-Bu ester, followed by oxidation of the hydroxy group using Dess-Martin periodinane and ester cleavage.

IT 363154-94-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of carbamate caspase inhibitors)

RN 363154-94-3 CAPLUS

CN 9H-Carbazole-9-carboxylicacid, (1S)-1-[[[1-(carboxymethyl)-3-fluoro-2-oxopropyl]amino]carbonyl]propylester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 22 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:416971 CAPLUS
DOCUMENT NUMBER: 135:19916

TITLE: Preparation of α-keto amide inhibitors of hepatitis C virus NS3 protease
INVENTOR(S): Han, Wei
PATENT ASSIGNEE(S): Du Pont Pharmaceuticals Company, USA
SOURCE: PCT Int. Appl., 282 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001040262	A1	20010607	WO 2000-US32677	20001201
W: AU, BR, CA, CN, CZ, EE, HU, IL, IN, JP, KR, LT, LV, MX, NO, NZ, PL, RO, SG, SI, SK, UA, VN, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR				
CA 2390349	A1	20010607	CA 2000-2390349	20001201
US 2002123468	A1	20020905	US 2000-728653	20001201
US 6774212	B2	20040810		
EP 1252178	A1	20021030	EP 2000-983845	20001201
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR				
JP 2003526634	T	20030909	JP 2001-541017	20001201
PRIORITY APPLN. INFO.:			US 1999-168998P P	19991203
			WO 2000-US32677 W	20001201

OTHER SOURCE(S): MARPAT 135:19916

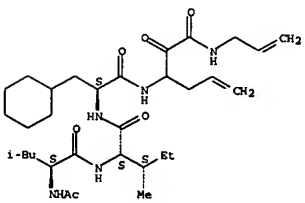
AB Keto amide and keto ester compds. R9-A6-A5-A4-A3-A2-NHCR1R2COCO-W-Q[W = NH or O; Q = substituted alkyl, alkenyl, or alkynyl or an amino acid residue; A2 is a bond, NHCH2CO which may be C-substituted, an amino acid residue, or NRCHRCO, where NRCHR represents tetrahydropyrrole-1,2-diyl which may be substituted at the 4- and 5-positions or hexahydroindole-1,2-diyl; A3 or A4 is a bond, NHCH2CO which may be C-substituted, or an amino acid residue; A5 or A6 is a bond or an amino acid residue; R1 = H, F, or substituted alkyl, alkenyl, alkynyl, aryl, or cycloalkyl; R2 = H, F, alkyl; R9 = S(O)R9a, SO2R9a, C(O)R9a, C(O)OR9a, C(O)NHR9a, alkyl-R9a, alkenyl-R9a, or alkynyl-R9a, where R9a = substituted alkyl, cycloalkyl, aryl, or heterocyclyl] or stereoisomeric forms or pharmaceutically acceptable salts were prepared as inhibitors of HCV NS3 protease. Thus, N-(2-pyrazinylcarbonyl)-L-leucyl-L-isoleucyl-3-cyclohexyl-L-alanyl-2-oxo-(3S)-3-aminopentanoylglycinewas prepared by a multistep sequence which includes peptide coupling reactions in solution Compds. of the invention exhibit ki values of ≤60 μM, thereby confirming their utility as effective NS3 protease inhibitors.

IT 342612-47-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-keto amide inhibitors of hepatitis C virus NS3 protease)

RN 342612-47-9 CAPLUS

CN L-Alaninamide, N-acetyl-L-leucyl-L-isoleucyl-3-cyclohexyl-N-[1-[oxo(2-propenylamino]acetyl]-3-butenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



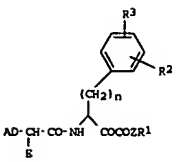
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 23 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2001:143648 CAPLUS
DOCUMENT NUMBER: 134:193216
TITLE: Preparation of biarylacetamides having cysteine protease inhibitory activity
INVENTOR(S): Sato, Masaaki; Mukoyama, Harunobu; Kobayashi, Junichi; Tsuyuki, Shogo; Tokutake, Katsunori; Akaba, Satoshi
PATENT ASSIGNEE(S): Kissei Pharmaceutical Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 22 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2001055366	A	20010227	JP 1999-228713	19990812
PRIORITY APPLN. INFO.:			JP 1999-228713	19990812

OTHER SOURCE(S): MARPAT 134:193216

GI



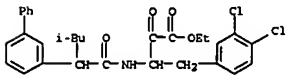
I

AB Title compds. I [A = (un)substituted (1-2 N-containing) 6-membered aryl; D = (un)substituted phenylene, pyridinediyl, pyrazinediyl, etc.; E = H, lower alkyl; R1 = H, lower alkyl, aryl, pyridiyl, etc.; R2, R3 = OH, lower alkyl lower alkoxy, halo; Z = O, imino group, piperazinediyl; n = 1-3] or their pharmaceutically acceptable salts are prepared The compds. are useful for treatment of osteoporosis, arthritis, rheumatic disease, and Alzheimer disease, etc. (2S,3S)-3-[(RS)-2-(4-biphenyl)-4-methylvalerylamino]-2-hydroxy-4-phenyl-N-[3-(3-pyridyl)propyl]butyramide(0.14 g) was reacted in the presence of 1,1,1-triacetoxy-1,1-dihydro-1,2-benziodooxol-3(1H)-onin CH2Cl2 at room temperature for 30 min to give 0.044 g (S)-3-[(RS)-2-(4-biphenyl)-4-methylvalerylamino]-2-oxo-4-phenyl-N-[3-(3-pyridyl)propyl]butyramide showing good cathepsin S inhibitory activity in vitro.

IT 327107-67-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of biarylacetamides having cysteine protease inhibitory activity)

RN 327107-67-5 CAPLUS

CN Benzenebutanoic acid, β-[(2-[1,1'-biphenyl]-3-yl-4-methyl-1-oxopentyl)amino]-3,4-dichloro-α-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 24 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:772585 CAPLUS
DOCUMENT NUMBER: 133:334857
TITLE: Resin-bearing ketoamides and process for the preparation thereof
INVENTOR(S): Saito, Hironao; Kozawa, Yuji; Sugano, Yuichi
PATENT ASSIGNEE(S): Sankyo Company, Ltd., Japan
SOURCE: PCT Int. Appl., 33 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000064845	A1	20001102	WO 2000-JP2614	20000421
W: AU, BR, CA, CN, CZ, HU, ID, IL, IN, KR, MX, NO, NZ, PL, RU, TR, US, ZA				
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
JP 2001002627	A	20010109	JP 2000-120205	20000421
PRIORITY APPLN. INFO.:			JP 1999-114407 A	19990422

OTHER SOURCE(S): CASREACT 133:334857; MARPAT 133:334857

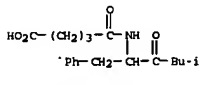
AB Resin-bearing ketoamides represented by general formula R1CONHCHR2COR3 (wherein R1 is an organic group or a resin-bearing organic group; R2 is hydrogen, an organic group, or a resin-bearing organic group; and R3 is an organic group, with the proviso that at least either of R1 and R2 is a resin-bearing organic group), which are useful as intermediates enabling efficient production of ketoamides at a low cost and a high purity, or libraries thereof are prepared by reaction of N-acylamino acids represented by formula R1CONHCHR2CO2H (R1, R2 = same as above) with R3COX (X = leaving group; R3 = same as above) in the presence or absence of base. Thus, 234 mg Wang resin-bound N-glutarylphenylalanine (0.16 mmol) was suspended in 3 mL DMF, treated with 1.6 mmol diisopropylcarbodiimide, stirred at room

temperature for 1 h, filtered, and washed with DMF twice and CH2Cl2 once to give activated Wang resin-bound N-glutarylphenylalanine. To the resin was added 3 mL CH2Cl2, 223 μL Et3N, and 72 μL dihydrocinnamoyl chloride and the resulting mixture was refluxed for 5 h. Excess AcOH (200 μL) was added and refluxed to decompose excess dihydrocinnamoyl chloride and promote decarboxylation and the resin was filtered and washed with DMF three-times, alternately with CH2Cl2 and ethanol three-times, and finally with CH2Cl2 twice to give Wang resin-bound HO2CCH2CH2CONHCH(CH2Ph)COCH2CH2Ph. The resin cleavage by treatment with 20% CF3CO2H/CH2Cl2 under stirring at room temperature for 1.5 h gave HO2CCH2CH2CONHCH(CH2Ph)COCH2CH2Ph (overall yield 47.6%).

IT 303204-89-9DI, Wang resin-bound
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of resin-bearing ketoamides or libraries thereof by acylation of resin-bound N-acylamino acids with activated carboxylic acid derivs. and concomitant decarboxylation)

RN 303204-89-9 CAPLUS

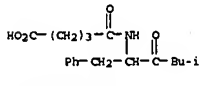
CN Pentanoic acid, 5-[[4-methyl-2-oxo-1-(phenylmethyl)pentyl]amino]-5-oxo-(9CI) (CA INDEX NAME)



IT 303204-89-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of resin-bearing ketoamides or libraries thereof by acylation of resin-bound N-acylamino acids with activated carboxylic acid derivs. and concomitant decarboxylation)

RN 303204-89-9 CAPLUS

CN Pentanoic acid, 5-[[4-methyl-2-oxo-1-(phenylmethyl)pentyl]amino]-5-oxo-(9CI) (CA INDEX NAME)



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 25 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:666702 CAPLUS
DOCUMENT NUMBER: 133:252750
TITLE: Preparation of γ-keto acid dipeptides as inhibitors of caspase-3
INVENTOR(S): Han, Yongxin; Grimm, Erich; Aspiotis, Renee; Francoeur, Sebastien; Zamboni, Robert; Prasit, Petpiboon; Black, Cameron; Giroux, Andre; Bayly, Christopher; McKay, Daniel
PATENT ASSIGNEE(S): Merck Frosst Canada & Co., Can.
SOURCE: PCT Int. Appl., 146 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055127	A1	20000921	WO 2000-CA272	20000313
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2367862	A1	20000921	CA 2000-2367862	20000313
EP 1163214	A1	20011219	EP 2000-910448	20000313
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539193	T	20021119	JP 2000-605558	20000313
AU 765462	B2	20030918	AU 2000-32665	20000313
US 6225288	B1	20010501	US 2000-526840	20000316
PRIORITY APPLN. INFO.:			US 1999-124622P P	19990316
			WO 2000-CA272 W	20000313

OTHER SOURCE(S): MARPAT 133:252750

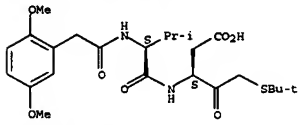
AB γ-Keto acid dipeptides R(CR32)mCONHCR1R2CONHCH(CH2CO2H)COCH2S(O)n(CH2)aZ (a = 0 or 1; m, n = 0-2; Z = (un)substituted alkyl, cycloalkyl, Ph, naphthyl, 5- or 6-membered aromatic or non-aromatic ring or benzo-fused analogs containing 1-3 heteroatoms selected from O, S and N; R = (un)substituted phenyl; R1 = H, aryl, alkyl, hydroxy-, alkoxy- or benzyloxyalkyl, cycloalkyl or oxa-, thia- or azacycloalkyl; R2 = H or R1R2N is a 4-7 membered ring containing O, S or N; R3 = H, alkyl, oxo- or dioxoalkyl, alkoxy, or halo] were prepared as inhibitors of caspase-3. Thus, (3S)-5-(benzylthio)-3-[[(2S)-2-[[2-(2,5-dimethoxyphenyl)acetyl]amino]-3-methylbutanoyl]amino]-4-oxopentanoicacid was prepared by the solid phase method by loading (S)-FmocNHCH(CH2CO2Bu-t)COCH2Br (Fmoc = fluorenylmethoxycarbonyl) (preparation described) onto a solid support using the technol. described by Webb et al. (1992).

IT 294858-88-1P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of γ-keto acid dipeptides as inhibitors of caspase-3)

RN 294858-88-1 CAPLUS

CN Pentanoic acid, 3-[[(2S)-2-[[(2,5-dimethoxyphenyl)acetyl]amino]-3-methyl-1-oxobutyl]amino]-5-[(1,1-dimethylethyl)thio]-4-oxo-,(3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 26 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:666699 CAPLUS
DOCUMENT NUMBER: 133:251875
TITLE: Preparation of esters as protease inhibitors
INVENTOR(S): Buysse, Ann M.; Mendonca, Rohan V.; Palmer, James T.; Tian, Zong-Qiang; Venkatraman, Shankar
PATENT ASSIGNEE(S): Axys Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 108 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000055124	A2	20000921	WO 2000-US7145	20000315
WO 2000055124	A3	20010816		
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2367348	A1	20000921	CA 2000-2367348	20000315
EP 1159260	A1	20011205	EP 2000-918085	20000315
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002539190	T	20021119	JP 2000-605555	20000315
US 6506733	B1	20030114	US 2000-526300	20000315
AU 779177	B2	20050113	AU 2000-38959	20000315
US 2003092634	A1	20030515	US 2002-288103	20021104
PRIORITY APPLN. INFO.:			US 1999-124529P P	19990315
			US 2000-526300 A1	20000315
			WO 2000-US7145 W	20000315

OTHER SOURCE(S): MARPAT 133:251875

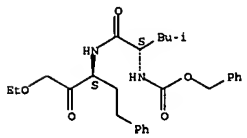
AB R1X1NR2CHR3COR4 [X1 = bond or divalent group; R1 = H, X6X7R16; R2 = H, alkyl; R3 = H, optionally substituted alkyl; R2R3 = trimethylene, tetramethylene, phenylene-1,2-dimethylene; R4 = nitromethyl, 1-hydroxy-1-methylethyl, etc.}, cysteine protease inhibitors, were prepared E.g., benzyl 1S-(3-hydroxy-2-oxo-1S-phenethylpropylcarbamoyl)-3-methylbutylcarbamate was prepared. The test compds. were inhibitors of cathepsin B, K, L, and S (no data).

IT 294871-25-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of esters as protease inhibitors)

RN 294871-25-3 CAPLUS

CN Carbamic acid, [(1S)-1-[[[(1S)-3-ethoxy-2-oxo-1-(2-phenylethyl)propyl]amino]carbonyl]-3-methylbutyl]-,phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 27 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:47056 CAPLUS
DOCUMENT NUMBER: 132:108298
TITLE: Preparation of peptide derivatives for inhibition of proteolysis
INVENTOR(S): Rando, Robert R.
PATENT ASSIGNEE(S): President and Fellows of Harvard College, USA
SOURCE: U.S., 53 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6015877	A	20000118	US 1996-616995	19960314
PRIORITY APPLN. INFO.:			US 1996-616995	19960314

OTHER SOURCE(S): MARPAT 132:108298

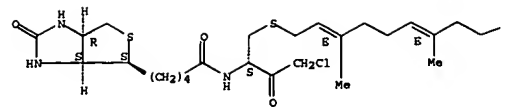
AB Compds. W-Y-CH2-Q [W = (un)substituted farnesyl or geranylgeranyl, a lipophilic alkyl, alkenyl, aryl, or arylalkyl hydrocarbon group; Y = S, O, Se, S(O), S(:NH), SO2, Se(O), SeO2, CH2; Q = CT1T2T3; T1 = H, F, Me, (CH2)nX1 (X1 = SH, CO2H, CONH2; n = ≤20); T2 is N-benzyloxycarbonyl, tert-butoxycarbonyl, -N-Φ, where Φ is an amino acid or polypeptide residue; T3 = COX2, CHO, COCF3, COCF2X3, CH(OH)(CH2)nCOX2, P(O)(OH)X2, B(O)(OH)X2, CH2X2, CH(OH)COX2, CH(OH)CF2COX2, CF2X2, COCHR4-B (X2 = N-linked peptide containing ≤20 amino acids, X3 = C-linked peptide containing ≤20 amino acids; X4 = H, halo, B is an amino acid)] were prepared and inhibit enzymic proteolysis of acetyl-S-farnesyl-L-cysteinyl-L-valyl-L-isoleucyl-L-methionine. Thus, [3H]-acetyl-Cys(all-trans-farnesyl)-Val-Ile-Ser-OH (AFC-Val-Ile-Ser-OH) (solution phase preparation given) was hydrolyzed by bovine liver microsomal membrane prepns., showing KM = 4.8 μM and Vmax = 0.236 nmol/min/mg. Diastereomeric peptide D-AFC-Val-Ile-Ser-OH was not hydrolyzed, nor was ester AFC-Val-Ile-Ser-OMe.

IT 255711-25-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptide derivs. for inhibition of proteolysis)

RN 255711-25-2 CAPLUS

CN 1H-Thieno[3,4-d]imidazole-4-pentanamide,N-[(1S)-3-chloro-2-oxo-1-[[[(2E,6E)-3,7,11-trimethyl-2,6,10-dodecatrienyl]thio]methyl]propyl]hexahydro-2-oxo-, (3aS,4S,6aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 28 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2000:34853 CAPLUS
DOCUMENT NUMBER: 132:93655
TITLE: Preparation of C-terminal modified oxamyl dipeptides as inhibitors of the ICE/ced-3 family of cysteine proteases
INVENTOR(S): Karanewsky, Donald S.; Ternansky, Robert J.
PATENT ASSIGNEE(S): Idun Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 105 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000001666	A1	20000113	WO 1999-US15074	19990701
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 6197750	B1	20010306	US 1998-177549	19981022
CA 2336474	A1	20000113	CA 1999-2336474	19990701
AU 9948569	A	20000124	AU 1999-48569	19990701
AU 752339	B2	20020919		
EP 1091930	A1	20010418	EP 1999-932211	19990701
EP 1091930	B1	20061213		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY				
HU 200102898	A2	20020128	HU 2001-2898	19990701
BR 9911675	A	20020205	BR 1999-11675	19990701
JP 2002519406	T	20020702	JP 2000-558071	19990701
JP 3815968	B2	20060830		
NZ 509025	A	20030530	NZ 1999-509025	19990701
AT 348096	T	20070115	AT 1999-932211	19990701
US 2002028774	A1	20020307	US 2000-745204	20001219
US 6544951	B2	20030408		
NO 2000006544	A	20010228	NO 2000-6544	20001221
IN 2000MN00792	A	20050318	IN 2000-MN792	20001229
ZA 2001000023	A	20020102	ZA 2001-23	20010102
US 2002042376	A1	20020411	US 2001-765105	20010116
US 7053056	B2	20060530		
US 2005020504	A1	20050127	US 2004-926800	20040825

PRIORITY APPLN. INFO.:
US 1998-91689P P 19980702
US 1998-177549 A 19981022
WO 1999-US15074 W 19990701
US 2000-745204 A2 20001219
US 2001-765105 A1 20010116

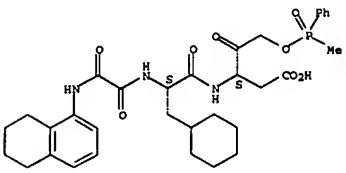
OTHER SOURCE(S): MARPAT 132:93655

AB Oxamyl dipeptides R1NHCOCO-A-NHCH(CO-B)CH2CO2R2 [A is a natural or unnatural amino acid; B = H, D, cycloalkyl, (un)substituted Ph or naphthyl, 2-benzoxazolyl, substituted 2-oxazolyl, halomethyl, (CH2)ncycloalkyl, (CH2)nphenyl, (CH2)n(1- or 2-naphthyl), (CH2)nheteroaryl (n = 1-4), etc.; R1 = alkyl, cycloalkyl, cycloalkylalkyl, (un)substituted Ph, phenylalkyl, or naphthyl, etc.; R2 = H, alkyl, cycloalkyl, cycloalkylalkyl, (un)substituted Ph, phenylalkyl, naphthyl, or naphthylalkyl] were prepared as inhibitors of the ICE/ced-3 family of cysteine protease (ICE = interleukin-1β converting enzyme). Thus, (3S)-3-[[N-(1-naphthyloxamyl)]leucinyl]amino]-4-oxobutanoic acid, prepared via coupling of 1-naphthyloxamic acid with (3S)-3-(leucinylamino)-4-oxobutanoic acid tert-Bu ester semicarbazone, showed IC50 = 0.027 μM for mICE and IC50 = 0.010 μM for CPP32 enzyme assays.

IT 254750-21-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of C-terminal modified oxamyl dipeptides as inhibitors of ICE/ced-3 family of cysteine proteases)

RN 254750-21-5 CAPLUS
CN L-Alaninamide, 2-oxo-N-(5,6,7,8-tetrahydro-1-naphthalenyl)glycyl-N-[(1S)-1-(carboxymethyl)-3-[(methylphenylphosphinyl)oxy]-2-oxopropyl]-3-cyclohexyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

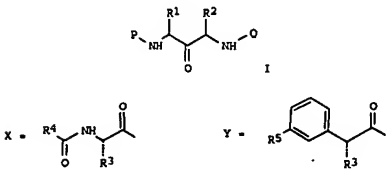
L12 ANSWER 29 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:753019 CAPLUS
DOCUMENT NUMBER: 132:12506
TITLE: Preparation of peptides for treating diseases of excessive bone loss or cartilage or matrix degradation as cysteine protease inhibitors
INVENTOR(S): Bondinell, William Edward; Desjarlais, Renee Louise; Veber, Daniel Frank; Yamashita, Dennis Shinji
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959526	A2	19991125	WO 1999-US11266	19990520
WO 9959526	A3	20000120		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2332531	A1	19991125	CA 1999-2332531	19990520
EP 1067894	A2	20010117	EP 1999-924421	19990520
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002515411	T	20020528	JP 2000-549192	19990520
US 6518267	B1	20030211	US 2000-700828	20001121

PRIORITY APPLN. INFO.:
US 1998-86557P P 19980521
WO 1999-US11266 W 19990520

OTHER SOURCE(S): MARPAT 132:12506

GI

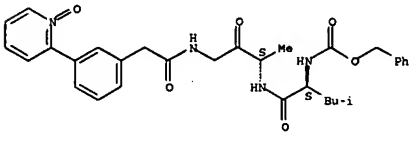


AB The present invention provides peptides bis-aminomethyl carbonyl protease inhibitors I (R1, R2 = alkyl; P = X, Y; R3 selected from the group consisting of: CH2CH(CH3)2, CH2CH2CH3, CH2CH=CH2, or CH2Ph; R4 is selected from the group consisting of alkyl; N-piperazine; N-tetrahydroisoquinoline; substituted alkyl, Ph, benzofuran, benzothiazole; quinoline; naphthyl; and benzoxazole; R5 = Ph and Ph substituted with alkyl, N-piperidine, benzofuran; pyridine; Q = arylacyl) and pharmaceutically acceptable salts, hydrates and solvates thereof which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia of malignancy; and metabolic bone disease, comprising inhibiting said bone loss or excessive cartilage or matrix degradation by administering to a patient in need thereof a compound of the present invention. Thus, (S)-3N-(N-(thianaphthenyl-2-carbonyl)-leucinyl)-amino-1N-(3-[2-(1-oxo)-pyridyl]phenylacetyl)-amino-butan-2-onwas prepared for treating diseases of excessive bone loss or cartilage or matrix degradation as cysteine protease inhibitor. Determination of cathepsin K proteolytic catalytic activity of these compds. are reported.

IT 251457-09-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides for treating diseases of excessive bone loss or cartilage or matrix degradation as cysteine protease inhibitors)

RN 251457-09-7 CAPLUS
CN Carbamic acid, [(1S)-3-methyl-1-[[[(1S)-1-methyl-3-[[[3-(1-oxido-2-pyridinyl)phenyl]acetyl]amino]-2-oxopropyl]amino]carbonyl]butyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 30 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:722916 CAPLUS
DOCUMENT NUMBER: 131:336822
TITLE: Preparation of succinamide inhibitors of interleukin-1β converting enzyme
INVENTOR(S): Caprathe, Bradley William; Gilmore, John Lodge; Harter, William Glen; Hays, Sheryl Jeanne; Knapp, Kristen Michele; Kostlan, Catherine Rose; Lunney, Elizabeth Ann; Para, Kimberly Suzanne; Galatsis, Paul; Thomas, Anthony Jerome
PATENT ASSIGNEE(S): Warner-Lambert Company, USA; BASF Aktiengesellschaft
SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9956765	A1	19991111	WO 1999-US9463	19990430
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2327507	A1	19991111	CA 1999-2327507	19990430
AU 9936730	A	19991123	AU 1999-36730	19990430
AU 758120	B2	20030313		
EP 1082127	A1	20010314	EP 1999-918930	19990430
EP 1082127	B1	20050622		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
TR 200003252	T2	20010420	TR 2000-200003252	19990430

HU 200101963	A2	20011028	HU 2001-1963		19990430
ES 200000644	A	20020415	ES 2000-644		19990430
JP 2002513766	T	20020514	JP 2000-546789		19990430
AT 298242	T	20050715	AT 1999-918930		19990430
ES 2242394	T3	20051101	ES 1999-918930		19990430
BR 9911010	A	20051206	BR 1999-11010		19990430
NO 2000005537	A	20001220	NO 2000-5537		20001102
HR 2000000744	A1	20010630	HR 2000-744		20001103
ZA 2000006881	A	20020525	ZA 2000-6881		20001123
BG 105002	A	20010731	BG 2000-105002		20001129
PRIORITY APPLN. INFO.:			US 1998-84320P	P	19980505
			WO 1999-US9463	W	19990430

OTHER SOURCE(S): MARPAT 131:336822
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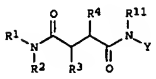
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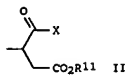
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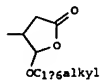
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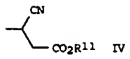
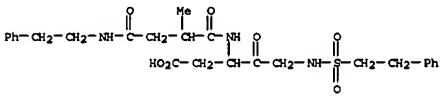

IV

AB The title compds. [I; Y = II-IV (wherein R11 = H, alkyl; X = H, (CH2)nN(R11)SO2(CH2)n-aryl, (CH2)nN(R11)SO2(CH2)n-substitutedaryl, etc.); R1, R2 = H, alkyl, (CH2)n-substituted aryl, etc.; n = 0-6; R3 = H, alkyl; R4 = alkyl, H] and their salts, useful for treating stroke, inflammatory diseases such as rheumatoid arthritis or inflammatory bowel disease, septic shock, reperfusion injury, Alzheimer's disease, shigellosis, and multiple sclerosis, were prepared E.g., a detailed 6-step synthesis of I [R1 = Ph(CH2)2; R2 = R3 = H; R4 = Me; R11 = H; Y = CH(CH2CO2H)COCH2NHSO2(CH2)2Ph] which showed IC50 of 14.50 μM against ICE, was given.

IT 249539-55-7P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of succinamide inhibitors of interleukin-1β converting enzyme)

RN 249539-55-7 CAPLUS

CN Pentanoic acid, 3-[[2-methyl-1,4-dioxo-4-[(2-phenylethyl)amino]butyl]amino]-4-oxo-5-[[(2-phenylethyl)sulfonyl]amino]-(9CI) (CA INDEX NAME)

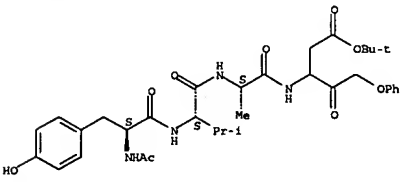


(preparation and acid hydrolysis; preparation of 5-phenoxy- and 5-naphthyloxypentanoic acid derivs. as inhibitors of interleukin-1β-converting enzyme)

RN 220328-50-7 CAPLUS

CN L-Alaninamide, N-acetyl-L-tyrosyl-L-valyl-N-[1-[2-(1,1-dimethylethoxy)-2-oxoethyl]-2-oxo-3-phenoxypropyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 32 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:485077 CAPLUS
DOCUMENT NUMBER: 129:122872
TITLE: Peptidomimetic inhibitors of the human cytomegalovirus protease
INVENTOR(S): Bailey, Murray; Fazal, Gulrez; Lavallee, Pierre; Ogilvie, William; Poupart, Marc-Andre
PATENT ASSIGNEE(S): Boehringer Ingelheim (Canada) Ltd., Can.
SOURCE: PCT Int. Appl., 165 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9829435	A1	19980709	WO 1997-CA1004		19971223
W: CA, JP, MX, US					
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
EP 948523	A1	19991013	EP 1997-951048		19971223
EP 948523	B1	20040317			
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI					
JP 2001508418	T	20010626	JP 1998-529511		19971223
CA 2276109	C	20031118	CA 1997-2276109		19971223
CA 2276109	A1	19980709			
AT 261988	T	20040415	AT 1997-951048		19971223
US 6291640	B1	20010918	US 1998-171554		19981019
PRIORITY APPLN. INFO.:			US 1996-34041P	P	19961227
			US 1997-52860P	P	19970717
			US 1997-59806P	P	19970923
			WO 1997-CA1004	W	19971223

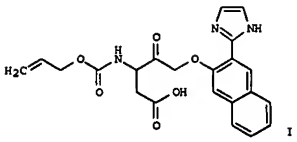
OTHER SOURCE(S): MARPAT 129:122872
GI

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 31 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1999:90307 CAPLUS
DOCUMENT NUMBER: 130:153654
TITLE: Preparation of 5-phenoxy- and 5-naphthyloxypentanoic acid derivatives as inhibitors of interleukin-1β-converting enzyme (ICE)
INVENTOR(S): Hagmann, William K.; Zhao, Justin J.; MacCoss, Malcolm; Mjalli, Adnan M.
PATENT ASSIGNEE(S): Merck and Co., Inc., USA
SOURCE: U.S., 13 pp., Cont.-in-part of U.S. Ser. No. 106,468, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

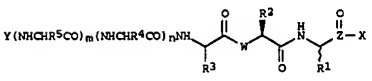
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 5866545	A	19990202	US 1996-578613		19960111
PRIORITY APPLN. INFO.:			US 1993-106468	B2	19930813
			WO 1994-US8868	W	19940808

OTHER SOURCE(S): MARPAT 130:153654
GI


I

AB R1CO2Z1Z2Z3NHC(CH2CO2R3)COCH2YR2 [I; R1 = allyloxycarbonyl, MeCO, indoloyl, carbobenzyloxy; R2 = Ph, (un)substituted naphthyl; R3 = H, C1-6 alkyl; Z1-Z3 = bond, residue of L- or D-tyrosine, -valine, -alanine, -proline; Y = O], useful in the treatment of inflammation in lung, central nervous system, kidney, joints, endocardium, pericardium, eyes, ears, skin, gastrointestinal tract and urogenital system, were prepared ICE has been identified as the enzyme responsible for converting precursor interleukin-1β (IL-1β) to biol. active IL-1β. For example, etherification and simultaneous esterification of hydroxynaphthalenecarboxylic acid with PhCH2Br followed by reduction of the ester with (Me2CHCH2)2AlH gave (3-benzyloxy-2-naphthyl)methyl alc. which was oxidized to aldehyde with Pr4NRuO4 and 4-methylmorpholine N-oxide and the aldehyde cyclocondensed with glyoxal trimer and concentrated NH4OH to give 2-benzyloxy-3-(2-imidazolyl)naphthalene. This was mono-N-alkylated with Me3SiCH2CH2OCH2Cl, the product debenzylated, the naphthol etherified with CH2:CHCH2O2CNHC(CH2CO2CMe3)COCH2Br (2-step preparation given) and the ester function of the resulting intermediate hydrolyzed with CF2CO2H to give the title compound I. The latter inhibited ICE hydrolysis of a peptide substrate AcTyrValAlaAsp-AMC (AMC = aminomethylcoumarin) with Ki = 0.09 μM.

IT 220328-50-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)


I

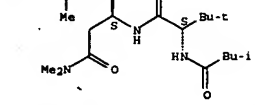
AB Compds. I [Z = C or P; X = CF3, C2F5, benzothiazole, CF2CONHR6, CONHR6 [R6 = alkyl, (un)substituted Ph or cyclohexyl], etc.; R1 = H, Me, Et; R2 = CH2SO2NH2, alkyl, arylalkyl, etc.; R3 = alkyl, carboxyalkyl, adamantyl; R4 = alkyl, arylalkyl; R5 = H, CH2OH; W = NH, CH2, CHMe; Y = H, t-BuCH2CH2, acyl; m, n = 0, 1] were prepared as inhibitors of the human cytomegalovirus (HCMV) protease. Thus, N1-(3,3,3-trifluoro-1-methyl-2-oxopropyl)-(2S)-2-[(1S)-2-methyl-1-[[(1S)-2-methyl-1-[(methylcarboxamido)methyl]carboxamidopropyl]carboxamido]propylcarboxamido]butanediamide,prepared by the solid-phase method, showed IC50 = 1.8±0.3 μM for inhibition of HCMV protease.

IT 198956-00-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(peptidomimetic inhibitors of the human cytomegalovirus protease)

RN 198956-00-2 CAPLUS

CN L-Aspartamide, 3-methyl-N-(3-methyl-1-oxobutyl)-L-valyl-N4,N4-dimethyl-N1-(3,3,3-trifluoro-1-methyl-2-oxopropyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 33 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:268513 CAPLUS
DOCUMENT NUMBER: 128:321945
TITLE: Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease
INVENTOR(S): Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817679	A1	19980430	WO 1997-US18968	19971017
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268391	A1	19980430	CA 1997-2268391	19971017
ZA 9709327	A	19980511	ZA 1997-9327	19971017
AU 9851477	A	19980515	AU 1998-51477	19971017
AU 719984	B2	20000518		
EP 932617	A1	19990804	EP 1997-946273	19971017
EP 932617	B1	20020116		
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IN 183120	A1	19990911	IN 1997-CA1951	19971017
BR 9712544	A	19991019	BR 1997-12544	19971017
CN 1238780	A	19991215	CN 1997-180151	19971017
CN 1133649	B	20040107		
HU 200000152	A2	20000728	HU 2000-152	19971017
NZ 335276	A	20000929	NZ 1997-335276	19971017
JP 2001502694	T	20010227	JP 1998-519568	19971017
EP 1136498	A1	20010926	EP 2001-109433	19971017
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AP 1019	A	20011016	AP 1999-1512	19971017
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AT 212037	T	20020215	AT 1997-946273	19971017
ES 2169880	T3	20020716	ES 1997-946273	19971017
EE 4023	B1	20030415	EE 1999-161	19971017
PL 192280	B1	20060929	PL 1997-332872	19971017
TW 530065	B	20030501	TW 1997-86115382	19971018
NO 9901832	A	19990617	NO 1999-1832	19990416
US 6265380	B1	20010724	US 1999-293247	19990416
KR 2000049263	A	20000725	KR 1999-703372	19990417
HK 1023779	A1	20020927	HK 2000-100690	20000203
US 2002032175	A1	20020314	US 2001-875390	20010606
US 6617309	B2	20030909		
US 2004266731	A1	20041230	US 2003-607716	20030627
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			EP 1997-946273 A3	19971017
			WO 1997-US18968 W	19971017
			US 1999-293247 A	19990416
			US 2001-875390 A3	20010606

OTHER SOURCE(S): MARPAT 128:321945
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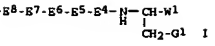

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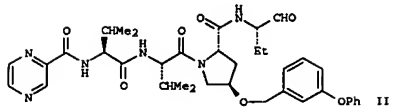

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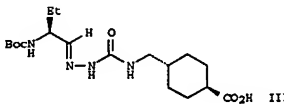


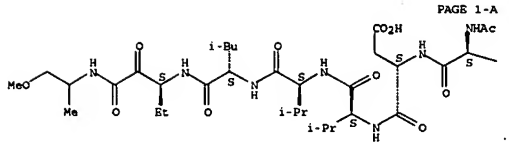
III

AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkenyl, alkynyl, CF3, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF2CH2N(G4)U, CHO, COG2, COCF2CF3, COCOG2, COCO2G2, B(Q1)2; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q1 = OH, alkoxy, aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G9CO, G9SO2, G9COCO, (G9)2NCOCO, (G9)2NSO2, (G9)2NCO, G9O2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G9-G9 form a ring; E4 = bond, α-amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki <1 μM in an in vitro assay.

IT 207001-87-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

RN 207001-87-4 CAPLUS
CN L-Leucinamide, N-acetyl-L-α-glutamyl-L-α-aspartyl-L-valyl-L-valyl-N-[(1S)-1-ethyl-3-[(2-methoxy-1-methylethyl)amino]-2,3-dioxopropyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



PAGE 1-A

PAGE 1-B

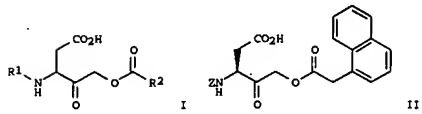
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 34 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1998:251152 CAPLUS
DOCUMENT NUMBER: 128:321926
TITLE: Preparation of aspartate ester inhibitors of interleukin-1β converting enzyme
INVENTOR(S): Albrecht, Hans P.; Allen, Hamish John; Brady, Kenneth Dale; Caprathe, Bradley William; Gilmore, John Lodge; Harter, William Glen; Haye, Sheryl Jeanne; Kostlan, Catherine Rose; Lunney, Elizabeth Ann; Para, Kimberly Suzanne; et al.
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 179 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9816502	A1	19980423	WO 1997-US18514	19971009
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268098	A1	19980423	CA 1997-2268098	19971009
AU 9749023	A	19980511	AU 1997-49023	19971009
AU 738341	B2	20010913		
EP 932598	A1	19990804	EP 1997-911715	19971009
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
BR 9712530	A	19991019	BR 1997-12530	19971009
JP 2001506974	T	20010529	JP 1998-518519	19971009
NO 9901677	A	19990609	NO 1999-1677	19990409
KR 2000049048	A	20000725	KR 1999-703117	19990410
PRIORITY APPLN. INFO.:			US 1996-28322P P	19961011
			WO 1997-US18514 W	19971009

OTHER SOURCE(S): MARPAT 128:321926

GI

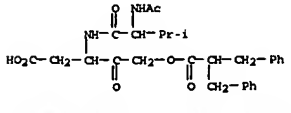


I II

AB The present invention relates to compds. I [R1 = carboxy, acyl, amino acid residue, etc.; R2 = (CR2)n-X-R3; each R = independently H, C1-6 alkyl, OH; R3 = (un)substituted aryl, (un)substituted heteroaryl, (un)substituted heterocyclyl, cycloalkyl, etc; X = bond, O, S; n = 0-3; and the pharmaceutically acceptable salts, esters, amides, and prodrugs thereof] as inhibitors of interleukin-1β converting enzyme (ICE). This invention also relates to a method of treatment of stroke, inflammatory diseases, reperfusion injury, Alzheimer's disease, and shigellosis, and to a pharmaceutically acceptable composition that contains a compound that is an inhibitor of interleukin-1β converting enzyme. Thus, substitution of Z-Asp(OCMe3)-CH2Br (Z = PhCH2O2C) with 1-naphthylacetic acid, followed by acidic deprotection, gave desired aspartate ester derivative II. II inhibited ICE with Ki = 0.460 μM and IC50 = 3.100 μM, and inhibited Ich-2 (caspase-4) with IC50 = 3.60 μM, as determined using in vitro assays. Related prepared compds. I (196 examples) were also tested for ICE inhibition (Ki values of 0.00008 to 76 μM and IC50 values of 0.0013 to 32 μM), and Ich-2 inhibition (IC50 = 0.021 to 76 μM).

IT 206864-08-6P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of aspartate ester inhibitors of interleukin-1β converting enzyme)

RN 206864-08-6 CAPLUS
CN Benzenepropanoic acid, α-(phenylmethyl)-, 3-[[2-(acetylamino)-3-methyl-1-oxobutyl]amino]-4-carboxy-2-oxobutylester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 35 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1997:727371 CAPLUS
DOCUMENT NUMBER: 128:13422
TITLE: Peptidomimetic Inhibitors of the Human Cytomegalovirus Protease
AUTHOR(S): Ogilvie, William; Bailey, Murray; Poupart, Marc-Andre; Abraham, Abraham; Bhavsar, Amit; Bonneau, Pierre; Bordeleau, Josee; Bousquet, Yves; Chabot, Catherine; Duceppe, Jean-Simon; Fazal, Gulrez; Goulet, Sylvie; Grand-Maitre, Chantal; Guse, Ingrid; Halmos, Ted; Lavallee, Pierre; Leach, Michael; Malenfant, Eric;

O'Meara, Jeff; Plante, Raymond; Plouffe, Celine; Poirier, Martin; Soucy, Francois; Yoakim, Christiane; Deziel, Robert

CORPORATE SOURCE: Bio-Mega Research Division, Boehringer Ingelheim (Canada) Ltd., Laval, QC, H7S 2G5, Can.

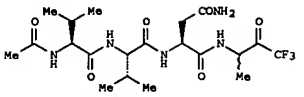
SOURCE: Journal of Medicinal Chemistry (1997), 40(25), 4113-4135
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society

DOCUMENT TYPE: Journal

LANGUAGE: English

GI



I

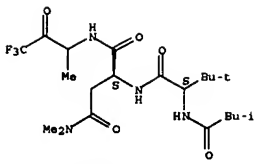
AB The development of peptidomimetic inhibitors of the human cytomegalovirus (HCMV) protease showing sub-micromolar potency in an enzymic assay is described. Selective substitution of the amino acid residues of these inhibitors led to the identification of tripeptide inhibitors showing improvements in inhibitor potency of 27-fold relative to inhibitor I based upon the natural tetrapeptide sequence. Small side chains at P1 were well tolerated by this enzyme, a fact consistent with previous observations. The S2 binding pocket of HCMV protease was very permissive, tolerating lipophilic and basic residues. The substitutions tried at P3 indicated that a small increase in inhibitor potency could be realized by the substitution of a tert-leucine residue for valine. Substitutions of the N-terminal capping group did not significantly affect inhibitor potency. Pentafluoroethyl ketones, α,α-difluoro-β-keto amides, phosphonates and α-keto amides were all effective substitutions for the activated carbonyl component and gave inhibitors which were selective for HCMV protease. A slight increase in potency was observed by lengthening the P1' residue of the α-keto amide series of inhibitors. This position also tolerated a variety of groups making this a potential site for future modifications which could modulate the physicochem. properties of these mols.

IT 198956-00-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and structure-activity of peptidomimetic inhibitors of the human cytomegalovirus protease)

RN 198956-00-2 CAPLUS

CN L-Aspartamide, 3-methyl-N-(3-methyl-1-oxobutyl)-L-valyl-N4,N4-dimethyl-N1-(3,3,3-trifluoro-1-methyl-2-oxopropyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 56 THERE ARE 56 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 36 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:717935 CAPLUS

DOCUMENT NUMBER: 128:1461

TITLE: Substrates and inhibitors of proteolytic enzymes

INVENTOR(S): Quibell, Martin; Johnson, Tony; Hart, Terance

PATENT ASSIGNEE(S): Peptide Therapeutics Ltd., UK; Quibell, Martin; Johnson, Tony; Hart, Terance

SOURCE: PCT Int. Appl., 93 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9740065	A2	19971030	WO 1997-GB1157	19970424
WO 9740065	A3	19971204		
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2252508	A1	19971030	CA 1997-2252508	19970424
AU 9726449	A	19971112	AU 1997-26449	19970424
AU 706855	B2	19990624		
CA 2252408	A1	19971113	CA 1997-2252408	19970424
EP 906333	A2	19990407	EP 1997-918252	19970424
EP 906333	B1	20010725		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2001501170	T	20010130	JP 1997-537864	19970424
AT 203545	T	20010815	AT 1997-918252	19970424
ES 2162277	T3	20011216	ES 1997-918252	19970424
US 6528275	B1	20030304	US 1999-171680	19991103
US 2003092067	A1	20030515	US 2002-259420	20020930

PRIORITY APPLN. INFO.:

GB 1996-8457	A	19960424
GB 1996-16115	A	19960731
GB 1996-24584	A	19961127
WO 1997-GB1157	W	19970424
US 1999-171680	A3	19991103

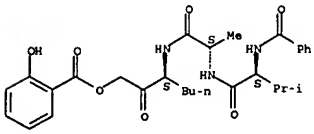
AB The present invention relates to the field of compds. which are substrates or inhibitors of proteolytic enzymes and to apparatus and methods for identifying substrates or inhibitors for proteolytic enzymes. We have devised a combinatorial method for the rapid identification of binding motifs which will greatly expedite the synthesis of inhibitors of a variety of proteolytic enzymes such as aspartyl proteases, serine proteases, metallo proteases and cysteinyl proteases. Some inhibitors have the formula A-B-C-D-nE-F, in which A represents a fluorescor internally quenched by F; while B, C, D, and E represent groups such that the scissile bond between any two of these groups is a suitable bond; n is an integer 1, 2, 3, or 4; and F a quencher capable of internally quenching the fluorescor A.

IT 187991-46-4P
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(substrates and inhibitors of proteolytic enzymes)

RN 187991-46-4 CAPLUS

CN L-Alaninamide, N-benzoyl-L-valyl-N-[(1S)-1-[[(2-hydroxybenzoyl)oxy]acetyl]pentyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 37 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1997:220603 CAPLUS

DOCUMENT NUMBER: 126:212446

TITLE: Tripeptide methyl ketone cysteine protease inhibitors for use in treatment of IgE mediated allergic diseases

INVENTOR(S): Johnson, Tony; Hart, Terrance; Laing, Peter; Shakib, Farouk; Quibell, Martin

PATENT ASSIGNEE(S): Peptide Therapeutics Limited, UK; Johnson, Tony; Hart, Terrance; Laing, Peter; Shakib, Farouk; Quibell, Martin

SOURCE: PCT Int. Appl., 100 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9704004	A1	19970206	WO 1996-GB1707	19960717
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM				
CA 2227198	A1	19970206	CA 1996-2227198	19960717
AU 9665242	A	19970218	AU 1996-65242	19960717
AU 716716	B2	20000302		
EP 839155	A1	19980506	EP 1996-924976	19960717
EP 839155	B1	20041013		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11509543	T	19990824	JP 1996-506421	19960717
AT 279433	T	20041015	AT 1996-924976	19960717
ES 2230566	T3	20050501	ES 1996-924976	19960717
US 6034066	A	20000307	US 1998-45	19980226

PRIORITY APPLN. INFO.:

GB 1995-14616	A	19950717
GB 1995-22221	A	19951031
WO 1996-GB1707	W	19960717

OTHER SOURCE(S): MARPAT 126:212446

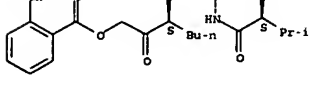
AB Tripeptide compds. were prepd for use in the treatment of allergic diseases, including juvenile asthma and eczema, via inhibition of the cysteine protease activity of Dermatophagoides pteronyssinus (Der p I), a major allergen of house dust mite. Compds. claimed included R1-CONH-XR2-CONH-YR3-CONH-ZR4-W [X, Y, Z = N, CH; R1 = nitrogen blocking group; R2, R3, R4 = side-chains on X, Y, Z; W = group that reacts irreversibly with active cysteine thiol of Der p I; R1 = hydrophobic Ph, 2-naphthyl, 9-anthracyl, heteroaryl optionally connected to heteroatom to carbonyl group, etc.; XR2 = Ala, Leu, Nle, Val, etc; YR3 = Lys, Gln, Met(O), Ala; ZR4 = Ala, Leu, Nle, Val, Ile, etc.; W = E-CH2CHO, E-CH2CH:CH2, E-CH2CH:CHCHO, R-CO2NCHO, Y-CH:CH2; E = aryloxy, arylthio, heteroaryl, halo, R-SO3, R2P(O)O, RCO2; R = alkyl, aryl; Y = ester, sulfone, carboxylate, amide, etc. groups]. E64, L-trans-epoxysuccinyl-leucylamido(4-guanidino)butane, is excluded from the claimed compds. Thus, Bz-Val-Ala-Nle-OH underwent successive treatment with iso-Bu chloroformate/N-methylmorpholine, CH2N2, and HBr/HOAc to give Bz-Val-Ala-Nle-CH2Br which reacted with 2,6-Cl2C6H3CO2OH to give Bz-Val-Ala-Nle-CH2O2CC6H3Cl2-2,6(I). In Der p I enzyme inhibiting assay, I had a Kobs/[I] of 6.8 x 107 M-1 s-1.

IT 187991-46-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tripeptide Me ketones with allergen inhibiting activity)

RN 187991-46-4 CAPLUS

CN L-Alaninamide, N-benzoyl-L-valyl-N-[(1S)-1-[[(2-hydroxybenzoyl)oxy]acetyl]pentyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 38 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1996:544115 CAPLUS

DOCUMENT NUMBER: 125:237576

TITLE: Novel Peptidyl α-Keto Amide Inhibitors of Calpains and Other Cysteine Proteases

AUTHOR(S): Li, Zhaozhao; Ortega-Vilain, Anne-Cecile; Patil, Girish S.; Chu, Der-Lun; Foreman, J. E.; Eveleth, David D.; Powers, James C.

CORPORATE SOURCE: School of Chemistry and Biochemistry, Georgia Institute of Technology, Atlanta, GA, 30332-0400, USA

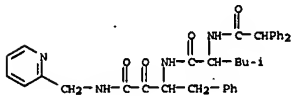
SOURCE: Journal of Medicinal Chemistry (1996), 39(20), 4089-4098
CODEN: JMCMAR; ISSN: 0022-2623

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of new dipeptidyl α-keto amides of the general structure R1-L-Leu-D,L-AA-CONH-R2 were synthesized and evaluated as inhibitors for the cysteine proteases calpain I, calpain II, and cathepsin B. They combine 10 different N-protecting groups (R1), 3 amino acids residues in P1 (AA), and 44 distinct substituents on the α-keto amide nitrogen (R2). In general, calpain II was more sensitive to these inhibitors than calpain I, with a large number of inhibitors displaying dissociation consts. (Ki) in the 10-100 nM range. Calpain I was also effectively inhibited, but very low Ki values were observed with a smaller number of inhibitors than with calpain II. Cathepsin B was weakly inhibited by most compds. in this study. The best inhibitors for calpain II were Z-Leu-Abu-CONH-CH2-CHOH-C6H5 (Ki = 15 nM), Z-Leu-Abu-CONH-CH2-2-pyridyl (Ki = 17 nM), and Z-Leu-Abu-CONH-CH2-C6H3(3,5(OMe)2)(Ki = 22 nM). The best calpain I inhibitor in this study was Z-Leu-Nva-CONH-CH2-2-pyridyl (Ki = 19 nM). The peptide α-keto amide Z-Leu-Abu-CONH-(CH2)2-3-indolylwas the best inhibitor for cathepsin B (Ki = 31 nM). Some compds. acted as specific calpain inhibitors, with comparable activity on both calpains I and II and a lack of activity on cathepsin B. Others were specific inhibitors for calpain I (e.g., 73) or calpain II. Such inhibitors may be useful in elucidating the physiol. and pathol. events involving these proteases and may become possible therapeutic agents.

IT 181769-59-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of novel peptidyl α-keto amide inhibitors of calpains and other cysteine proteases)

RN 181769-59-5 CAPLUS
CN Benzenebutanamide, β-[[2-[(diphenylacetyl)amino]-4-methyl-1-oxopentyl]amino]-α-oxo-N-(2-pyridinylmethyl)-(9CI) (CA INDEX NAME)



L12 ANSWER 39 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1996:476813 CAPLUS
DOCUMENT NUMBER: 125:143327
TITLE: Preparation of α-ketoamide derivatives as cathepsin L inhibitors.
INVENTOR(S): Sohda, Takashi; Fujisawa, Yukio; Yasuma, Tsuneo; Mizoguchi, Junji
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 86 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9616079	A2	19960530	WO 1995-JP2389	19951124
WO 9616079	A3	19960912		
W: AL, AM, AU, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TT, UA, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
JP 08208462	A	19960813	JP 1995-304852	19951122
CA 2200964	A1	19960530	CA 1995-2200964	19951124
AU 9539358	A	19960617	AU 1995-39358	19951124
EP 793673	A1	19970910	EP 1995-937173	19951124
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
PRIORITY APPLN. INFO.:			JP 1994-290132	A 19941124
			WO 1995-JP2389	W 19951124

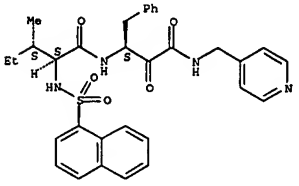
OTHER SOURCE(S): MARPAT 125:143327

AB R4QNHCHR1COCONR5R6 [Q = bond, 1 or 2 (substituted) amino acid residues R1, R5, R6 = H, (substituted) hydrocarbyl, heterocyclyl; R4 = acyl, (esterified) carboxyl; R5R6 = atoms to form a ring], were prepared Thus, N-benzyloxycarbonylisoleucyl-(2R,3S)-3-amino-2-hydroxy-4-phenylbutyric acid benzylamide was stirred with 1-ethyl-3-(3-dimethylaminopropyl)carbidiimidehydrochloride and pyridinium trifluoroacetate in Me2SO/PhMe to give 83% N-benzyloxycarbonylisoleucyl-(2R,3S)-3-amino-2-oxo-4-phenylbutyricacid. The latter inhibited cathepsin L with IC50 = 1.1 × 10-8 M.

IT 179549-94-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of α-ketoamide deriva. as cathepsin L inhibitors)

RN 179549-94-1 CAPLUS
CN Benzenebutanamide, β-[[3-methyl-2-[(1-naphthalenylsulfonyl)amino]-1-oxopentyl]amino]-α-oxo-N-(4-pyridinylmethyl)-, [2S-[1(R*),2R*,3R*]]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 40 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1995:330664 CAPLUS
DOCUMENT NUMBER: 122:105635
TITLE: preparation of heterocyclyl-containing ketones as drugs
INVENTOR(S): Ando, Ryoichi; Ando, Naoko; Masuda, Hirokazu; Sakaki, Toshiro; Morinaka, Yasuhiro; Takahashi, Chizuko; Tamao, Yoshikuni; Tobe, Akihiro
PATENT ASSIGNEE(S): Mitsubishi Chemical Industries Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 252 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06192199	A	19940712	JP 1992-359273	19921225
PRIORITY APPLN. INFO.:			JP 1992-359273	19921225

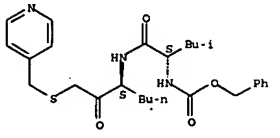
OTHER SOURCE(S): MARPAT 122:10563S

AB R1(NR2CHR3CO)nNR4CHR5CONR6CR7R8COCH2AR9[I; R1 = H, alkoxycarbonyl cycloalkyloxycarbonyl, aralkyloxycarbonyl, etc.; R2, R4, R6 = H, C1-5 alkyl, etc.; R3, R5 = H, C7-20 aralkyloxy, (un)substituted aryl, etc.; R7 = C3-15 cycloalkyl, HO, (un)substituted heterocyclyl, etc.; R8 = H, C1-5 alkyl, (un)substituted C7-20 aralkyl, R7R8 = benzylidene, etc.; A = S, SO, SO2; R9 = (un)substituted C6-14 aryl, heterocyclyl, etc.; n = 0, 1], effective thiol protease inhibitors useful in treating muscular dystrophy, brain and heart dysfunctions, liver diseases, etc., are prepared and formulated. Et3N was added to a solution of (S)-3-amino-1-furfurylthio-2-heptanone HCl (preparation given) and (S)-tert-butoxycarbonylleucine succinimido ester in CH2Cl2 with stirring at room temperature to give 96% I [n = 0, R1 = tert-BuOCO, R4 = R6 = R8 = H, R5 = iso-Bu, R7 = Bu, A = S, R9 = furfuryl]. The most effective I showed IC50 of 0.040 μM against papain, 0.044 μM against cathepsin B, and 0.016 μM against cathepsin L, etc.

IT 149044-11-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heterocyclyl-containing ketones as drugs)

RN 149044-11-1 CAPLUS
CN Carbamic acid, [3-methyl-1-[[[1-[[(4-pyridinylmethyl)thio]acetyl]pentyl]amino]carbonyl]butyl]-, phenylmethyl ester, [S-(R*,R*)]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



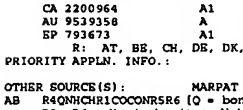
L12 ANSWER 41 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1994:153723 CAPLUS
DOCUMENT NUMBER: 120:153723
TITLE: Use of calpain inhibitors in the inhibition and treatment of medical conditions associated with increased calpain activity
INVENTOR(S): Eveleth, David D., Jr.; Lynch, Gary; Powers, James C.; Bartus, Raymond T.
PATENT ASSIGNEE(S): Cortex Pharmaceuticals, Inc., USA; Georgia Tech Research Corp.
SOURCE: PCT Int. Appl., 255 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9400095	A2	19940106	WO 1993-US6143	19930624
WO 9400095	A3	19940317		
W: AT, AU, BB, BG, BR, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9345449	A	19940124	AU 1993-45449	19930624
JP 09500087	T	19970107	JP 1993-502621	19930624
PRIORITY APPLN. INFO.:			US 1992-903800	A2 19920624
			US 1993-34996	A2 19930316
			US 1993-72609	A2 19930601
			WO 1993-US6143	A 19930624

AB Medical conditions in mammals (e.g. cardiac muscle tissue damage, cataracts, smooth muscle damage, and vasospasm) associated with increased proteolytic activity of calpain are treated by administering a pharmaceutical composition containing a calpain inhibitor in a pharmacol. effective amount The inhibitor is a peptide keto compound, substituted heterocyclic compound, or halo ketone peptide. Also, a method of inhibiting proliferation of smooth muscle cells and thereby preventing the restenosis of a blood vessel which has undergone therapeutic angioplasty includes the administration of a calpain inhibitor to the blood vessel during or after the angioplasty. Further, methods of blocking the establishment of the tonically contracted state in smooth muscle and relaxing tonically contracted smooth muscle are disclosed. These methods involve the administration of a calpain inhibitor, thereby reducing or preventing smooth muscle contraction associated with vasospasm and bronchospasm.

IT 144231-46-9
RL: BIOL (Biological study)
(as calpain inhibitor, heart and vascular disease treatment with)

RN 144231-46-9 CAPLUS
CN Hexanoic acid, 3-[[4-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]pentyl]amino]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 42 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1993:496186 CAPLUS
DOCUMENT NUMBER: 119:96186
TITLE: Preparation of pseudopeptides and dipeptides characterized by a substituted methyl ketone moiety at the C-terminus as thiol protease inhibitors
INVENTOR(S): Ando, Ryoichi; Ando, Naoko; Masuda, Hirokazu; Morinaka, Yasuhiro; Takahashi, Chizuko; Tamao, Yoshikuni; Tobe, Akihiro
PATENT ASSIGNEE(S): Mitsubishi Kasei Corp., Japan
SOURCE: Eur. Pat. Appl., 218 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 525420	A1	19930203	EP 1992-111129	19920701
EP 525420	B1	19990512		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL, PT, SE				
JP 05246968	A	19930924	JP 1992-165094	19920623
JP 3190431	B2	20010723		
CA 2072834	A1	19930102	CA 1992-2072834	19920630
AT 179974	T	19990515	AT 1992-111129	19920701
ES 2132096	T3	19990816	ES 1992-111129	19920701
US 5639783	A	19970617	US 1995-451720	19950526
US 5834508	A	19981110	US 1997-798036	19970206
PRIORITY APPLN. INFO.:			JP 1991-160674 A	19910701
			JP 1991-277905 A	19911024
			JP 1991-343668 A	19911225
			US 1992-907228 B1	19920701
			US 1994-252397 B1	19940601
			US 1995-451720 A3	19950526

OTHER SOURCE(S): MARPAT 119:96186

AB R1(NR2CHR3CO)nNR4CHR5CONR6CR7R8COCH2AR9[R1 = H, R10CO, R10O2C, R10SO2, R10NHCO; R2; R4, R6 = H, alkyl; R3, R5 = alkoxy, H, aralkoxy, (substituted) aryl, alkyl; R2R3, R4R5 = (substituted) heterocyclyl; R7 = H, (substituted) alkyl, aralkoxy, aryl, alkoxy; R8 = H, alkyl, (substituted) aralkyl; R7R8 = (substituted) benzylidene, cycloalkyl; A = S, SO, SO2, O, NH, alkylimino; R9 = H, (substituted) aryl, (CH2)nX; n = 0, 1; m = 0-15; X = H, OH, alkylthio, alkoxycarbonylamino, (substituted) heterocyclyl, amino, arylamino, halo, alkoxy, (substituted) aryl, aryloxy; R10 = (substituted) alkyl], were prepared Thus, S-3-amino-1-furfurylthio-2-heptanone hydrochloride (preparation given) was condensed with tert-butoxycarbonylleucine N-hydroxysuccinimido ester in CH2Cl2 containing Et3N to give 96% S-3-(S-2-tert-butoxycarbonylamino-4-methylvalerylamino)-1-furfurylthio-2-heptanone. This inhibited papain, cathepsin B, cathepsin L, and m-calpain with IC50's of 0.37, 0.057, 0.038, and 5.8 μm, resp, Dosage forms were prepared containing specific title compds.

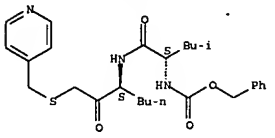
IT 149044-11-1P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as thiol protease inhibitor)

RN 149044-11-1 CAPLUS

CN Carbamic acid, [3-methyl-1-[[[1-[[(4-pyridinylmethyl)thio]acetyl]pentyl]amino]carbonyl]butyl]-, phenylmethyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 43 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:81438 CAPLUS

DOCUMENT NUMBER: 118:81438

TITLE: Peptide keto amides, keto acids, and keto esters

INVENTOR(S): Powers, James C.

PATENT ASSIGNEE(S): Georgia Tech Research Corp., USA

SOURCE: PCT Int. Appl., 89 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9212140	A1	19920723	WO 1991-US9801	19911227
W: AT, AU, BB, BG, BR, CA, CH, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MW, NL, NO, PL, RO, RU, SD, SE				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
CA 2098702	A1	19920629	CA 1991-2098702	19911227
AU 9191553	A	19920817	AU 1991-91553	19911227
AU 654834	B2	19941124		
EP 564561	A1	19931013	EP 1992-903265	19911227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, MC, NL				
PRIORITY APPLN. INFO.:			US 1990-635287 A	19901228
			WO 1991-US9801 A	19911227

OTHER SOURCE(S): MARPAT 118:81438

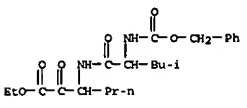
AB Title compds. R-X-X1-COR1 [X, X1 = amino acids; R = H, (un)substituted H2NCO, H2NCS, H2NSO2, amino acid; R1 = alkoxy, OH, (un)substituted NH2] were prepared as serine and cysteine protease inhibitors. Thus, Z-Leu-Phe-OH (Z = CO2CH2Ph) was treated with ClCOCO2Et in the presence of 4-dimethylaminopyridine to give Z-Leu-NHC(CH2Ph)=C(CO2Et)O2CO2Et which was hydrolyzed to 2-Leu-Phe-CO2Et. The latter compound was ketalized and amidated with EtNH2, to give Z-Leu-Phe-CONHEt (I). I inhibited calpain from humor erythrocytes at 7 μm.

IT 144231-46-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and protease-inhibiting activity of)

RN 144231-46-9 CAPLUS

CN Hexanoic acid, 3-[[4-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]pentyl]amino]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 44 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:54913 CAPLUS

DOCUMENT NUMBER: 118:54913

TITLE: Comparative behavior of calpain and cathepsin B toward peptidyl acyloxymethyl ketones, sulfonium methyl ketones and other potential inhibitors of cysteine proteinases

AUTHOR(S): Pliura, Diana H.; Bonaventura, Bonnie J.; Smith, Roger A.; Coles, Peter J.; Krantz, Allen

CORPORATE SOURCE: Syntex Res., Mississauga, ON, L5N 3X4, Can.

SOURCE: Biochemical Journal (1992), 288(3), 759-62
CODEN: BIJOAK; ISSN: 0306-3275

DOCUMENT TYPE: Journal

LANGUAGE: English

AB Peptidyl acyloxymethyl ketones, previously established as potent inactivators of the lysosomal cysteine proteinase cathepsin B, were evaluated against smooth-muscle calpain, a member of the family of Ca2+-dependent cysteine proteinases. Only modest rates of time-dependent inhibition could be achieved, even with peptidyl affinity groups optimized for calpain and linked to a carboxylate leaving group of very low pKa [2,6-(CF3)2PhCOO-, pKa 0.58]. Selective inactivation of cathepsin B vs. calpain was consistently observed with this type of inhibitor. Examination of other potential inhibitors revealed a rank order of potency against calpain to be: peptidyl sulfonium Me ketones > fluoromethyl ketones, diazomethyl ketones > acyloxymethyl ketones, an order which differs sharply from that found for cathepsin B.

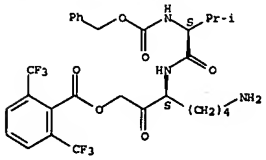
IT 145428-00-8P

RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(preparation and calpain and cathepsin B inhibition and kinetics by, structure in relation to)

RN 145428-00-8 CAPLUS

CN Benzoic acid, 2,6-bis(trifluoromethyl)-, 7-amino-3-[[3-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]butyl]amino]-2-oxoheptyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 45 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1993:822 CAPLUS

DOCUMENT NUMBER: 118:822

TITLE: Use of calpain inhibitors in the inhibition and treatment of neurodegeneration

INVENTOR(S): Bartus, Raymond T.; Eveleth, David D., Jr.; Lynch, Gary S.; Powers, James C.

PATENT ASSIGNEE(S): Cortex Pharmaceuticals, Inc., USA; Georgia Tech Research Corp.

SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9211850	A2	19920723	WO 1991-US9786	19911227
WO 9211850	A3	19920903		
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, PL, RO, RU, SD				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
CA 2098609	A1	19920629	CA 1991-2098609	19911227
AU 9191527	A	19920817	AU 1991-91527	19911227
AU 667463	B2	19960328		
EP 564552	A1	19931013	EP 1992-902904	19911227
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
JP 06504061	T	19940512	JP 1991-503767	19911227
US 5444042	A	19950822	US 1994-207881	19940307
AU 9655905	A	19960822	AU 1996-55905	19960611
AU 9923782	A	19990603	AU 1999-23782	19990415
PRIORITY APPLN. INFO.:			US 1990-635952 A	19901228
			US 1991-682925 B2	19910409
			US 1991-816120 B1	19911227
			WO 1991-US9786 A	19911227
			AU 1996-55905 A3	19960611

OTHER SOURCE(S): MARPAT 118:822

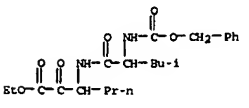
AB Calpain inhibitors such as isocoumarins, substituted heterocyclic compds., and peptide keto compds., are used in the treatment of neurodegeneration. Examples are given for the synthesis of a large number of these compds. Data are also given showing protease inhibition by halo-ketone peptides, inhibition of calpain in crude brain exts. by calpain inhibitors, in vivo protection against neurodegeneration, membrane permeation of calpain inhibitors, screens for inhibition of anoxic damage, and protection against spectrin breakdown from excitotoxic damage by peripherally administered calpain inhibitors. A neuorprotective composition for i.v. drip was prepared containing Z-Leu-Phe-CONHEt.

IT 144231-46-9P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as calpain inhibitor in treatment of neurodegeneration)

RN 144231-46-9 CAPLUS

CN Hexanoic acid, 3-[[4-methyl-1-oxo-2-[[(phenylmethoxy)carbonyl]amino]pentyl]amino]-2-oxo-, ethyl ester (9CI) (CA INDEX NAME)



L12 ANSWER 46 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1991:559810 CAPLUS

DOCUMENT NUMBER: 115:159810

TITLE: Preparation of amino acids and peptides as peptidase and isomerase inhibitors

INVENTOR(S): Flynn, Gary A.; Bey, Philippe

PATENT ASSIGNEE(S): Merrell Dow Pharmaceuticals, Inc., USA

SOURCE: Eur. Pat. Appl., 50 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 417721	A2	19910320	EP 1990-117461	19900911
EP 417721	A3	19920108		
EP 417721	B1	19950906		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
CA 2024661	A1	19910312	CA 1990-2024661	19900905
AU 9062231	A	19910314	AU 1990-62231	19900905
AU 635961	B2	19930408		
ZA 9007079	A	19910731	ZA 1990-7079	19900905
NO 9003944	A	19910312	NO 1990-3944	19900910
JP 03118357	A	19910520	JP 1990-237275	19900910

HU 55347	A2	19910528	HU 1990-5854		19900910
DD 299309	A5	19920409	DD 1990-343918		19900910
CN 1050198	A	19910327	CN 1990-107621		19900911
PRIORITY APPLN. INFO.:			US 1989-405491	A	19890911

OTHER SOURCE(S): MARPAT 115:159810

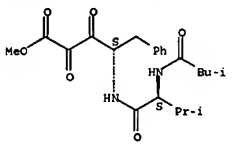
AB Amino acid derivs. R1NHCHR2COCOCOR [R = OR3, NR4R5; R3-R5 = H, C1-6 alkyl, C2-6 alkanoyl, Ph, CH2Ph, Bz, cyclohexyl, cyclohexylmethyl, 2-pyridylmethyl; R1 = Ac, Bz, succinyl, Boc, Z, Tos, R1 = (protected) amino acid or peptide residue, etc.; R2 = amino acid or peptide residue comprised of selected amino acids, 4-NHC(:NH)NH2C6H4CH2, etc.] and their hydrates, etc., were prepared For example, N-phthaloyl-L-phenylalaninewas converted to the acid chloride, which was condensed with Ph3P:CHCO2Et to give the corresponding phthaloyl ylide. Removal of the phthaloyl group by reaction with hydrazine hydrate, followed by condensation of the crude amine with ClCO2CH2Ph gave Z-Phe-C(:PPh3)CO2Et, which was subjected to ozonolysis in the presence of Me2S to give Z-Phe-C(OH)2CO2Et.

IT 136225-94-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as peptidase and isomerase inhibitor)

RN 136225-94-0 CAPLUS

CN Benzenepentanoic acid, γ-[[3-methyl-2-[(3-methyl-1-oxobutyl)amino]-1-oxobutyl]amino]-α,β-dioxo-, methyl ester, [S-(R*,R*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 47 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1990:545341 CAPLUS
DOCUMENT NUMBER: 113:145341
TITLE: Preparation of tripeptides as factor VII/VIIA active site inhibitors
INVENTOR(S): Edgington, T. Scott; Pepe, Michael G.
PATENT ASSIGNEE(S): Corvas, Inc., USA
SOURCE: PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 8909612	A1	19891019	WO 1989-US1415	19890404
W: AU, DK, JP, NO				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
US 5023236	A	19910611	US 1989-320559	19890313
AU 8934135	A	19891103	AU 1989-34135	19890404
AU 617169	B2	19911121		
EP 364561	A1	19900425	EP 1989-904471	19890404
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
JP 03502578	T	19910613	JP 1989-504381	19890404
DK 8906110	A	19900206	DK 1989-6110	19891205
NO 8904881	A	19891206	NO 1989-4881	19891206
PRIORITY APPLN. INFO.:			US 1988-178495	A 19880407
			US 1989-320559	A 19890313
			WO 1989-US1415	A 19890404

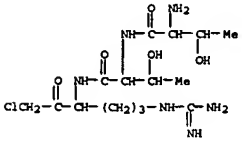
OTHER SOURCE(S): MARPAT 113:145341

AB Chloromethylketone (CMK)-terminal tripeptides (Markush given) are prepared as specific inhibitors of the tissue factor-activated serine protease coagulation factor VII/VIIa (TF:VII/VIIa). H-L-Leu-L-Thr-L-Arg-CMK (preparation given) inhibited, at 300 μm, the TF:VII/VIIa activity in the human plasma by 75%, and increased the human plasma clotting time.

IT 129474-79-9P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as antithrombotic agent)

RN 129474-79-9 CAPLUS

CN L-Threoninamide, L-threonyl-N-[4-[(aminoiminomethyl)amino]-1-(chloroacetyl)butyl]-, (S)- (9CI) (CA INDEX NAME)



L12 ANSWER 48 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1990:515843 CAPLUS
DOCUMENT NUMBER: 113:115843
TITLE: A novel method for the preparation of peptidyl α-keto esters
AUTHOR(S): Burkhart, Joseph P.; Peet, Norton P.; Bey, Philippe
CORPORATE SOURCE: Merrell Dow Res. Inst., Cincinnati, OH, 45215, USA
SOURCE: Tetrahedron Letters (1990), 31(10), 1385-8
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 113:115843

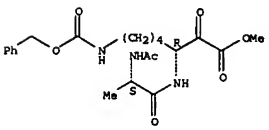
AB A new method for the synthesis of peptidyl α-keto esters is described, which is particularly useful for the construction of proteinase inhibitors with a lysine side chain. Thus, alkylation of Me3CO2C-Lys(CO2CH2Ph)-H with (EtS)3CH, followed by hydrolysis, gave L-Me3CO2CNHCH[(CH2)4NHCO2CH2Ph]CH(OH)CO2Me. Further elaboration gave the title dipeptide keto ester Ac-L-Ala-DL-Lys-CO2Me.

IT 129081-58-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and catalytic hydrogenolysis of)

RN 129081-58-9 CAPLUS

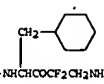
CN Heptanoic acid, 3-[[2-(acetylamino)-1-oxopropyl]amino]-2-oxo-7-[[(phenylmethoxy)carbonyl]amino]-,methyl ester, [R-(R*,S*)]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L12 ANSWER 49 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1989:508485 CAPLUS
DOCUMENT NUMBER: 111:108485
TITLE: New fluoroketones as human renin inhibitors
AUTHOR(S): Tarnus, Celine; Jung, Michel J.; Remy, Jean Marc; Baltzer, Sylvie; Schirlin, Daniel G.
CORPORATE SOURCE: Strasbourg Cent., Merrell Dow Res. Inst., Strasbourg, F-67084, Fr.
SOURCE: FEBS Letters (1989), 249(1), 47-50
CODEN: FEBLAL; ISSN: 0014-5793
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



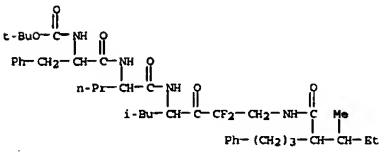
Boc-Phe-Nva-NHCHCOCF2CH2NHCOCH2CHMe2 I

AB Renin inhibition was evaluated for a new class of fluorinated ketones, true analogs of peptides that have been retroinverted at the C-terminal position. The readily formed hydrate of the ketone is proposed to mimic the tetrahedral intermediate that occurs during the enzyme-catalyzed hydrolysis of amide linkage. From this series of compds. it appears that the number of reverted amide bonds is crucial in terms of activity. Furthermore, a shortening of the C-terminal part of the peptide analogs and the replacement of the leucine residue in P1 by a cyclohexylalanine leads to the tripeptide analog I a potent renin inhibitor (IC50 = 3.5 + 10-9M).

IT 122517-30-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as human renin inhibitor)

RN 122517-30-0 CAPLUS

CN L-Norvalinamide, N-[(1,1-dimethylethoxy)carbonyl]-L-phenylalanyl-N-[3,3-difluoro-4-[[3-methyl-1-oxo-2-(3-phenylpropyl)pentyl]amino]-1-(2-methylpropyl)-2-oxobutyl]-, (S)- (9CI) (CA INDEX NAME)



L12 ANSWER 50 OF 50 CAPLUS COPYRIGHT 2007 ACS on STN

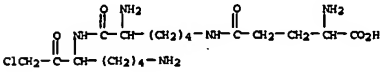
ACCESSION NUMBER: 1987:419839 CAPLUS
DOCUMENT NUMBER: 107:19839
TITLE: Improved synthetic inactivators of plasmin
AUTHOR(S): Ganu, Vishwas S.; Shaw, Elliott
CORPORATE SOURCE: Pharm. Div., Ciba-Geigy Corp., Summit, NJ, 07901, USA
SOURCE: Thrombosis Research (1987), 45(1), 1-6
CODEN: THBRAA; ISSN: 0049-3848
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Fifteen synthetic plasmin inhibitors of the peptidyl ohloromethyl ketone type are described which have increased effectiveness due to side-chains which improve affinity to auxiliary binding regions of the active center.

IT 108731-63-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and kinetics of plasmin inhibition by)

RN 108731-63-1 CAPLUS

CN L-Lysinamide, N6-L-γ-glutamyl-N-[5-amino-1-(chloroacetyl)pentyl]-, (S)- (9CI) (CA INDEX NAME)



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Exiting the script...

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:ssptal623zct

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PASSWORD:
TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * * * * * *  Welcome to STN International  * * * * * * * * * *

 NEWS  1          Web Page URLs for STN Seminar Schedule - N. America
 NEWS  2          "Ask CAS" for self-help around the clock
 NEWS  3  OCT 23  The Derwent World Patents Index suite of databases on STN
                  has been enhanced and reloaded
 NEWS  4  OCT 30  CHEMLIST enhanced with new search and display field
 NEWS  5  NOV 03  JAPIO enhanced with IPC 8 features and functionality
 NEWS  6  NOV 10  CA/CAplus F-Term thesaurus enhanced
 NEWS  7  NOV 10  STN Express with Discover! free maintenance release Version
                  8.01c now available
 NEWS  8  NOV 20  CA/CAplus to MARPAT accession number crossover limit increased
                  to 50,000
 NEWS  9  DEC 01  CAS REGISTRY updated with new ambiguity codes
 NEWS 10  DEC 11  CAS REGISTRY chemical nomenclature enhanced
 NEWS 11  DEC 14  WPIDS/WPINDEX/WPIX manual codes updated
 NEWS 12  DEC 14  GBFULL and FRFULL enhanced with IPC 8 features and
                  functionality
 NEWS 13  DEC 18  CA/CAplus pre-1967 chemical substance index entries enhanced
                  with preparation role
 NEWS 14  DEC 18  CA/CAplus patent kind codes updated
 NEWS 15  DEC 18  MARPAT to CA/CAplus accession number crossover limit increased
                  to 50,000
 NEWS 16  DEC 18  MEDLINE updated in preparation for 2007 reload
 NEWS 17  DEC 27  CA/CAplus enhanced with more pre-1907 records
 NEWS 18  JAN 08  CHEMLIST enhanced with New Zealand Inventory of Chemicals
 NEWS 19  JAN 16  CA/CAplus Company Name Thesaurus enhanced and reloaded
 NEWS 20  JAN 16  IPC version 2007.01 thesaurus available on STN
 NEWS 21  JAN 16  WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
 NEWS 22  JAN 22  CA/CAplus updated with revised CAS roles
 NEWS 23  JAN 22  CA/CAplus enhanced with patent applications from India
 NEWS 24  JAN 29  PHAR reloaded with new search and display fields
 NEWS 25  JAN 29  CAS Registry Number crossover limit increased to 300,000 in
                  multiple databases
 NEWS 26  FEB 13  CASREACT coverage to be extended
 NEWS 27  Feb 15  PATDPASPC enhanced with Drug Approval numbers
 NEWS 28  Feb 15  RUSSIAPAT enhanced with pre-1994 records

 NEWS EXPRESS  NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
               MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
               AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8
 NEWS X25      X.25 communication option no longer available

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * STN  Columbus * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:27:15 ON 21 FEB 2007
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=> file reg
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                               3.78       3.78

FILE 'REGISTRY' ENTERED AT 10:37:42 ON 21 FEB 2007
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STRUCTURE FILE UPDATES:  19 FEB 2007  HIGHEST RN 921921-74-6
DICTIONARY FILE UPDATES:  19 FEB 2007  HIGHEST RN 921921-74-6

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experimental property data in the original document.  For information
on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> S N-(2-oxo-but-3-yl)-propionamide/CN
MISSING OPERATOR 'N-(2-OXO-BUT-'

=> S propionamide
L1        12555 PROPIONAMIDE

=> S L1 AND 2-OXO-BUT-3-YL
      21519116 2
       4780729 OXO
            69 OXOS
       4780729 OXO
                 (OXO OR OXOS)
        795076 BUT
      17101214 3
      15817080 YL
           214 YLS
      15817080 YL
                 (YL OR YLS)
             7 2-OXO-BUT-3-YL
                 (2(W)OXO(W)BUT(W)3(W)YL)
L2           0 L1 AND 2-OXO-BUT-3-YL

=> FILE CAPLUS
COST IN U.S. DOLLARS                          SINCE FILE      TOTAL
                                                 ENTRY    SESSION
FULL ESTIMATED COST                              30.60      34.38

FILE 'CAPLUS' ENTERED AT 10:38:51 ON 21 FEB 2007
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
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FILE COVERS 1907 - 21 Feb 2007  VOL 146 ISS 9
FILE LAST UPDATED: 19 Feb 2007  (20070219/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply.
They are available for your review at:

http://www.cas.org/infopolicy.html

=> S L2
L3           0 L2

=> S L1
L4       31992 L1

=> S L4 AND N-ALKYL
       3007568 N
        584335 ALKYL
          6354 ALKYLS
        587197 ALKYL
                 (ALKYL OR ALKYLS)
         17639 N-ALKYL
                 (N(W)ALKYL)
L5         251 L4 AND N-ALKYL

=> S L5 AND 2-OXO-BUT-3-YL
       9055781 2
        155660 OXO
            26 OXOS
        155663 OXO
                 (OXO OR OXOS)
       3087214 BUT
            30 BUTS
       3087232 BUT
                 (BUT OR BUTS)
       6820964 3
        130275 YL
            59 YLS
        130314 YL
                 (YL OR YLS)
             0 2-OXO-BUT-3-YL
                 (2(W)OXO(W)BUT(W)3(W)YL)
L6           0 L5 AND 2-OXO-BUT-3-YL

=> S L5 AND 2-OXO
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        155660 OXO
            26 OXOS
        155663 OXO
                 (OXO OR OXOS)
         32165 2-OXO
                 (2(W)OXO)
L7           9 L5 AND 2-OXO

=> D 1-9 IBIB ABS HITSTR

L7   ANSWER 1 OF 9  CAPLUS  COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER:        2006:1099672  CAPLUS

DOCUMENT NUMBER:         145:419149
TITLE:                   Preparation of 3-(imidazo[4,5-f]indol-2-yl)pyrazol-4-
                         amines and related aminopyrazoles as inhibitors of
                         Aurora A kinase and use as antitumor agents
INVENTOR(S):             Georges, Guy; Goller, Bernhard; Kuenkele, Klaus-Peter;
                         Lemarchand, Aude; Limberg, Anja; Reiff, Ulrike;
                         Rueger, Petra; Rueth, Matthias
PATENT ASSIGNEE(S):      F. Hoffmann-La Roche AG, Switz.
SOURCE:                  PCT Int. Appl., 124pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND  DATE        APPLICATION NO.        DATE
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     WO 2006108489       A1    20061019    WO 2006-EP2478         20060317
         W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
            CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
            GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
            KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
            MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
            SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
            VN, YU, ZA, ZM, ZW
         RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
            IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
            CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
            GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
            KG, KZ, MD, RU, TJ, TM
     US 2006235065       A1    20061019    US 2006-384052         20060317
PRIORITY APPLN. INFO.:                     EP 2005-8111        A  20050414
                                           EP 2005-8224        A  20050414
OTHER SOURCE(S):         MARPAT 145:419149
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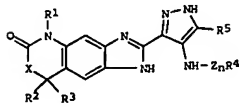

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AB   Objects of the present invention are 3-(imidazo[4,5-f]indol-2-yl)pyrazol-4-
     amines and related aminopyrazoles (shown as I; variables defined below;
     e.g. N-[3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydroimidazo[4,5-
     f]indol-2-yl)-1H-pyrazol-4-yl]acetamide(I)), their pharmaceutically
     acceptable salts, enantiomeric forms, diastereoisomers and racemates, the
     preparation of the above-mentioned compds., medicaments containing them and
their
     manufacture, as well as the use of the above-mentioned compds. in the control
     or prevention of illnesses such as cancer.  IC50 values for inhibition of
     Aurora A kinase and HCT 116 cell viability are tabulated for many examples
     of I.  Methods of preparation are claimed and prepns. and/or characterization
     data for many examples of I are included.  For example, 1 was prepared from
     acetic anhydride and 2-(4-amino-1H-pyrazol-3-yl)-5-ethyl-7,7-dimethyl-5,7-
     dihydro-1H-imidazo[4,5-f]indol-6-one,which was prepared in a 2-step
     sequence involving cyclization of 5,6-diamino-1-ethyl-3,3-dimethyl-1,3-
     dihydroindol-2-one (preparation given) with 4-nitropyrazole-3-carboxylicacid
     (32 %) followed by reduction of the nitro group (94 %).  For I: R1 is H,
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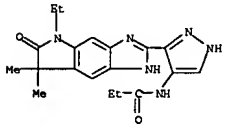
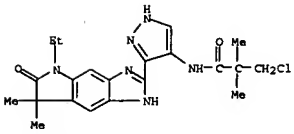
alkyl, alkenyl, alkynyl, wherein said alkyl, alkenyl or alkynyl is (un)substituted one or several times by nitro, cyano or -Y-R6; Y is a single bond, -C(O)NH-, -C(O)N(alkyl)-, -N(alkyl)C(O)-, -NHC(O)-, -NHC(O)NH-, -NHC(O)N(alkyl)-, -NHS(O)2-, -S(O)2NH-, -S(O)2N(alkyl)-, -S(O)2-, -S(O)-, -C(O)O-, -OC(O)-, -C(O)-, -P(O)(alkyl)-, -NH-, -N(alkyl)-, -O- or -S-. R6 is (un)substituted alkyl, (un)substituted aryl, (un)substituted heteroaryl, cycloalkyl or heterocyclyl; R2 is H or alkyl; R3 is H or alkyl; or alternatively R2 and R3 form together with the C atom to which they are attached a (C5-C6)cycloalkyl ring; Z is -C(O)-, -C(O)NR7-, -C(O)O-, -S(O)2- or -S(O)2NR7-; n = 0-1; R7 is H or alkyl; R4 is H, (un)substituted alkyl, (un)substituted aryl-V-, (un)substituted heteroaryl-V-, cycloalkyl-V- or heterocyclyl-V-; with the proviso that R4 is not H, if n is 1 and Z is - C(O)O-; V is a single bond, alkylene, -O-alkylene, cycloalkylene or alkenylene; R5 is H, alkyl, F or Cl; X is a single bond, -CH2- or -C(alkyl)2-; addnl. details are given in the claims.

IT 912571-10-9P, N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydroimidazo[4,5-f]indol-2-yl)-1H-pyrazol-4-yl]propionamide 912571-17-6P, N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydroimidazo[4,5-f]indol-2-yl)-1H-pyrazol-4-yl]-3-phenylpropionamide 912571-25-6P, 3-Cyclopentyl-N-[3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydroimidazo[4,5-f]indol-2-yl)-1H-pyrazol-4-yl]propionamide 912571-27-8P, N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydroimidazo[4,5-f]indol-2-yl)-1H-pyrazol-4-yl]-2,2-dimethylpropionamide 912571-30-3P, 3-Chloro-N-[3-(5-ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydroimidazo[4,5-f]indol-2-yl)-1H-pyrazol-4-yl]-2,2-dimethylpropionamide 912571-53-0P, N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydroimidazo[4,5-f]indol-2-yl)-1H-pyrazol-4-yl]-2-phenoxypropionamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of 3-(imidazo[4,5-f]indol-2-yl)pyrazol-4-amines and related aminopyrazoles as inhibitors of Aurora A kinase and use as antitumor agents)

RN 912571-10-9 CAPLUS
CN Propanamide, N-[3-(5-ethyl-1,5,6,7-tetrahydro-7,7-dimethyl-6-oxopyrrolo[2,3-f]benzimidazol-2-yl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)



RN 912571-17-6 CAPLUS
CN Benzenepropanamide, N-[3-(5-ethyl-1,5,6,7-tetrahydro-7,7-dimethyl-6-oxopyrrolo[2,3-f]benzimidazol-2-yl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)



RN 912571-53-0 CAPLUS
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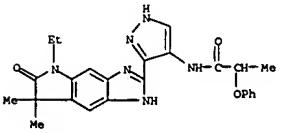


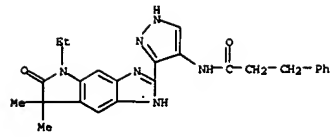
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:606206 CAPLUS
DOCUMENT NUMBER: 145:83335
TITLE: Preparation of tricyclic heterocycle imidazole derivatives as antitumor agents
INVENTOR(S): Georges, Guy; Goller, Bernhard; Kuenkele, Klaus-Peter; Limberg, Anja; Reiff, Ulrike; Rueger, Petra; Rueth, Matthias; Schuell, Christine
PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.
SOURCE: PCT Int. Appl., 154 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

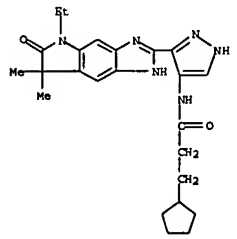
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006063841	A2	20060622	WO 2005-EP13557	20051216
WO 2006063841	A3	20060908		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

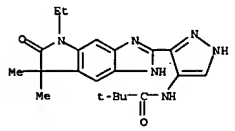
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,



RN 912571-25-6 CAPLUS
CN Cyclopentanepropanamide, N-[3-(5-ethyl-1,5,6,7-tetrahydro-7,7-dimethyl-6-oxopyrrolo[2,3-f]benzimidazol-2-yl)-1H-pyrazol-4-yl]-(9CI) (CA INDEX NAME)



RN 912571-27-8 CAPLUS
CN Propanamide, N-[3-(5-ethyl-1,5,6,7-tetrahydro-7,7-dimethyl-6-oxopyrrolo[2,3-f]benzimidazol-2-yl)-1H-pyrazol-4-yl]-2,2-dimethyl(9CI) (CA INDEX NAME)



RN 912571-30-3 CAPLUS
CN Propanamide, 3-chloro-N-[3-(5-ethyl-1,5,6,7-tetrahydro-7,7-dimethyl-6-oxopyrrolo[2,3-f]benzimidazol-2-yl)-1H-pyrazol-4-yl]-2,2-dimethyl(9CI) (CA INDEX NAME)

KG, KZ, MD, RU, TJ, TM

US 2006142247	A1	20060629	US 2005-301993	20051213
PRIORITY APPLN. INFO.:			EP 2004-30114	A 20041217
OTHER SOURCE(S):	MARPAT 145:83335			

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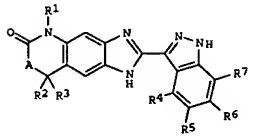
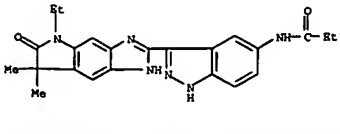


I

AB Tricyclic heterocycle imidazole derivs. I, wherein R1 is OH, substituted alkyl, alkenyl, alkynyl, substituted arylalkyl, hetero-arylalkyl, heterocyclyl-CO-(CH2)n, N-substituted -NHCO(CH2)n; n = 1-3; R2 is H, alkyl; R3 is H, alkyl; R2 and R3 together with the carbon atom to which they are attached form a cycloalkyl ring; R4 and R7 are independently H, halogen; R5 and R6 are independently H, halogen, CN, nitro, amino, OH, sulfonic acid, carboxylic acid, MeOCO, NH2CO, MeONMeCO, cycloalkyl-X, heterocyclyl-X, alkyl, alkyl-X, aryl-X, arylalkyl-X, heteroaryl-X, hetero-arylalkyl-X; X is -NH-, -N-alkyl-, -O-, -SO2NH-, -NHSO2-, -NHCO-, -N-alkyl-CO-, -CO-, -OCONH-, -CONH-, -CO-N-alkyl-; A is a single bond or CH2; were prepared and tested as antitumor agents. Thus, 2-(1H-indazol-3-yl)-7,7-dimethyl-5,7-dihydro-3H-imidazo[4,5-f]indol-6-onewas prepared and tested in vitro as antitumor agent and showed significant inhibition of hematopoietic cell transplantation HCT 116 cell viability (IC50 = 0.18 - 1.235 μM). Tablet and capsule formulation of title compds. is described.

IT 894083-73-9P, N-[3-(5-Ethyl-7,7-dimethyl-6-oxo-1,5,6,7-tetrahydro-imidazo[4,5-f]indol-2-yl)-1H-indazol-5-yl]-propionamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic heterocycle imidazole derivs. as antitumor agents)

RN 894083-73-9 CAPLUS
CN Propanamide, N-[3-(5-ethyl-1,5,6,7-tetrahydro-7,7-dimethyl-6-oxopyrrolo[2,3-f]benzimidazol-2-yl)-1H-indazol-5-yl]-(9CI) (CA INDEX NAME)



L7 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2006:297690 CAPLUS
DOCUMENT NUMBER: 144:331453

TITLE: Preparation of novel phthalazinone derivatives as Aurora-A kinase inhibitors for use against illnesses such as cancer

INVENTOR(S): Boyd, Edward; Brookfield, Frederick; Georges, Guy; Goller, Bernhard; Huensch, Sabine; Rueger, Petra; Rueth, Matthias; Scheiblich, Stefan; Schuell, Christine; Von Der Saal, Wolfgang; Warne, Justin; Weigand, Stefan

PATENT ASSIGNEE(S): F. Hoffmann-La Roche AG, Switz.

SOURCE: PCT Int. Appl., 241 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006032518	A1	20060330	WO 2005-EP10311	20050923
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006089359	A1	20060427	US 2005-233311	20050923

PRIORITY APPLN. INFO.: EP 2004-22755 A 20040924

OTHER SOURCE(S): MARPAT 144:331453

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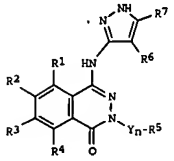


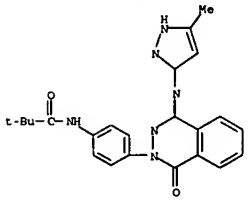
I

AB Objects of the present invention are phthalazinone derivs. (shown as I; variables defined below; e.g. 2-isopropyl-4-[(5-methyl-1H-pyrazol-3-yl)amino]-7-[2-(morpholin-4-yl)ethoxy]-2H-phthalazin-1-on(II)), their pharmaceutically acceptable salts, enantiomeric forms, diastereoisomers and racemates, the preparation of the above-mentioned compds., medicaments containing them and their manufacture, as well as the use of the above-mentioned compds. in the control or prevention of illnesses such as cancer. IC50 values for inhibition of Aurora-A kinase by many examples of I are tabulated, e.g. 7 nM for II; also tabulated are antiproliferative activities against human colon carcinoma, e.g. IC50 = 0.42 μM for 2-isopropyl-4-[(5-methyl-1H-pyrazol-3-yl)amino]-8-dimethylamino-2H-phthalazin-1-one. For I: R1, R2, R3 and R4 = R8-X-, cycloalkyl-T1-, heterocyclyl-T2-, H, halo, nitro, cyano, -OH, -NH2, -NHC(O)H, -C(O)OH, -C(O)NH2, -S(O)2NH2, -NHC(O)NH2, -C(O)NH-O-alkyl, -C(O)N(alkyl)-O-alkyl, -NHC(O)NH-O-alkyl, - NHC(O)N(alkyl)-O-alkyl, -S(O)2NH-O-alkyl, -S(O)2N(alkyl)-O-alkyl, or (un)substituted alkyl; R8 = cycloalkyl-T1-, heterocyclyl-T2-, aryl-T3-, heteroaryl-T4-, or alkyl (un)substituted one or several times by halogen; X = -C(O)NH-, -C(O)N(alkyl)-, -N(alkyl)C(O)-, -NHC(O)-, -NHC(O)NH-, -NHC(O)N(alkyl)-, -OC(O)N(alkyl)-, -NHS(O)2-, -S(O)2NH-, -S(O)2N(alkyl)-, -S(O)2-, -S(O)-, -C(O)O-, -OC(O)-, -C(O)-, -NH-, -N(alkyl)-, -O- or -S-. T1, T2, T3 and T4 = a single bond or alkylene (un)substituted one or two times by hydroxy; R5 is H, alkyl (being (un)substituted one or several times by halogen or alkoxy), heteroaryl, or (un)substituted Ph, naphthyl, 1,3-dihydroisobenzofuranyl, benzo[1,3]dioxol-5-yl, cycloalkyl or alkenyl; Y is alkylene, alkylene-C(O)- or alkylene-CH(OH)-; n = 0-1; R6 is H, alkyl, cyano or halogen; R7 is H, alkyl or cycloalkyl; addnl. details are given in the claims. Methods of preparation are claimed and prepns. and/or characterization data for many examples of I are included. For example, 4-[(5-methyl-1H-pyrazol-3-yl)amino]-2-phenyl-2H-phthalazin-1-onwas prepared in 3 steps (39, 48, and 76 % yields, resp.) starting with preparation of 2-phenyl-2,3-dihydrophthalazine-1,4-dionefrom phenylhydrazine and phthalic anhydride in HOAc followed by bromination to give 4-bromo-2-phenyl-2H-phthalazin-1-oneand then coupling with 3-amino-5-methylpyrazolevia the Buchwald reaction.

IT 880489-66-7P, 2,2-Dimethyl-N-[4-[4-[(5-methyl-1H-pyrazol-3-yl)amino]-1-oxo-1H-phthalazin-2-yl]phenyl]propionamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of novel phthalazinone derivs. as Aurora-A kinase inhibitors for use against illnesses such as cancer)

RN 880489-66-7 CAPLUS

CN Propanamide, 2,2-dimethyl-N-[4-[4-[(5-methyl-1H-pyrazol-3-yl)amino]-1-oxo-2(1H)-phthalazinyl]phenyl]-(9CI) (CA INDEX NAME)



ONE OR MORE TAUTOMERIC DOUBLE BONDS NOT DISPLAYED IN THE STRUCTURE

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:117814 CAPLUS

DOCUMENT NUMBER: 144:212781

TITLE: Preparation of cholesteryl ester transfer protein (CETP) inhibitors

INVENTOR(S): Ali, Amjad; Napolitano, Joann M.; Deng, Qiaolin; Lu, Zhijian; Sinclair, Peter J.; Taylor, Gayle E.; Thompson, Christopher F.; Quraishi, Nazia; Smith, Cameron J.; Hunt, Julianne A.; Dowet, Adrian A.; Chen, Yi-Heng; Li, Hong

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 288 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

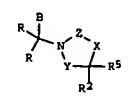
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006014413	A1	20060209	WO 2005-US23775	20050701
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 2006040999	A1	20060223	US 2005-173295	20050701

PRIORITY APPLN. INFO.: US 2004-585274P P 20040702
US 2005-646103P P 20050121

OTHER SOURCE(S): MARPAT 144:212781

GI



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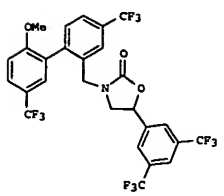


II

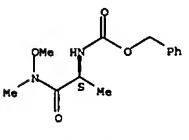
AB The invention is related to the preparation of compds. I [Y = CO, CRR1; X = O, NH, N-alkyl, CH2, CRR6; Z = CO, SO2, C(:NH) and derivs.; each R = independently H, halo, (un)substituted alkyl; B = A1, A2; A1 = (un)substituted biphenyl-2-yl, 2-(heterocyclyl)phenyl, etc.; A2 = (un)substituted Ph, naphthyl, 5- to 6-membered ring heterocyclyl, cycloalkyl, etc.; R1, R6 = independently H, alkyl, halo, [C(R)2]n-A2; R2 = H, alkyl, halo, A1 or [C(R)2]n-A2; with the proviso that one of B and R2 = A1; and one of B, R1, R2, and R6 = A2, [C(R)2]n-A2; R5 = H, OH, halo, (un)substituted alkyl] and their pharmaceutically acceptable salts, as cholesteryl ester transfer protein (CETP) inhibitors, and their use for raising HDL-cholesterol, reducing LDL-cholesterol, and for treating or preventing atherosclerosis. Thus, II was prepared by alkylation of 5-[3,5-bis(trifluoromethyl)phenyl]-1,3-oxazolidin-2-on(preparation given) with 2-(bromomethyl)-1-iodo-4-(trifluoromethyl)benzene(preparation given), and coupling of the iodide with [2-methoxy-5-(trifluoromethyl)phenyl]boronic acid (preparation given). In a fluorescence assay, I had an IC50 value ≤ 50 μM for the inhibition of CETP.

IT 114744-83-1P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of [(2-biphenyl)/methyl]-oxazolidinones, -imidazolidinones, and -thiadiazolidinones as cholesteryl ester transfer protein inhibitors)

RN 114744-83-1 CAPLUS

CN Carbamic acid, [(1S)-2-(methoxymethylamino)-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:117052 CAPLUS

DOCUMENT NUMBER: 144:192260

TITLE: Preparation of cholesteryl ester transfer protein (CETP) inhibitors

INVENTOR(S): Ali, Amjad; Napolitano, Joann M.; Deng, Qiaolin; Lu, Zhijian; Sinclair, Peter J.; Taylor, Gayle E.; Thompson, Christopher F.; Quraishi, Nazia; Smith, Cameron J.; Hunt, Julianne A.

PATENT ASSIGNEE(S): Merck & Co., Inc., USA

SOURCE: PCT Int. Appl., 121 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006014357	A1	20060209	WO 2005-US23546	20050701
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA,				

NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

US 2006040999 A1 20060223 US 2005-173295 20050701
PRIORITY APPLN. INFO.: US 2004-585274P P 20040702
US 2005-646103P P 20050121

OTHER SOURCE(S): MARPAT 144:192260
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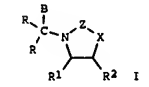

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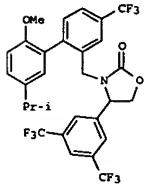


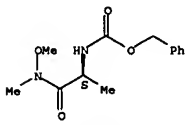
II

AB The invention is related to the preparation of compds. I [X = O, NH, N -alkyl, CH2; Z = CO, SO2, C(:NH) and derivs.; each R = independently H, Me; B = A1, A2; A1 = (un)substituted biphenyl-2-yl; A2 = (un)substituted Ph, cyclohexyl, pyridinyl; R1 = H, alkyl, [C(R)2]n-A2, etc.; with the proviso that one of B and A2 = A1; an done of B, R1, and R2 = A2 or [C(R)2]n-A2; and their pharmaceutically acceptable salts] as cholesteryl ester transfer protein (CETP) inhibitors, and their use for raising HDL-cholesterol, reducing LDL-cholesterol, and for treating or preventing atherosclerosis. Thus, II was prepared by amination of Me [3,5-bis(trifluoromethyl)phenyl](bromo)acetate(preparation given) with 1-[5'-isopropyl-2'-methoxy-4-(trifluoromethyl)biphenyl-2-yl]methanamine (preparation given), reduction of the ester, and cyclization with phosgene. In a fluorescence assay, I had an IC50 value ≤ 50 μM for the inhibition of CETP.

IT 114744-83-1P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of [(2-biphenyl)methyl]-oxazolidinones, -imidazolidinones, and -thiadiazolidinones as cholesteryl ester transfer protein inhibitors)

RN 114744-83-1 CAPLUS
CN Carbamic acid, [(1S)-2-(methoxymethylamino)-1-methyl-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2005:1042227 CAPLUS
DOCUMENT NUMBER: 143:326401
TITLE: Process for preparing benzodiazepines
INVENTOR(S): Dowdell, Verity; Kelsey, Richard David; Carter, Malcolm; Henderson, Elisa Ann
PATENT ASSIGNEE(S): Arrow Therapeutics Limited, UK
SOURCE: PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005090319	A1	20050929	WO 2005-GB1050	20050321

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: GB 2004-6280 A 20040319
GB 2004-6282 A 20040319
GB 2004-23462 A 20041021

OTHER SOURCE(S): CASREACT 143:326401; MARPAT 143:326401
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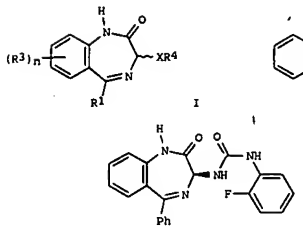

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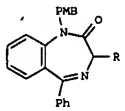


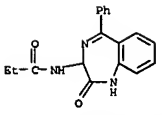
II

III

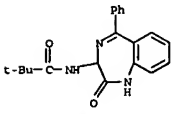
AB A process for the preparation of benzodiazepines (R/S)-I [wherein R1 = alkyl or (hetero)aryl; R3 = halo, OH, alkyl; n = 0-3; X = -NH-, -N(alkyl)-, -CO-; R4 = H, CONH(alkyl); etc., or pharmaceutically acceptable salts thereof], which are active against respiratory syncytial virus (RSV), is disclosed. Some intermediates are claimed. As an example, acylation of 2-aminoacetophenone with bromoacetyl bromide (95%) followed by cyclocondensation with NH3 in refluxing methanol (95%) and subsequent N-protection with PMB-Cl (87%) gave benzodiazepine II (R = H). This compound underwent oximation with isoamyl nitrite in the presence of KOBu-t in toluene to afford oxime II (R = =NOH) (76%), which was reduced with H2-Ru/C to amine II (R = NH2) (81%). Cryatallization induced dynamic resolution of the above racemate amine with (-)-Boc-Phe-OH (1 equivalent) and 3,5-dichlorosalicylaldehyde (0.04 equivalent) in toluene under stirring at rt provided (S)-II (R = NH2) (71% yield, 99.8% e.e.). Following condensation with 2-fluorophenylisocyanate and deprotection with AlCl3 in anisole led to urea III (91% for two steps).

IT 676127-96-1P, N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)propionamide676127-99-4P, 2,2-Dimethyl-N-(2-Oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)propionamide676128-92-0P, 3-(2-Methoxyphenyl)-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)propionamide676128-93-1P, 3-(3-Methoxyphenyl)-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)propionamide676128-94-2P, 3-(4-Methoxyphenyl)-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)propionamide676129-40-1P, 2-Hydroxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-3-phenylpropionamide676129-41-2P, 3-Hydroxy-N-(2-oxo-5-phenyl-2,3-dihydro-1H-benzo[e][1,4]diazepin-3-yl)-3-phenylpropionamide
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of 3-aminobenzodiazepines via oximation of benzodiazepines with isoamyl nitrite followed by Ru/C-catalyzed hydrogenation and crystallization induced dynamic resolution)

RN 676127-96-1 CAPLUS
CN Propanamide, N-(2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-(9CI) (CA INDEX NAME)



RN 676127-99-4 CAPLUS
CN Propanamide, N-(2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-2,2-dimethyl- (9CI) (CA INDEX NAME)



RN 676128-92-0 CAPLUS
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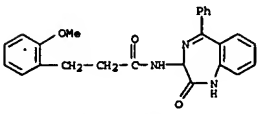
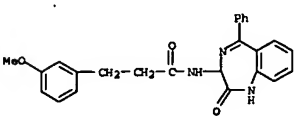
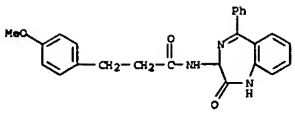


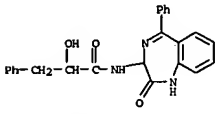
RN 676128-93-1 CAPLUS
CN Benzenepropanamide, N-(2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-3-methoxy- (9CI) (CA INDEX NAME)



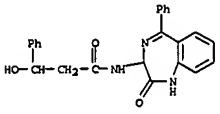
RN 676128-94-2 CAPLUS
CN Benzenepropanamide, N-(2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-4-methoxy- (9CI) (CA INDEX NAME)



RN 676129-40-1 CAPLUS
CN Benzenepropanamide, N-(2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-α-hydroxy- (9CI) (CA INDEX NAME)



RN 676129-41-2 CAPLUS
CN Benzenepropanamide, N-(2,3-dihydro-2-oxo-5-phenyl-1H-1,4-benzodiazepin-3-yl)-β-hydroxy- (9CI) (CA INDEX NAME)

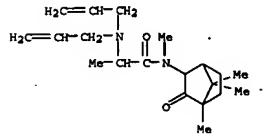


REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

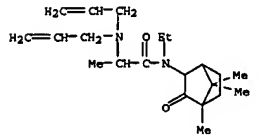
L7 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:462613 CAPLUS
DOCUMENT NUMBER: 59:62613
ORIGINAL REFERENCE NO.: 59:11572g-h,11573a
TITLE: 3-N-Alkyl-α-diallylaminoacylaminocamphor
INVENTOR(S): Takahashi, Torizo; Ogiu, Kikuo
PATENT ASSIGNEE(S): Chugai Pharmaceutical Co., Ltd.
SOURCE: 2 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 37017228	B4	19621023	JP	19580704
PRIORITY APPLN. INFO.:			JP	19580704

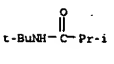
GI For diagram(s), see printed CA Issue.
AB A mixture of 1 mole 3-N-methylchloroacetylaminocamphorand 2.1 moles diallylamine in C6H6 is heated 6 hrs. in a sealed tube at 100° to give 3-N-methyldiallylaminoacetylaminocamphor(I), b0.02 163-5°; hydrochloride m. 166°. Similarly prepared are: 3-N-methyl-α-diallylaminopropionylaminocamphor(b0.01 154-5°), 3-N-methyl-α-diallylaminobutyrylaminocamphor(b0.08 170°), 3-N-ethyldiallylaminoacetylaminocamphor(b0.2 169-20°), 3-N-ethyl-α-diallylaminopropionylaminocamphor(b0.01 164-5°), and 3-N-ethyl-α-diallylaminobutyrylaminocamphor(b0.02 160°), useful as analgesics and sedatives.
IT 94682-16-3P, Propionamide, 2-(diallylamino)-N-methyl-N-2-oxo-3-bornyl)- 96059-22-2P, Propionamide, 2-(diallylamino)-N-ethyl-N-2-oxo-3-bornyl)-
RL: PREP (Preparation)
(preparation of)
RN 94682-16-3 CAPLUS
CN Propionamide, 2-(diallylamino)-N-methyl-N-(2-oxo-3-bornyl)-(7CI) (CA INDEX NAME)



RN 96059-22-2 CAPLUS
CN Propionamide, 2-(diallylamino)-N-ethyl-N-(2-oxo-3-bornyl)-(7CI) (CA INDEX NAME)



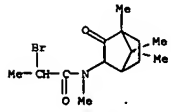
L7 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:65887 CAPLUS
DOCUMENT NUMBER: 58:65887
ORIGINAL REFERENCE NO.: 58:11177d-g
TITLE: N-Alkyl cleavage in acid hydrolysis of norbornane γ-lactams
AUTHOR(S): Zalkow, L. H.; Kennedy, C. D.
CORPORATE SOURCE: Oklahoma State Univ., Stillwater
SOURCE: Journal of Organic Chemistry (1963), 28, 852
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB When endo-cis-2,3-dicarboxy-endo-5-amino-endo-6-hydroxynorbornane lactone-lactam (I) was refluxed with 5% HCl, N-alkyl cleavage occurred, and the product was nortricyclenic acid lactone (II). Similarly, the keto-lactam (III) gave IV. IV reduced with NaBH4 gave II. The unusual N-alkyl cleavages were considered to proceed via an intermediate bridged carbonion ion. Similarly, N-tert-butylisobutyramide (V) evolved isobutylene (VI) when refluxed with acid. I (0.75 g.) refluxed 12 hrs. in 20 ml. 5% HCl gave 81% II, m. 207-7.5°. The aqueous solution remaining after removal of II made basic and steam distilled gave 99% of the theoretical N resulting from N-alkyl cleavage. III (0.1 g.) refluxed 6 hrs. in 20 ml. 10% HCl gave 70% IV, m. 238-8.5°. IV (0.048 g.) left 2 hrs. at room temperature with 0.056 g. NaBH4 in 1 ml. 50% alc., acidified, extracted for 10 hrs. with Et2O, and evaporated gave 0.010 g. II. V (0.8 g.) was refluxed 1 hr. with 20 ml. 20% HCl with evolution of 75% VI.
IT 7472-49-3, Propionamide, N-tert-butyl-2-methyl-
(hydrolysis of)
RN 7472-49-3 CAPLUS
CN Propanamide, N-(1,1-dimethylethyl)-2-methyl-(9CI) (CA INDEX NAME)



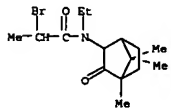
L7 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1963:59907 CAPLUS
DOCUMENT NUMBER: 58:59907
ORIGINAL REFERENCE NO.: 58:10246e-h
TITLE: 3-N-Alkyl-α-dialkylaminoacylaminocamphor
PATENT ASSIGNEE(S): Torizo Takahashi and Kikuo Ogiu
SOURCE: 3 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 36006172	B4	19610529	JP	19571128
PRIORITY APPLN. INFO.:			JP	19571128

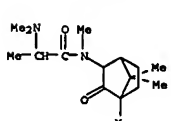
GI For diagram(s), see printed CA Issue.
AB Into an ice-cooled mixture of 7.5 g. 3-methylaminocamphor, 50 cc. C6H6, and 7.1 g. K2CO3 is dropped 5.3 g. ClCH2COCl, the mixture boiled 5 hrs. and filtered, and the filtrate evaporated to give 6.5 g. 3-(N-methyl-N-chloroacetylamino)camphor(I). Similarly prepared are: 3-(N-ethyl-N-chloroacetylamino)camphor, 3-(N-methyl-α-bromopropionylamino)camphor (m. 125-6°), 3-(N-ethyl-α-bromopropionylamino)camphor, 3-(N-methyl-α-bromobutyrylamino)camphor(needles, m. 122-3°), and 3-(N-methyl-α-bromoisovalerylamino)camphor(needles, m. 146°). I (6.8 g.) is dissolved in 50 cc. C6H6, a solution of 2.7 g. Me2NH in 20 cc. C6H6 added, and the mixture heated in a sealed tube at 100° for 6 hrs. to give 5 g. 3-(N-methylaminoacetylamino)camphor, pale yellow oil, b10.04 136°; hydrochloride m. 206-8°. Similarly prepared are 3-(N-ethyldimethylaminoacetylamino)camphor(b10.03 128-30°; hydrochloride m. 213°), 3-(N-methyl-α-dimethylaminopropionylamino)camphor(b0.04 145-6°; hydrochloride m. 216°), 3-(N-ethyl-α-dimethylaminopropionylamino)camphor (b0.02 135-40°; hydrochloride m. 230°), 3-(N-methyl-α-diethylaminopropionylamino)camphor(b0.03 154-5°; hydrochloride m. 223°), 3-(N-methyl-α-dimethylaminobutyrylamino)camphor(b0.02 144.5°; hydrochloride m. 222°), 3-(N-methyl-α-diethylaminobutyrylamino)camphor(b0.01 145-50°; hydrochloride m. 216°), and 3-(N-methyl-α-dimethylaminoisovalerylamino)camphor (b0.08 155-7°; hydrochloride m. 215.5°). These are useful as analgesics and antispasmodics.
IT 92328-78-4P, Propionamide, 2-bromo-N-methyl-N-2-oxo-3-bornyl)- 92724-78-2P, Propionamide, 2-bromo-N-ethyl-N-2-oxo-3-bornyl)- 93144-02-6P, Propionamide, 2-(dimethylamino)-N-methyl-N-2-oxo-3-bornyl)- 93812-77-2P, Propionamide, 2-(dimethylamino)-N-ethyl-N-2-oxo-3-bornyl)- 97646-32-7P, Propionamide, 2-(dimethylamino)-N-methyl-N-2-oxo-3-bornyl)-, hydrochloride 97722-72-0P, Propionamide, 2-(dimethylamino)-N-ethyl-N-2-oxo-3-bornyl)-, hydrochloride 100657-95-2P, Propionamide, 2-(diethylamino)-N-methyl-N-2-oxo-3-bornyl)-, hydrochloride 110439-39-9P, Propionamide, 2-(diethylamino)-N-methyl-N-(2-oxo-3-bornyl)-
RL: PREP (Preparation)
(preparation of)
RN 92328-78-4 CAPLUS
CN Propionamide, 2-bromo-N-methyl-N-(2-oxo-3-bornyl)-(7CI) (CA INDEX NAME)



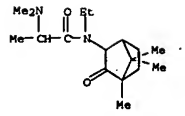
RN 92724-78-2 CAPLUS
CN Propionamide, 2-bromo-N-ethyl-N-(2-oxo-3-bornyl)-(7CI) (CA INDEX NAME)



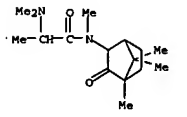
RN 93144-02-6 CAPLUS
CN Propionamide, 2-(dimethylamino)-N-methyl-N-(2-oxo-3-bornyl)-(7CI) (CA INDEX NAME)



RN 93812-77-2 CAPLUS
CN Propionamide, 2-(dimethylamino)-N-ethyl-N-(2-oxo-3-bornyl)-(7CI) (CA INDEX NAME)

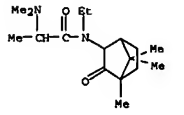


RN 97646-32-7 CAPLUS
CN Propionamide, 2-(dimethylamino)-N-methyl-N-(2-oxo-3-bornyl)-, hydrochloride (7CI) (CA INDEX NAME)



● HCl

RN 97722-72-0 CAPLUS
CN Propionamide, 2-(dimethylamino)-N-ethyl-N-(2-oxo-3-bornyl)-,hydrochloride (7CI) (CA INDEX NAME)



● HCl

RN 100657-95-2 CAPLUS
CN Propionamide, 2-(diethylamino)-N-methyl-N-(2-oxo-3-bornyl)-,hydrochloride (7CI) (CA INDEX NAME)

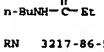
DOCUMENT NUMBER: 65:56192
ORIGINAL REFERENCE NO.: 65:10443f-g
TITLE: Autoxidation of N-alkylamides. I. N-Acylamides as oxidation products
AUTHOR(S): Lock, M. V.; Sagar, B. F.
CORPORATE SOURCE: Shirley Inst., Manchester, UK
SOURCE: Journal of the Chemical Society [Section] B: Physical Organic (1966), (7), 690-6
CODEN: JCSPAC; ISSN: 0045-6470
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Products of the thermal and photosensitized autoxidn. of N-alkyl- and N,N-dialkylamides were identified. N-n-Alkylamides yield principally N-acylamides, primary amides, and N-formylamides, as a result of initial abstraction of a H from the C adjacent to N. Formation of N-formylamides, and of N-acylamides from N-sec-alkylamides, involves C-1-C-2 bond scission in an N-alkyl group. Oxidation of N,N-dialkylamides follows a similar pattern. Gas-liquid-chromatographic retention data are presented for 89 amides. 20 references.

IT 1118-32-7, Propionamide, N-tert-butyl- 2955-67-1, Propionamide, N-butyl- 3217-86-5, Propionamide, N-propyl- 5129-72-6, Propionamide, N-ethyl- 5827-73-6, Propionamide, N-sec-butyl- 5827-75-8, Propionamide, N-isobutyl- 10601-63-5, Propionamide, N-isopropyl- 10601-65-7, Propionamide, N-isobutyl-2-methyl- 10601-72-6, Propionamide, N-(2-hydroxypropyl)- 10601-74-8, Propionamide, N-(3-hydroxypropyl)-
(oxidation of, chromatography of)

RN 1118-32-7 CAPLUS
CN Propanamide, N-(1,1-dimethylethyl)- (9CI) (CA INDEX NAME)

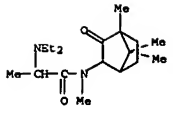
RN 2955-67-1 CAPLUS
CN Propanamide, N-butyl- (9CI) (CA INDEX NAME)



RN 3217-86-5 CAPLUS
CN Propanamide, N-propyl- (9CI) (CA INDEX NAME)

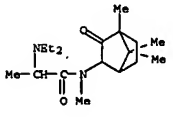
RN 5129-72-6 CAPLUS
CN Propanamide, N-ethyl- (9CI) (CA INDEX NAME)

RN 5827-73-6 CAPLUS



● HCl

RN 110439-39-9 CAPLUS
CN Propionamide, N-3-camphoryl-2-diethylamino-N-methyl-(6CI) (CA INDEX NAME)



=> D HIS

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FILE 'REGISTRY' ENTERED AT 10:37:42 ON 21 FEB 2007
L1 12555 S PROPIONAMIDE
L2 0 S L1 AND 2-OXO-BUT-3-YL

FILE 'CAPLUS' ENTERED AT 10:38:51 ON 21 FEB 2007
L3 0 S L2
L4 31992 S L1
L5 251 S L4 AND N-ALKYL
L6 0 S L5 AND 2-OXO-BUT-3-YL
L7 9 S L5 AND 2-OXO

=> S L5 AND N-SEC-BUTYL
3007568 N
199167 SEC
1328 SECS
200212 SEC
(SEC OR SECS)
272803 BUTYL
34 BUTYLS
272818 BUTYL
(BUTYL OR BUTYLS)
557 N-SEC-BUTYL
(N(W)SEC(W)BUTYL)
L8 3 L5 AND N-SEC-BUTYL

=> D 1-3 IBIB ABS HITSTR

L8 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1966:456192 CAPLUS

CN Propanamide, N-(1-methylpropyl)- (9CI) (CA INDEX NAME)

RN 5827-75-8 CAPLUS
CN Propanamide, N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 10601-63-5 CAPLUS
CN Propanamide, N-(1-methylethyl)- (9CI) (CA INDEX NAME)

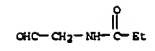
RN 10601-65-7 CAPLUS
CN Propanamide, 2-methyl-N-(2-methylpropyl)- (9CI) (CA INDEX NAME)

RN 10601-72-6 CAPLUS
CN Propanamide, N-(2-hydroxypropyl)- (9CI) (CA INDEX NAME)

RN 10601-74-8 CAPLUS
CN Propanamide, N-(3-hydroxypropyl)- (9CI) (CA INDEX NAME)

IT 10601-75-9P, Propionamide, N-(formylmethyl)-
RL: PREP (Preparation)
(preparation of)

RN 10601-75-9 CAPLUS
CN Propionamide, N-(formylmethyl)- (7CI, 8CI) (CA INDEX NAME)



L8 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1960:110632 CAPLUS
DOCUMENT NUMBER: 54:110632
ORIGINAL REFERENCE NO.: 54:21132f-i,21133a-d
TITLE: 5-Acylimino-N-alkyl -4-alkyl-Δ2-1,3,4- thiadiazoline-2-sulfonamides
INVENTOR(S): Lopresti, Rocco J.; Safir, Sidney R.; Young, Richard W.; Rauh, Charles E.
PATENT ASSIGNEE(S): American Cyanamid Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

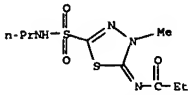
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2940980		19600614	US 1958-778619	19581208

GI For diagram(s), see printed CA Issue.

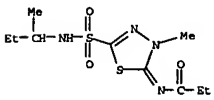
AB Treating 5-acetylimino-4-alkylΔ2-1,3,4-thiadiazoline-2-sulfonyl chloride (I) with an appropriate amine in the presence of a suitable nonhydroxylated organic solvent at 15-40° gave the corresponding N-alkyl sulfonamide (II) which was treated with HCl in EtOH to give the 5-imino compound as a salt (III), which was then treated with an anhydride at 70-115° to give the 5-acylimino-N-alkyl-4-alkyl-Δ2-1,3,4-thiadiazoline-2-sulfonamides(IV). Thus, 44.4 g. I (where the alkyl = Me) was added to 25.3 g. sec-BuNH2 in 300 ml. C6H6, the mixture was concentrated, the resulting solid suspended in 200 ml. hot H2O, filtered and the insol. material recrystd. from EtOH to give II (alkyl = sec-Bu), m. 167.5-69°. The following S.C(SO2NHR):N.NMe. C:NAc were prepared (R and m.p. given): Me, 163-4.5°; Et, 154-5°; Pr (V), 143-4°; iso-Pr, 200-1.5°; Bu, 145.5-6.5°; tert-Bu, 173-4°; CH2:CMeCH2, 137-8°; Am, 106-9°; Me2CHCH2CH2, 127-30°; Me(CH2)4CH2, 120-1°; Me2CHCH2, 136.5-7.5°. V (24.1 g.), 439 cc. dry EtOH and 44 cc. 12N HCl were refluxed 1 1/4 hrs., cooled in ice, and the colorless solid which crystallized was filtered off, and identified as N-propyl-5-imino-4-methylΔ2-1,3,4-thiadiazoline-2-sulfonamide-HCl (VI), m. 203-7° (decomposition) (III where N-alkyl = Pr). The following III compds. were prepared (N-alkyl given): tert-Bu, m. 215-19° (decomposition); sec-Bu, m. 198-201° (decomposition); iso-Bu, m. 211-14° (decomposition). VI (8 g.), 17.7 g. butyric anhydride, and 35 ml. butyric acid were heated 1 1/4 hrs. at 110-15°, cooled in ice, diluted with petr. ether, filtered, the insol. material washed with H2O and then recrystd. from 50% EtOH to give N-sec-butyl-5-butyrylimino-4-methylΔ2-1,3,4-thiadiazoline-2-sulfonamide, m. 112-12.5°. The following IV derivs. were reported where 4-alkyl is Me (5-acyl given first, then N-alkyl): Et, Pr, m. 94-5°; Pr, Pr, m. 106-7°; Bu, Pr, m. 81-2°; Am, Pr, m. 115-16°; Et, tert-Bu, m. 152.5-54°; Pr, tert-Bu, m. 116-17°; Bu, tert-Bu, m. 115-16°; Me(CH2)4, tert-Bu, m. 119-20°; Et, EtMeCH, m. 138.5-40°; Bu, EtMeCH, m. 108-9°; Me(CH2)4, EtMeCH, m. 101-2°; Pr, EtMeCH, m. 112-12.5°; Et, Me2CHCH2, m. 88.5-90°; Pr, Me2CHCH2, m. 99-100.5°; H, iso-Bu, m. 87-92°; formyl, iso-Bu, m. 110-12°. The following II derivs. were prepared where 4-alkyl = Et: Pr, m. 130-1.5°; tert-Bu, m. 151.5-53°. The following III derivative were prepared where 4-alkyl = Et: Pr, m. 167-9° (decomposition); tert-Bu, m. 143-50° (decomposition). The following IV derivs. were prepared where 4-alkyl = Et (5-acyl given first, N-alkyl given next): Pr, Pr, m. 83.5-5°; Bu, Pr, m. 84-5°; valeryl, Pr, m. 80-1°; Bu, tert-Bu, m. 119-20°; H, tert-Bu, m. 131-5° (decompn.); H, Pr; formyl, Pr, m. 115-17°; formyl, tert-Bu, m. 122-4°. These compds. produced an anesthesia of short duration. Cf. U.S. 2,783,241 (CA 52, 2085b).

IT 109892-87-7F, Propionamide, N-[4-methyl-2-(propylsulfamoyl)-Δ2-1,3,4-thiadiazolin-5-ylidene]- 121976-43-0F, Propionamide, N-[2-(sec-butylsulfamoyl)-4-methylΔ2-1,3,4-thiadiazolin-5-ylidene]-121976-44-1F, Propionamide, N-[4-ethyl-2-(propylsulfamoyl)Δ2-1,3,4-thiadiazolin-5-ylidene]- 122239-92-3F, Propionamide, N-[2-(isobutylsulfamoyl)-4-methyl-Δ2-1,3,4-thiadiazolin-5-ylidene]- 122239-95-6F, Propionamide, N-[2-(tert-butylsulfamoyl)-4-methylΔ2-1,3,4-thiadiazolin-5-ylidene]-
RL: PREP (Preparation)
(preparation of)

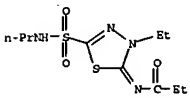
RN 109892-87-7 CAPLUS
CN Propionamide, N-[4-methyl-2-(propylsulfamoyl)Δ2-1,3,4-thiadiazolin-5-ylidene]- (6CI) (CA INDEX NAME)



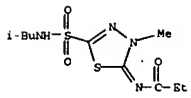
RN 121976-43-0 CAPLUS
CN Propionamide, N-[2-(sec-butylsulfamoyl)-4-methylΔ2-1,3,4-thiadiazolin-5-ylidene]- (6CI) (CA INDEX NAME)



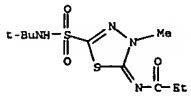
RN 121976-44-1 CAPLUS
CN Propionamide, N-[4-ethyl-2-(propylsulfamoyl)Δ2-1,3,4-thiadiazolin-5-ylidene]- (6CI) (CA INDEX NAME)



RN 122239-92-3 CAPLUS
CN Propionamide, N-[2-(isobutylsulfamoyl)-4-methylΔ2-1,3,4-thiadiazolin-5-ylidene]- (6CI) (CA INDEX NAME)



RN 122239-95-6 CAPLUS
CN Propionamide, N-[2-(tert-butylsulfamoyl)-4-methylΔ2-1,3,4-thiadiazolin-5-ylidene]- (6CI) (CA INDEX NAME)

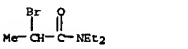


L8 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1947:22275 CAPLUS
DOCUMENT NUMBER: 41:22275
ORIGINAL REFERENCE NO.: 41:4448f-i
TITLE: Organic fungicides. II. The preparation of some α-bromopropionamides
AUTHOR(S): Weaver, W. S.; Whaley, W. M.
CORPORATE SOURCE: Naval Research Lab., Washington, DC
SOURCE: Journal of the American Chemical Society (1947), 69, 1144-5
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

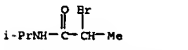
AB The following mono- and di-N-substituted derivs. of MeCHBrCONH2 were prepared by the methods outlined in Part I; the yields obtained from RNH2.HCl in aqueous NaOH were comparable with those from the free RNH2 in anhydrous medium. Me, b2 80-1°, m. 40°, 89%; di-Me, b3 75°, nD20 1.4979, d420 1.4264 (all n and d. under these conditions), 83-5%; Et, b2 82°, m. 62°, 81-9%; di-Et b1.6 84°, n 1.4862, d. 1.2947, 79%; Pr, b0.45 81°, m. 33°, 85%; di-Pr, b0.31 86°, n 1.4830, d. 1.2218, 87%; iso-Pr, m. 115-17°, 98%; di-iso-Pr, b0.25 78-80°, n 1.4820, d. 1.2356, 74%; allyl, b0.3 84-5°, m. 37-8°, 83%; Bu, b0.37 88°, n 1.4850, d. 1.2959, 79%; di-Bu, b0.23 106°, n 1.4792, d. 1.1605, 80%; iso-Bu, b0.35 88°, m. 67°, 84%; di-iso-Bu, b0.35 102°, n 1.4790, d. 1.1591, 83%; sec-Bu, m. 83°, 72%; di-sec-Bu, b0.5 91°, n 1.4841, d. 1.1981, 76%; Am, b0.45 105°, n 1.4840, d. 1.2503, 81%; di-Am, b0.25 124-5°, n 1.4778, d. 1.1157, 61%; sec-Am, m. 63°, 94%; hexyl, b0.25 108-10°, n 1.4820, d. 1.2105, 87%; 2-ethylbutyl (Et2CHCH2), b0.25 101-2°, n 1.4862, d. 1.2285, 82%; heptyl, b0.35 114-15°, m. about 20°, n 1.4807, d. 1.1874, 77%; octyl, b0.25 121-2°, m. 42-3°, 77%; decyl, b0.06 126-8°, m. 33-4°, 72%. The 1st compds. were only slightly lacrimatory; no skin irritation was observed from any of the compds.

IT 2620-12-4F, Propionamide, 2-bromo-N,N-diethyl- 54537-46-1P, Propionamide, 2-bromo-N-isopropyl- 54537-47-2P, Propionamide, 2-bromo-N,N-dimethyl- 74538-22-0P, Propionamide, 2-bromo-N-methyl- 94318-77-1P, Propionamide, 2-bromo-N-propyl- 94318-79-3P, Propionamide, 2-bromo-N-butyl- 94318-81-7P, Propionamide, 2-bromo-N-hexyl- 220316-77-8P, Propionamide, N-allyl-2-bromo- 856983-99-8P, Propionamide, N-sec-amyl-2-bromo- 856984-19-5P, Propionamide, 2-bromo-N,N-diisobutyl- 856984-30-0P, Propionamide, 2-bromo-N-decyl- 856984-92-4P, Propionamide, 2-bromo-N-octyl-
RL: PREP (Preparation)
(preparation of)

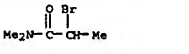
RN 2620-12-4 CAPLUS
CN Propanamide, 2-bromo-N,N-diethyl- (9CI) (CA INDEX NAME)



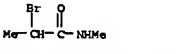
RN 54537-46-1 CAPLUS
CN Propanamide, 2-bromo-N-(1-methylethyl)- (9CI) (CA INDEX NAME)



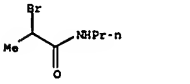
RN 54537-47-2 CAPLUS
CN Propanamide, 2-bromo-N,N-dimethyl- (9CI) (CA INDEX NAME)



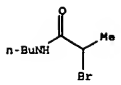
RN 74538-22-0 CAPLUS
CN Propanamide, 2-bromo-N-methyl- (9CI) (CA INDEX NAME)



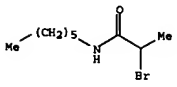
RN 94318-77-1 CAPLUS
CN Propanamide, 2-bromo-N-propyl- (9CI) (CA INDEX NAME)



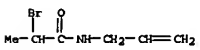
RN 94318-79-3 CAPLUS
CN Propanamide, 2-bromo-N-butyl- (9CI) (CA INDEX NAME)



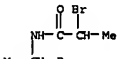
RN 94318-81-7 CAPLUS
CN Propanamide, 2-bromo-N-hexyl- (9CI) (CA INDEX NAME)



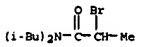
RN 220316-77-8 CAPLUS
CN Propanamide, 2-bromo-N-2-propenyl- (9CI) (CA INDEX NAME)



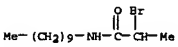
RN 856983-99-8 CAPLUS
CN Propionamide, N-sec-amyl-2-bromo- (5CI) (CA INDEX NAME)



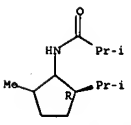
RN 856984-19-5 CAPLUS
CN Propionamide, 2-bromo-N,N-diisobutyl- (5CI) (CA INDEX NAME)



RN 856984-30-0 CAPLUS
CN Propionamide, 2-bromo-N-decyl- (5CI) (CA INDEX NAME)

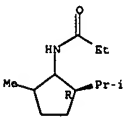


RN 856984-92-4 CAPLUS
CN Propionamide, 2-bromo-N-octyl- (5CI) (CA INDEX NAME)



RN 909880-78-0 CAPLUS
CN Propionamide, N-p-menth-3-yl-, d-neo- (7CI) (CA INDEX NAME)

Absolute stereochemistry.



=> FILE REG

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	102.65	137.03
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-9.36	-9.36

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DICTIONARY FILE UPDATES: 19 FEB 2007 HIGHEST RN 921921-74-6

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REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

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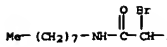
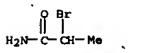


IT 5875-25-2, Propionamide, 2-bromo-
(N-alkyl and N,N-dialkyl derivs. as fungicides)
RN 5875-25-2 CAPLUS
CN Propanamide, 2-bromo- (9CI) (CA INDEX NAME)



=> S L5 AND FORMYL AND n-BUTYL

34926	FORMYL
24	FORMYLS
34932	FORMYL (FORMYL OR FORMYLS)
3007568	N
272803	BUTYL
34	BUTYLS
272818	BUTYL (BUTYL OR BUTYLS)
18684	N-BUTYL (N(W)BUTYL)
L9	1 L5 AND FORMYL AND N-BUTYL

=> D

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1965:15422 CAPLUS
DN 62:15422
ORED 62:2795h,2796g-h,2797a-c
TI Stereochemical investigations. IV. Conformational forms of disubstituted neomenthylamines
AU Blanc, Jean; Carnero, Paulette; Gastambide, Bernard
CS C.N.R.S., Gif-sur-Yvette
SO Bulletin de la Societe Chimique de France (1964), (8), 1864-72
CODEN: BSCFAS; ISSN: 0037-8968
DT Journal
LA French

=> D HITSTR

L9 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2007 ACS on STN
IT 909880-74-6P, Propionamide, N-p-menth-3-yl-2-methyl-, d-neo-
909880-78-0P, Propionamide, N-p-menth-3-yl-, d-neo-
RL: PREP (Preparation)
(preparation of)
RN 909880-74-6 CAPLUS
CN Propionamide, N-p-menth-3-yl-2-methyl-, d-neo- (7CI) (CA INDEX NAME)

Absolute stereochemistry.

Uploading C:\Program Files\Stnexp\Queries\HepC PROTEASE INHs SEARCH 4.str

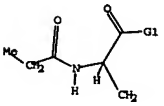
chain nodes :
1 2 3 4 5 6 7 9 10 11 12 13
chain bonds :
1-2 2-3 2-4 3-12 4-5 4-13 5-6 5-10 5-11 6-7 6-9
exact/norm bonds :
1-2 2-4 4-5 6-7
exact bonds :
2-3 3-12 4-13 5-6 5-10 5-11 6-9

G1:H,CH2

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 9:CLASS 10:CLASS 11:CLASS 12:CLASS 13:CLASS

L10 STRUCTURE UPLOADED

=>
=> D L10
L10 HAS NO ANSWERS
L10 STR



G1 H,CH2

Structure attributes must be viewed using STN Express query preparation.

=> S L10
SAMPLE SEARCH INITIATED 11:07:39 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 80485 TO ITERATE

2.5% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 1592832 TO 1626568
PROJECTED ANSWERS: 0 TO 0

L11 0 SEA SSS SAM L10

=> S L10 SSS

SAMPLE SEARCH INITIATED 11:07:59 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 80485 TO ITERATE

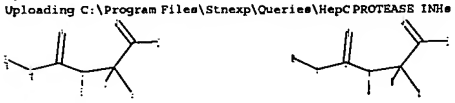
2.5% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 1592832 TO 1626568
PROJECTED ANSWERS: 0 TO 0

L12 0 SEA SSS SAM L10

=> S L10 EXA FULL
STRUCTURES CONTAINING VARIABLE NODES NOT VALID IN EXACT OR FAMILY SEARCH
You have requested a full structure (EXA or FAM) search on a structure containing one of the special variable-atom symbols A, M, Q, or X, or a variable group G. Only bond variability is allowed in structures for EXA or FAM searches. Variable nodes are never permitted.

=>
Uploading C:\Program Files\Stnexp\Queries\HepC PROTEASE INHs SEARCH 4.str



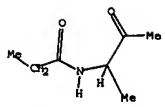
chain nodes :
1 2 3 4 5 6 7 9 10 11 12 13
chain bonds :
1-2 2-3 2-4 3-12 4-5 4-13 5-6 5-10 5-11 6-7 6-9
exact/norm bonds :
1-2 2-4 4-5 6-7
exact bonds :
2-3 3-12 4-13 5-6 5-10 5-11 6-9

G1:H,CH2

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS

L13 STRUCTURE UPLOADED

=> D L13
L13 HAS NO ANSWERS
L13 STR

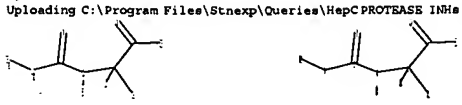


G1 H,CH2

Structure attributes must be viewed using STN Express query preparation.

=> S L3 EXA FULL
L14 0 L2

=>
=>
Uploading C:\Program Files\Stnexp\Queries\HepC PROTEASE INHs SEARCH 4.str



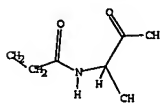
chain nodes :
1 2 3 4 5 6 7 9 10 11 12 13
chain bonds :
1-2 2-3 2-4 3-12 4-5 4-13 5-6 5-10 5-11 6-7 6-9
exact/norm bonds :
1-2 2-4 4-5 6-7
exact bonds :
2-3 3-12 4-13 5-6 5-10 5-11 6-9

G1:H,CH2

Match level :
1:CLASS 2:CLASS 3:CLASS 4:CLASS 5:CLASS 6:CLASS 7:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS

L15 STRUCTURE UPLOADED

=> D L15
L15 HAS NO ANSWERS
L15 STR



G1 H,CH2

Structure attributes must be viewed using STN Express query preparation.

=> S L15 SSS FULL
FULL SEARCH INITIATED 11:41:20 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 681730 TO ITERATE

100.0% PROCESSED 681730 ITERATIONS 255 ANSWERS
SEARCH TIME: 00.00.07

L16 255 SEA SSS FUL L15

=> FILE CAPLUS
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	213.50	350.53

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	0.00	-9.36

FILE 'CAPLUS' ENTERED AT 11:41:34 ON 21 FEB 2007
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FILE LAST UPDATED: 19 Feb 2007 (20070219/ED)

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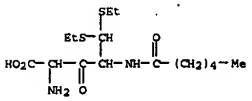
=> S L16
L17 118 L16

=> D 118

L17 ANSWER 118 OF 118 CAPLUS COPYRIGHT 2007 ACS on STN
AN 1949:15194 CAPLUS
DN 43:15194
OREF 43:2989h-i,2990a-i,2991a
TI Experiments on a synthesis of penicillin
AU Cornforth, J. W.; Huang, H. T.
SO Journal of the Chemical Society (1948) 1964-9
CODEN: JCSOA9; ISSN: 0368-1769
DT Journal
LA Unavailable

=> D 118 HITSTR

L17 ANSWER 118 OF 118 CAPLUS COPYRIGHT 2007 ACS on STN
IT 854704-25-9P, Glutaraldehydic acid, 2-amino-4-hexanamido-3-oxo-, diethyl mercaptal
RL: PREP (Preparation)
(preparation of)
RN 854704-25-9 CAPLUS
CN Glutaraldehydic acid, 2-amino-4-hexanamido-3-oxo-, diethyl mercaptal (5CI)
(CA INDEX NAME)



=> D 117 IBIB ABS HITSTR

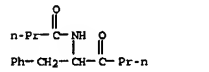
L17 ANSWER 117 OF 118 CAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 1949:22519 CAPLUS
DOCUMENT NUMBER: 43:22519
ORIGINAL REFERENCE NO.: 43:4226h-i,4227a-d
TITLE: Some observations on the Dakin-West reaction
AUTHOR(S): Cleland, George H.; Niemann, Carl
SOURCE: Journal of the American Chemical Society (1949), 71, 841-3
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 43:22519
AB cf. Dakin and West, C.A. 22, 3882. The Dakin-West reaction has been shown to be applicable to the synthesis of α-acylaminoalkyl aryl ketones as well as to the synthesis of α-acylaminoalkyl alkyl ketones other than Me ketones. PhCH2CH(NH2)CO2H (I) (12.4 g.), 39.3 g. C5H5N, and 65.2 g. Ac2O, heated 5 hrs. on the water bath, give 79% PhCH2CH(NHAc)Ac (II), m. 98-9° (m.ps. corrected); 1.65 g. I, 4 g. AcONa, and 10.8 g. Ac2O, heated 30 min. at 130-5°, give 46% II; 2 g. I, 7.9 g. C5H5N, and 8.8 g. AcCl, heated 1 hr. at 60°, give 8% II. I (3.3 g.), 16 g. C5H5N, and 26 g. (EtCO)2O, refluxed 1.5 hrs., give 41% 1-phenyl-2-propionylamino-3-pentanone, m. 67-8°; oxime m. 152-3°; 2,4-dinitrophenyl-hydrazone, yellow, m. 153-4°. I (3.3 g.), 16 g. C5H5N, and 40 g. (PrCO)2O, heated 3 hrs. at 145-50°, give 27% 1-phenyl-2-butyrylamino-3-hexanone, m. 59-60°; oxime m. 145-6°; 2,4-dinitrophenylhydrazone, bright yellow, m. 173-4°. I (5 g.), 12 g. C5H5N, and 24 g. (MeOCH2CO)2O, heated 1 hr. at 115°, give 78% 1-methoxy-3-methoxyacetamido-4-phenyl-2-butanone, light yellow oil; semicarbazone m. 116-17°; p-nitrophenylhydrazone, orange, m. 179-81°; 2,4-dinitrophenylhydrazone, light yellow, m. 168-9°. MeCH(NH2)CO2H (3 g.), 12 g. C5H5N, and 34 g. Bz2O, heated 2.5 hrs. at 130-5°, give 42% MeCH(NHBz)Bz, m. 104-5° (oxime m. 157-8°); with cold concentrated H2SO4 it yields 2,5-diphenyl-4-methyloxazole. I and Bz2O in C5H5N (2 hrs. at 140-5°) give 44% α-benzamido-β-phenylpropiophenone (III), m. 146-7° (oxime m. 188-9°); refluxed 3 hrs. with 30 ml. 6 N HCl and 10 ml. EtOH, III yields α-amino-β-phenylpropiophenone, m. above 200° (decomposition). The N-Bz derivative of I and Bz2O give 36% III; I and BzCl give only 9% III; I and BzF give 39% III. DL-Alanylalanine with Ac2O in C5H5N gives 92% of the anticipated quantity of CO2 but dimethyldiketopiperazine does not react in 2 hrs. at 120°. Thus, all evidence is consistent with the

previously stated proposition that only those α-amino acids or their derivs. which are capable of forming azlactones containing an active α-H atom will undergo the Dakin-West reaction.

IT 7495-60-5, Butyramide, N-(α-butyrylphenethyl)- (and derivs.)

RN 7495-60-5 CAPLUS

CN Butanamide, N-[2-oxo-1-(phenylmethyl)pentyl]-(9CI) (CA INDEX NAME)



=> D 116 IBIB ABS HITSTR

L17 ANSWER 116 OF 118 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1951:8567 CAPLUS

DOCUMENT NUMBER: 45:8567

ORIGINAL REFERENCE NO.: 45:1509h-i,1510a-d

TITLE: The chemical and biological properties of some α-amino ketones

AUTHOR(S): Lehmann, F. E.; Bretscher, A.; Kuhne, H.; Sorkin, E.; Erne, M.; Erlenmeyer, H.

CORPORATE SOURCE: Univ., Bern, Switz.

SOURCE: Helvetica Chimica Acta (1950), 33, 1217-26
CODEN: HCACAV; ISSN: 0018-019X

DOCUMENT TYPE: Journal

LANGUAGE: German

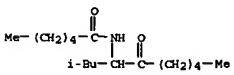
OTHER SOURCE(S): CASREACT 45:8567

AB A number of α-amino ketones related to the amino acids were prepared by classical methods and assayed biol. by observing the length of the tail regenerated by amputated Xenopus larvae in a 1:16,000 concentration of the amino ketone. DL-5-Methyl-3-amino-2-hexanone (I) inhibited the growth strongly while 3-amino-2-butanone (II) did not, as compared with the control. 1-(p-Hydroxyphenyl)-2-amino-3-butanone (III) was intermediate in activity, but it also showed antimitotic activity. These amino ketones are strong reducing agents; in an alkaline solution O of the air converts them to a pyrazine derivative DL Leucine (IV) (15 g.) was heated 8 h. on the water bath with 50 cc. absolute C5H5N and 50 cc. Ac2O, steam-distilled until the distillate was practically neutral, the residue made alkaline with NaHCO3, extracted with Et2O, and the Et2O concentrated to give 11.5 g. N-Ac derivative of I, b0.3 98-100°. Warming 2 h. on a water bath with 10% HCl solution gave I.-HCl, m. 154-5°. Under similar conditions IV and (EtCO)2O gave DL-6-methyl-4-propionylamino-3-heptanone, b0.5 121-2° which was hydrolyzed to the corresponding amino ketone-HCl, m. 171-2°. IV with (AmCO)2O gave DL-9-methyl-7-caproylamino-6-decanone, b0.05 145-6°; the corresponding amino ketone-HCl m. 132-5°. Similarly, DL-isoleucine gave DL-4-methyl-3-acetamido-2-hexanone, b0.4 92-4° (2,4-dinitrophenylhydrazone, m. 183-4°), and the amino ketone-HCl, m. 137-8°; DL-valine gave DL-4-methyl-3-acetamido-2-pentanone, bhigh vacuum 120-60°, and the amino ketone-HCl, m. 153.5-4°, also prepared by reducing 3-Me2CHC(:NOH)COMe with H and Pd charcoal catalyst or with SnCl2 in HCl. DL-Methionine gave DL-5-methylmercapto-3-acetamido-2-pentanone, b0.3 125-7° (semicarbazone, m. 185-6°), and the amino ketone-HCl, m. 133-5°; DL-norleucine gave DL-3-acetamido-2-heptanone, b0.2 105-7° (2,4-dinitrophenylhydrazone, m. 184-5°), and the amino ketone-HCl, m. 133-4°. DL-N-Phthaloylleucine (52 g.) and 42 g. PCl5 were melted together on a water bath, the POCl3 distilled in vacuo, the residue taken up in 500 cc. C6H6, refluxed with 48 g. sublimed AlCl3 2 h., acidified with 5 N HCl, steam-distilled, the residue extracted with Et2O, and the Et2O concentrated to give DL-1-phenyl-2-phthalimido-4-methyl-1-pentanone, m. 103-4°. Heating with 17% KOH 10 min. gave DL-1-phenyl-2-(ω-carboxybenzamido)-4-methyl-1-pentanone, m. 148-9°; amino ketone-HCl, m. 210-12°.

IT 7769-52-0F, Hexanamide, N-(1-isobutyl-2-oxoheptyl)-
40689-16-5F, Propionamide, N-(1-isobutyl-2-oxobutyl)-
RL: PREP (Preparation)
(preparation of)

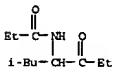
RN 7769-52-0 CAPLUS

CN Hexanamide, N-[1-(2-methylpropyl)-2-oxoheptyl]-(9CI) (CA INDEX NAME)



RN 40689-16-5 CAPLUS

CN Propanamide, N-[3-methyl-1-(1-oxopropyl)butyl]-(9CI) (CA INDEX NAME)



=> LOG HOLD

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FULL ESTIMATED COST	15.57	366.10
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.56	-10.92

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